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coin 36

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LOGINID:sssptal611sxp

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PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

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NEWS 1
                 Web Page URLs for STN Seminar Schedule - N. America
NEWS 2 Apr 08
                 "Ask CAS" for self-help around the clock
NEWS 3
        Apr 09
                 BEILSTEIN: Reload and Implementation of a New Subject Area
NEWS
NEWS
        Apr 09
                 ZDB will be removed from STN
        Apr 19
Apr 22
     5
                US Patent Applications available in IFICDB, IFIPAT, and IFIUDB
NEWS
                 Records from IP.com available in CAPLUS, HCAPLUS, and ZCAPLUS
NEWS
        Apr 22
                 BIOSIS Gene Names now available in TOXCENTER
NEWS 8
        Apr 22
                 Federal Research in Progress (FEDRIP) now available
NEWS 9
        Jun 03
                New e-mail delivery for search results now available
        Jun 10
NEWS 10
                MEDLINE Reload
NEWS 11
        Jun 10
                PCTFULL has been reloaded
NEWS 12 Jul 02
                FOREGE no longer contains STANDARDS file segment
NEWS 13
        Jul 22
                USAN to be reloaded July 28, 2002;
                 saved answer sets no longer valid
                 Enhanced polymer searching in REGISTRY
NEWS 14
        Jul 29
                NETFIRST to be removed from STN
NEWS 15
        Jul 30
NEWS 16
        Aug 08
                CANCERLIT reload
NEWS 17
        Aug 08
                PHARMAMarketLetter (PHARMAML) - new on STN
NEWS 18
        Aug 08
                NTIS has been reloaded and enhanced
NEWS 19
        Aug 19
                Aquatic Toxicity Information Retrieval (AQUIRE)
                 now available on STN
NEWS 20
                IFIPAT, IFICDB, and IFIUDB have been reloaded
        Aug 19
NEWS 21
        Aug 19
                The MEDLINE file segment of TOXCENTER has been reloaded
NEWS 22
        Aug 26
                Sequence searching in REGISTRY enhanced
        Sep 03
                JAPIO has been reloaded and enhanced
NEWS 23
NEWS 24
        Sep 16 Experimental properties added to the REGISTRY file
NEWS 25 Sep 16 CA Section Thesaurus available in CAPLUS and CA
NEWS 26 Oct 01 CASREACT Enriched with Reactions from 1907 to 1985
NEWS 27 Oct 21 EVENTLINE has been reloaded
NEWS 28 Oct 24 BEILSTEIN adds new search fields
NEWS 29 Oct 24 Nutraceuticals International (NUTRACEUT) now available on STN
NEWS 30 Oct 25 MEDLINE SDI run of October 8, 2002
NEWS 31 Nov 18 DKILIT has been renamed APOLLIT
NEWS 32 Nov 25 More calculated properties added to REGISTRY
NEWS 33 Dec 02 TIBKAT will be removed from STN
NEWS 34 Dec 04
                CSA files on STN
NEWS 35
        Dec 17
                PCTFULL now covers WP/PCT Applications from 1978 to date
NEWS 36
        Dec 17
                TOXCENTER enhanced with additional content
NEWS 37
        Dec 17
                Adis Clinical Trials Insight now available on STN
NEWS 38
        Dec 30
                ISMEC no longer available
NEWS 39
        Jan 21
                NUTRACEUT offering one free connect hour in February 2003
NEWS 40
        Jan 21
                PHARMAML offering one free connect hour in February 2003
NEWS 41
        Jan 29
                Simultaneous left and right truncation added to COMPENDEX,
                 ENERGY, INSPEC
```

CANCERLIT is no longer being updated

NEWS 42

Feb 13

NEWS	43	Feb	24	METADEX enhancements						
NEWS	44	Feb	24	PCTGEN now available on STN						
NEWS	45	Feb	24	TEMA now available on STN						
NEWS	46	Feb	26	NTIS now allows simultaneous left and right truncation						
NEWS	47	Feb	26	PCTFULL now contains images						
NEWS	48	Mar	04	SDI PACKAGE for monthly delivery of multifile SDI results						
NEWS	49	Mar		APOLLIT offering free connect time in April 2003						
NEWS				EVENTLINE will be removed from STN						
NEWS	51	Mar	24	PATDPAFULL now available on STN						
NEWS	52	Mar	24	Additional information for trade-named substances without						
				structures available in REGISTRY						
NEWS	53	Mar	24	Indexing from 1957 to 1966 added to records in CA/CAPLUS						
NEWS	E EXPRESS		Ja	nuary 6 CURRENT WINDOWS VERSION IS V6.01a,						
			CU!	RRENT MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP),						
				O CURRENT DISCOVER FILE IS DATED 01 OCTOBER 2002						
	HOURS			N Operating Hours Plus Help Desk Availability						
	INTER			General Internet Information						
				Welcome Banner and News Items						
-	PHONE			Direct Dial and Telecommunication Network Access to STN						
NEWS	WWW		CA.	S World Wide Web Site (general information)						
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Enter NEWS followed by the item number or name to see news on that specific topic.

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FILE 'HOME' ENTERED AT 07:44:25 ON 04 APR 2003

=> file registry
COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 07:44:36 ON 04 APR 2003 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2003 American Chemical Society (ACS)

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STRUCTURE FILE UPDATES: 2 APR 2003 HIGHEST RN 501410-52-2 DICTIONARY FILE UPDATES: 2 APR 2003 HIGHEST RN 501410-52-2

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Patel <4/4/2003>

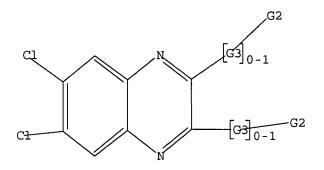
Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details: http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=> Uploading 09483504.7

L1 STRUCTURE UPLOADED

=> d ll L1 HAS NO ANSWERS L1 STR



G1 G2 C,H,CF3,CN,NO2,Cb G3 C.S.N.P Caim 37!

Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 07:54:22 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 86 TO ITERATE

100.0% PROCESSED 86 ITERATIONS 19 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 1164 TO 2276
PROJECTED ANSWERS: 119 TO 641

L2 19 SEA SSS SAM L1

=> file caplus

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 0.40 0.61

FILE 'CAPLUS' ENTERED AT 07:54:29 ON 04 APR 2003 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

09483504.7 Page 4

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FILE COVERS 1907 - 4 Apr 2003 VOL 138 ISS 15 FILE LAST UPDATED: 3 Apr 2003 (20030403/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> file registry
COST IN U.S. DOLLARS

SINCE FILE TOTALENTRY SESSION 0.42 1.03

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 07:55:14 ON 04 APR 2003 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2003 American Chemical Society (ACS)

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STRUCTURE FILE UPDATES: 2 APR 2003 HIGHEST RN 501410-52-2 DICTIONARY FILE UPDATES: 2 APR 2003 HIGHEST RN 501410-52-2

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

Please note that search-term pricing does apply when conducting  ${\tt SmartSELECT}$  searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details: http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=> s l1 sss full FULL SEARCH INITIATED 07:55:30 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 1717 TO ITERATE

100.0% PROCESSED 1717 ITERATIONS SEARCH TIME: 00.00.01

235 ANSWERS

L3 235 SEA SSS FUL L1

Patel <4/4/2003>

09483504.7 Page 5

=> file caplus
COST IN U.S. DOLLARS

FULL ESTIMATED COST

SINCE FILE TOTAL ENTRY SESSION 148.15 149.18

FILE 'CAPLUS' ENTERED AT 07:55:35 ON 04 APR 2003
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FILE COVERS 1907 - 4 Apr 2003 VOL 138 ISS 15 FILE LAST UPDATED: 3 Apr 2003 (20030403/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13

L4 100 L3

=> d l4 fbib hitstr abs total

- L4 ANSWER 1 OF 100 CAPLUS COPYRIGHT 2003 ACS
- AN 2002:389421 CAPLUS
- DN 137:126416
- TI Synthesis and application of 2-styryl-6,7-dichlorothiazolo[4,5-b] quinoxaline based fluorescent dyes: part 3
- AU Sonawane, N. D.; Rangnekar, D. W.
- CS Dyes research laboratory, Department of Chemical Technology, University of Mumbai, Mumbai, 400 019, India
- SO Journal of Heterocyclic Chemistry (2002), 39(2), 303-308 CODEN: JHTCAD; ISSN: 0022-152X
- PB HeteroCorporation
- DT Journal
- LA English
- OS CASREACT 137:126416
- IT 443795-59-3P, 6,7-Dichloro-2,3-quinoxalinediamine

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; prepn., properties and application of styryl dichlorothiazoloquinoxaline fluorescent dyes)

- RN 443795-59-3 CAPLUS
- CN 2(1H)-Quinoxalinethione, 3-amino-6,7-dichloro- (9CI) (CA INDEX NAME)

Patel <4/4/2003>

IT 55295-04-0, 6,7-Dichloro-2,3-quinoxalinedithiol
RL: RCT (Reactant); RACT (Reactant or reagent)
(starting material; prepn., properties and application of styryl dichlorothiazologuinoxaline fluorescent dyes)

RN 55295-04-0 CAPLUS

CN 2,3-Quinoxalinedithione, 6,7-dichloro-1,4-dihydro- (9CI) (CA INDEX NAME)

AB A new efficient synthesis of 2-styryl-6,7-dichlorothiazolo[4,5-b]quinoxaline-based fluorescent dyes was achieved by the condensation of 2-methyl-6,7-dichlorothiazolo[4,5-b]quinoxaline with selected 4-(dialkylamino)arylaldehydes and heteroarylaldehydes in the presence of piperidine. The coloristic, fluorophoric, and polyester dyeing properties of these dyes were studied.

RE.CNT 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 100 CAPLUS COPYRIGHT 2003 ACS

AN 2002:316926 CAPLUS

DN 137:210566

TI Quinoxaline 1,4-dioxides: hypoxia-selective therapeutic agents

AU Diab-Assef, Mona; Haddadin, Makhluf J.; Yared, Pierre; Assaad, Chafika; Gali-Muhtasib, Hala U.

CS Department of Biology, American University of Beirut, Beirut, Lebanon

SO Molecular Carcinogenesis (2002), 33(4), 198-205 CODEN: MOCAE8; ISSN: 0899-1987

PB Wiley-Liss, Inc.

DT Journal

LA English

IT 60680-42-4

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(quinoxaline dioxides as hypoxia-selective antitumor agents)

RN 60680-42-4 CAPLUS

CN Methanone, (6,7-dichloro-1,4-dioxido-3-phenyl-2-quinoxalinyl)phenyl- (9CI) (CA INDEX NAME)

AB A problem that confronts clinicians in the treatment of cancer is the resistance of hypoxic tumors to chemotherapy and radiation therapy. Thus, the development of new drugs that are toxic to hypoxic cells found in solid tumors is an important objective for effective anticancer chemotherapy. We recently showed that the heterocyclic arom. N-oxides, quinoxaline 1,4-dioxides (QdNOs), are cytotoxic to tumor cells cultured under hypoxia. In this study, we evaluated the hypoxia-selective toxicity of four diversely substituted QdNOs and detd. their effect on the expression of hypoxia inducible factor (HIF) 1.alpha. in the human colon cancer cell line T-84. The various QdNOs were found to possess a 50- to 100-fold greater cytotoxicity to T-84 cells cultured under hypoxia compared with oxia. Interestingly, the hypoxia cytotoxicity ratio (HCR), the ratio of equitoxic concns. of the drug under aerobic/anoxic conditions, was highly structure related and depended on the nature of the substituents on the QdNO heterocycle. The most cytotoxic 2-benzoyl-3-phenyl-6,7-dichloro deriv. of QdNO (DCQ) was potent at a dose of 1 .mu.M with an HCR of 100 and significantly reduced the levels of HIF-1.alpha. transcript and protein. The 2-benzoyl-3-Ph deriv. (BPQ) had a hypoxia potency of 20 .mu.M and an HCR of 40. By contrast, the 2-aceto-3-Me and the 2,3-tetramethylene (TMQ) derivs. of QdNO were much less cytotoxic under hypoxia (HCRs of 8.5 and 6.5, resp.) and reduced the expression of HIF-1.alpha. mRNA to a much lesser extent. Because the non-chlorinated analog BPQ did not demonstrate behavior similar to that of DCQ, we hypothesize that the C-6, C-7-chlorine of DCO might play a significant role in the selective hypoxic cytotoxicity of the drug.

RE.CNT 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 100 CAPLUS COPYRIGHT 2003 ACS

AN 2002:246597 CAPLUS

DN 137:134476

TI Anti-Mycobacterium tuberculosis agents derived from quinoxaline-2-carbonitrile and quinoxaline-2-carbonitrile 1,4-di-N-oxide

AU Ortega, Miguel Angel; Sainz, Yolanda; Montoya, Maria Elena; Jaso, Andres; Zarranz, Belen; Aldana, Ignacio; Monge, Antonio

CS Unidad en Investigación y Desarrollo de Medicamentos, CIFA, Universidad de Navarra, Pamplona, Spain

SO Arzneimittel-Forschung (2002), 52(2), 113-119 CODEN: ARZNAD, ISSN: 0004-4172

PB Editio Cantor Verlag

DT Journal

LA English

OS CASREACT 137:134476

IT 187028-94-0P 444807-89-0P 444807-90-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(quinoxaline-2-carbonitrile derivs. anti-Mycobacterium tuberculosis action)

RN 187028-94-0 CAPLUS

CN Carbamic acid, (6,7-dichloro-3-cyano-1,4-dioxido-2-quinoxalinyl)-, 1-methylethyl ester (9CI) (CA INDEX NAME)

RN 444807-89-0 CAPLUS

CN Urea, N-(6,7-dichloro-3-cyano-1,4-dioxido-2-quinoxalinyl)-N'-[2-(diethylamino)ethyl]- (9CI) (CA INDEX NAME)

C1 NH-C-NH-CH<sub>2</sub>-CH<sub>2</sub>-NEt<sub>2</sub>

$$C1 \longrightarrow N$$

$$CN$$

$$CN$$

RN 444807-90-3 CAPLUS

CN Urea, N-(6,7-dichloro-3-cyano-1,4-dioxido-2-quinoxalinyl)-N'-[3-(dimethylamino)propyl]- (9CI) (CA INDEX NAME)

C1 NH- C-NH- (CH<sub>2</sub>)<sub>3</sub>-NMe<sub>2</sub>

$$C1$$

$$CN$$

$$CN$$

AB In this paper new quinoxaline derivs. with different substituents in positions 3, 6, 7 and 8 are reported. Their biol. activities against Mycobacterium tuberculosis have been assessed and most of the 1,4-di-N-oxide derivs. have been shown to strongly inhibit the bacteria growth in the first in vitro screening. One of these N-oxides (4) is a promising candidate due to its good Selectivity Index (7.95). On the other hand, those compds. without N-oxide moieties showed no or very low activity (growth inhibition: 17% and 39%).

Page 9

RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 100 CAPLUS COPYRIGHT 2003 ACS

AN 2001:735156 CAPLUS

DN 136:102354

TI A new convenient liquid- and solid-phase synthesis of quinoxalines from (E)-3-diazenylbut-2-enes

AU Attanasi, Orazio A.; De Crescentini, Lucia; Filippone, Paolino; Mantellini, Fabio; Santeusanio, Stefania

CS Istituto di Chimica Organica, Universita di Urbino, Urbino, I-61029, Italy

SO Helvetica Chimica Acta (2001), 84(8), 2379-2386 CODEN: HCACAV; ISSN: 0018-019X

PB Verlag Helvetica Chimica Acta

DT Journal

LA English

IT 389121-66-8P 389121-67-9P

RL: SPN (Synthetic preparation); PREP (Preparation) (liq.-phase and solid-phase prepn. of quinoxalinecarboxylates from arenediamines and (1E)-[(1E)-3-alkoxy-1-methyl-3-oxo-1-propenyl]diazenecarboxylates)

RN 389121-66-8 CAPLUS

CN 2-Quinoxalinecarboxylic acid, 6,7-dichloro-3-methyl-, methyl ester (9CI) (CA INDEX NAME)

RN 389121-67-9 CAPLUS

CN 2-Quinoxalinecarboxylic acid, 6,7-dichloro-3-methyl-, ethyl ester (9CI) (CA INDEX NAME)

Diazenecarboxylates, e.g., (1E)-[(1E)-3-methoxy-1-methyl-3-oxo-1-propenyl]diazenecarboxylic acid 1,1-dimethylethyl ester or (1E)-[(1E)-3-ethoxy-1-methyl-3-oxo-1-propenyl]diazenecarboxylic acid 1,1-dimethylethyl ester, etc., react with 1,2-diamines to give 3-methylquinoxaline-2-carboxylates. These products were also obtained in solid-phase synthesis, by using polymer-bound 3-diazenylbut-2-enes, i.e., Wang resin-bound (1E)-[(1E)-3-hydroxy-1-methyl-3-oxo-1-propenyl]diazenecarboxylic acid 1,1-dimethylethyl ester or Merrifield resin-bound (1E)-[(1E)-3-hydroxy-1-methyl-3-oxo-1-propenyl]diazenecarboxylic acid 1,1-dimethylethyl ester.

RE.CNT 55 THERE ARE 55 CITED REFERENCES AVAILABLE FOR THIS RECORD

# ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 5 OF 100 CAPLUS COPYRIGHT 2003 ACS
L4
ΑN
     2001:693046 CAPLUS
DN
     135:277730
ΤI
     Preparation containing quinoxaline derivatives
     Pfluecker, Frank; Driller, Hansjuergen; Kirschbaum, Michael; Scholz,
IN
     Volker; Neunhoeffer, Hans
     Merck Patent G.m.b.H., Germany
PA
SO
     PCT Int. Appl., 117 pp.
     CODEN: PIXXD2
DT
     Patent
LΑ
     German
FAN.CNT 1
     PATENT NO.
                                                APPLICATION NO.
                        KIND
                               DATE
                                                                   DATE
PΙ
     WO 2001068047
                         A2
                               20010920
                                                WO 2001-EP2517
                                                                   20010306
     WO 2001068047
                         A3
                               20020307
              AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU,
              CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL,
              IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM,
              AZ, BY, KG, KZ, MD, RU, TJ, TM
          RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
              DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
              BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                                DE 2000-10013318A 20000317
     DE 10013318
                               20010920
                                                DE 2000-10013318 20000317
                         A1
                               20030102
     EP 1267819
                         A2
                                                EP 2001-909822
                                                                 20010306
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
              IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
                                                DE 2000-10013318A 20000317
                                                WO 2001-EP2517 W 20010306
     MARPAT 135:277730
OS
IT
     361389-99-3P
```

RL: BUU (Biological use, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepns. contg. quinoxaline derivs. as photostable UV filters for cosmetic and pharmaceutical use)

RN 361389-99-3 CAPLUS

CN .alpha.-D-Glucopyranoside, (2R,3S,4R)-4-(6,7-dichloro-2-quinoxalinyl)-2,3,4-trihydroxybutyl (9CI) (CA INDEX NAME)

# Absolute stereochemistry.

09483504.7

### Page 11

AB The invention relates to the use of quinoxaline derivs. as photostable UV filters in cosmetic and pharmaceutical prepns. for protecting the human epidermis or human hair against UV radiation, esp. in the 280-400 nm range.

L4 ANSWER 6 OF 100 CAPLUS COPYRIGHT 2003 ACS

AN 2001:340173 CAPLUS

DN 135:313259

TI Quinoxaline 1,4-dioxides as anticancer and hypoxia-selective drugs

AU Gali-Muhtasib, Hala U.; Haddadin, Makhluf J.; Rahhal, Dina N.; Younes, Ihab H.

CS Department of Biology, American University of Beirut, Beirut, Lebanon

SO Oncology Reports (2001), 8(3), 679-684 CODEN: OCRPEW; ISSN: 1021-335X

PB Oncology Reports

DT Journal

LA English

IT 60680-42-4

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(quinoxaline 1,4-dioxides as anticancer and hypoxia-selective drugs)

RN 60680-42-4 CAPLUS

CN Methanone, (6,7-dichloro-1,4-dioxido-3-phenyl-2-quinoxalinyl)phenyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Cl & & O \\ & & \\ N & & Ph \\ & & \\ Cl & & \\ & & \\ C-Ph \\ & & \\ O & \\ \end{array}$$

AB Hypoxic cells which are found in solid tumors are resistant to anticancer drugs and radiation therapy. Thus, for effective anticancer chemotherapy, it is important to identify drugs with selective toxicity towards hypoxic cells. Quinoxaline 1,4-dioxides (QdNOs) are heterocyclic arom. N-oxides that were found to possess potent antibacterial activities (inhibit microbial DNA synthesis) esp. under anaerobic conditions; thus they are under evaluation as bioreductive drugs for the treatment of solid tumors. The authors investigated the ability of 4 differently substituted QdNOs to inhibit cell growth and induce cell cycle changes in 2 human tumorigenic epithelial cell lines under oxic conditions. The authors also evaluated the toxicity of these drugs to cancer cells cultured under hypoxic conditions. 2 Epithelial cell lines (the T-84 human colon cancer-derived cell line, and the SP-1 keratinocyte cell line) were treated with various doses of the QdNOs and harvested at different times after treatment. Proliferation and cell cycle results showed a structure-function relationship in the activity of the various QdNO compds. with the 2-benzoyl-3-phenyl-6,7-dichloro-deriv. of QdNO (DCBPQ) being the most potent cytotoxin and hypoxia-selective drug. The 2-benzoyl-3-Ph (BPQ) and the 2-acyl-3-methyl-deriv. of QdNO (AMQ) were less cytotoxic but arrested almost 50% of the cells in the G2M phase of the cell cycle at doses of 30

Patel

and 120 .mu.M, resp. The tetramethylene deriv. of QdNO (TMQ) did not affect the growth and cycling of cells cultured in air and was the least potent cytotoxin to hypoxic cells. The authors' results indicate that the QdNOs are hypoxia-cytotoxic drugs whose activity varies according to the substituents on the quinoxaline 1,4-dioxide heterocycle. Because of their selective toxicity to hypoxic cells (cells found in human tumors), these drugs may provide useful therapeutic agents against solid tumors.

RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 7 OF 100 CAPLUS COPYRIGHT 2003 ACS
L4
AN
     2000:493530 CAPLUS
     133:89542
DN
TI
     Preparation of quinoxalines as non-peptide GLP-1 agonists
TN
     Teng, Min; Truesdale, Larry Kenneth; Bhumralkar, Dilip; Kiel, Dan;
     Johnson, Michael D.; Thomas, Christine; Jorgensen, Anker Steen; Madsen,
     Peter; Olesen, Preben Houlberg; Knudsen, Liselotte Bjerre; Petterson,
     Ingrid Vivika; Cornelis De Jong, Johannes; Behrens, Carsten; Kodra, Janos
     Tibor; Lau, Jesper
PΑ
     Novo Nordisk A/S, Den.; Agouron Pharmaceuticals, Inc.
SO
     PCT Int. Appl., 194 pp.
     CODEN: PIXXD2
DT
     Patent
     English
\Delta.T
FAN.CNT 1
     PATENT NO. KIND DATE
                                          APPLICATION NO. DATE
     WO 2000042026 A1 20000720 WO 2000-DK14 20000114
            AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU,
             CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL,
             IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA,
             MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI,
             SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
             DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                           DK 1999-41 A 19990115
                          20011024
                                           EP 2000-900499 20000114
     EP 1147094
                       A1
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO
                                           DK 1999-41
                                                           A 19990115
                                           WO 2000-DK14
                                                           W 20000114
     JP 2002534512
                       T2
                            20021015
                                           JP 2000-593594 20000114
                                           DK 1999-41
                                                         A 19990115
                                           WO 2000-DK14 W 20000114
OS
     MARPAT 133:89542
ΙT
     281208-86-4P 281208-91-1P 281208-92-2P
     281209-02-7P 281209-03-8P 281209-04-9P
     281209-05-0P 281209-06-1P 281209-23-2P
     281209-26-5P 281209-33-4P 281209-34-5P
     281209-35-6P 281209-36-7P 281209-37-8P
     281209-38-9P 281209-40-3P 281209-41-4P
     281209-42-5P 281209-43-6P 281209-44-7P
     281209-45-8P 281209-46-9P 281209-47-0P
     281209-48-1P 281209-51-6P 281209-52-7P
     281209-53-8P 281209-54-9P 281209-55-0P
     281209-56-1P 281209-58-3P 281209-59-4P
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281209-60-7P 281209-61-8P 281209-62-9P 281209-64-1P 281209-68-5P 281209-71-0P 281209-72-1P 281209-73-2P 281209-74-3P 281209-75-4P 281209-77-6P 281209-78-7P 281209-82-3P 281209-83-4P 281209-84-5P 281209-85-6P 281209-86-7P 281209-87-8P 281209-88-9P 281209-89-0P 281209-90-3P 281209-92-5P 281209-95-8P 281209-97-0P 281209-98-1P 281209-99-2P 281210-01-3P 281210-02-4P 281210-03-5P 281210-04-6P 281210-07-9P 281210-08-0P 281210-09-1P 281210-14-8P 281210-16-0P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of quinoxalines as non-peptide GLP-1 agonists) RN 281208-86-4 CAPLUS Propanoic acid, 3-[[6,7-dichloro-3-(1-methylethyl)-2-quinoxalinyl]thio]-, CN ethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Cl} & \text{N} & \text{Pr-i} \\ \hline \\ \text{Cl} & \text{S-CH}_2\text{-CH}_2\text{-C-OEt} \end{array}$$

RN 281208-91-1 CAPLUS
CN Quinoxaline, 6,7-dichloro-2-(methylsulfonyl)-3-(trifluoromethyl)- (9CI)
(CA INDEX NAME)

RN 281208-92-2 CAPLUS

CN Quinoxaline, 6,7-dichloro-2-[(1-methylethyl)sulfonyl]-3-(trifluoromethyl)-(9CI) (CA INDEX NAME)

RN 281209-02-7 CAPLUS

CN 2-Quinoxalinecarboxylic acid, 6,7-dichloro-3-(methylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Cl & & & \\ & & & \\ Cl & & & \\ & & & \\ Cl & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

RN 281209-03-8 CAPLUS

CN2-Quinoxalinecarboxylic acid, 6,7-dichloro-3-(methylsulfonyl)-, ethyl ester, 4-oxide (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Cl} & \overset{\text{O}}{\underset{N}{\bigvee}} & \overset{\text{O}}{\underset{N}} & \overset{\text{O}}{\underset{N}{\bigvee}} & \overset{\text{O}}{\underset{N}} & \overset{\text{O}{\underset{N}}{\underset{N}} & \overset{\text{O}}{\underset{N}} & \overset{$$

RN 281209-04-9 CAPLUS

Quinoxaline, 6,7-dichloro-2-[(2-methylpropyl)sulfonyl]-3-(trifluoromethyl)-CN (9CI) (CA INDEX NAME)

281209-05-0 CAPLUS RN

Carbamic acid, [2-[[6,7-dichloro-3-(trifluoromethyl)-2-CN quinoxalinyl]sulfonyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN

281209-06-1 CAPLUS Quinoxaline, 2-[[[2,4-bis(trifluoromethyl)phenyl]methyl]sulfonyl]-6,7-CN dichloro-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

281209-23-2 CAPLUS RN

Quinoxaline, 6,7-dichloro-2-methyl-3-(methylsulfonyl)- (9CI) (CA INDEX CN NAME)

$$\begin{array}{c|c} C1 & & & \\ & & & \\ C1 & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

RN 281209-26-5 CAPLUS

CN 2-Quinoxalinamine, 6,7-dichloro-3-(methylsulfonyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Cl} & & & \text{O} \\ & & & \\ \text{N} & & & \\ \text{Cl} & & & \\ \end{array}$$

RN

281209-33-4 CAPLUS Quinoxaline, 6,7-dichloro-2-(1-methylethyl)-3-[(1-methylethyl)sulfonyl]-CN (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & & & \\ & \parallel \\ & \parallel \\ & \parallel \\ & 0 \\ & \\ C1 & & \\ & N & & \\ & Pr-i \end{array}$$

RN 281209-34-5 CAPLUS

Quinoxaline, 6,7-dichloro-2-(1-methylethyl)-3-[(1-methylethyl)sulfinyl]-CN (9CI) (CA INDEX NAME)

RN 281209-35-6 CAPLUS

CN Quinoxaline, 6,7-dichloro-2-(1-methylethyl)-3-(methylsulfonyl)- (9CI) (CA INDEX NAME)

RN 281209-36-7 CAPLUS

CN Quinoxaline, 6,7-dichloro-2-(1-methylethyl)-3-(methylsulfinyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Cl & & Pr-i \\ \hline & N & & S-Me \\ \hline & O & & \\ \end{array}$$

RN 281209-37-8 CAPLUS

CN Quinoxaline, 6,7-dichloro-2-(1-methylethyl)-3-[[2-(2-methyl-5-nitro-1H-imidazol-1-yl)ethyl]sulfonyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Cl & & \\ & & \\ Cl & & \\ & &$$

RN 281209-38-9 CAPLUS

CN Benzamide, 3-[[[6,7-dichloro-3-(1-methylethyl)-2-quinoxalinyl]sulfonyl]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & & & \\ & & & \\ C1 & & & \\$$

RN 281209-40-3 CAPLUS

CN Quinoxaline, 6,7-dichloro-2-[[(3,5-dimethyl-4-isoxazolyl)methyl]sulfonyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)

281209-41-4 CAPLUS RN

Quinoxaline, 6,7-dichloro-2-[[(5-chloro-2-thienyl)methyl]sulfonyl]-3-(1-CN methylethyl) - (9CI) (CA INDEX NAME)

RN281209-42-5 CAPLUS

CNQuinoxaline, 6,7-dichloro-2-[[2-(1,3-dioxolan-2-yl)ethyl]sulfonyl]-3-(1methylethyl) - (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Cl & & \\ & & \\ Cl & & \\ & &$$

RN

281209-43-6 CAPLUS Quinoxaline, 6,7-dichloro-2-[(cyclopropylmethyl)sulfonyl]-3-(1-CN methylethyl) - (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & & & \\ & & & \\ C1 & & & \\$$

RN 281209-44-7 CAPLUS

Quinoxaline, 6,7-dichloro-2-(1-methylethyl)-3-[[[4-CN (methylsulfonyl)phenyl]methyl]sulfonyl] - (9CI) (CA INDEX NAME)

RN 281209-45-8 CAPLUS

CN Quinoxaline, 6,7-dichloro-2-[(1-methylethyl)sulfonyl]-3-[[(1-methylethyl)sulfonyl]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & & \\ & \parallel \\ S-\text{Pr-i} \\ & 0 \\ CH_2-S-\text{Pr-i} \\ & \parallel \\ CH_$$

RN 281209-46-9 CAPLUS

CN Quinoxaline, 6,7-dichloro-2-(2-methylpropyl)-3-(methylsulfonyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & & \\ & & \\ C1 & & \\ & &$$

RN 281209-47-0 CAPLUS

CN Quinoxaline, 6,7-dichloro-2-(1-methylpropyl)-3-(methylsulfonyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & & & \\ & \parallel & \\ S-Me \\ 0 \\ CH-Et \\ Me \end{array}$$

RN 281209-48-1 CAPLUS

CN Quinoxaline, 6,7-dichloro-2-(methylsulfonyl)-3-(2-phenylethyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{C1} & \text{N} & \text{CH}_2\text{--}\text{CH}_2\text{--}\text{Ph} \\ \text{O} & \text{S--}\text{Me} \\ \text{O} & \text{O} \end{array}$$

RN 281209-51-6 CAPLUS

CN Ethanol, 2-[[3-[[6,7-dichloro-3-(trifluoromethyl)-2-quinoxalinyl]sulfonyl]-1-oxopropyl]sulfonyl]- (9CI) (CA INDEX NAME)

RN 281209-52-7 CAPLUS

CN 2-Quinoxalinamine, 6,7-dichloro-N-(1-methylethyl)-3-(methylsulfonyl)-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & & & \\ & & \\ C1 & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ &$$

RN 281209-53-8 CAPLUS

CN 2-Quinoxalinamine, 6,7-dichloro-N-methyl-N-(1-methylethyl)-3-(methylsulfonyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & & O \\ \parallel & & \\ S^{-} Me \\ \downarrow & \\ C1 & & N^{-} Pr^{-} i \\ & & \\ Me \end{array}$$

RN 281209-54-9 CAPLUS

CN 2-Quinoxalinamine, 6,7-dichloro-N-ethyl-3-(methylsulfonyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & & & \\ & & & \\ C1 & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

RN 281209-55-0 CAPLUS

CN Ethanol, 2-[[6,7-dichloro-3-(dimethylamino)-2-quinoxalinyl]sulfonyl]-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & & & \\ & & \\ & & \\ C1 & & \\ &$$

RN 281209-56-1 CAPLUS

CN 2-Quinoxalinamine, 6,7-dichloro-N,N-dimethyl-3-(methylsulfonyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & & & \\ & & \\ C1 & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ &$$

RN 281209-58-3 CAPLUS

CN Quinoxaline, 6,7-dichloro-2-ethyl-3-(methylsulfonyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & & & \\ & \parallel & \\ S- & \\ 0 & \\ C1 & & \\ \end{array}$$

RN 281209-59-4 CAPLUS

CN Quinoxaline, 6,7-dichloro-2-hexyl-3-(methylsulfonyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & & & \\ & & & \\ & & & \\ C1 & & & \\$$

Patel

RN 281209-60-7 CAPLUS

Quinoxaline, 6,7-dichloro-2-(methylsulfonyl)-3-propyl- (9CI) (CA INDEX CN NAME)

$$\begin{array}{c|c} C1 & & \\ & & \\ C1 & & \\ & &$$

RN281209-61-8 CAPLUS

CN Quinoxaline, 6,7-dichloro-2-(cyclopentylsulfonyl)-3-(trifluoromethyl)-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & & & \\ & & & \\ C1 & & & \\$$

RN

281209-62-9 CAPLUS Quinoxaline, 6,7-dichloro-2-[(3-methylbutyl)sulfonyl]-3-(trifluoromethyl)-CN (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{C1} & \text{O} & \\ \text{S} & \text{CH}_2 - \text{CH}_2 - \text{CHMe}_2 \\ \text{O} & \\ \text{CF}_3 \end{array}$$

RN281209-64-1 CAPLUS

CN Propanoic acid, 3-[[6,7-dichloro-3-(trifluoromethyl)-2quinoxalinyl]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Cl} & \begin{array}{c} \text{O} & \text{O} \\ \text{S-} & \text{CH}_2\text{--} & \text{CH}_2\text{--} & \text{C--} & \text{OMe} \\ \\ \text{O} & \\ \text{CF}_3 \end{array}$$

Patel

$$\begin{array}{c|c} \text{C1} & \begin{array}{c} \text{O} & \begin{array}{c} \text{O} \\ \text{S-} & \text{CH}_2\text{--} & \text{CH}_2\text{--} & \text{C}\text{--} & \text{OMe} \\ \\ \text{O} & \\ \text{CF}_3 \end{array}$$

RN 281209-68-5 CAPLUS

CN Quinoxaline, 6,7-dichloro-2-[(1-methylethyl)sulfonyl]-3-propyl- (9CI) (CA INDEX NAME)

RN 281209-71-0 CAPLUS

CN 2-Quinoxalinamine, 6,7-dichloro-N-(1,1-dimethylethyl)-3-(methylsulfonyl)-(9CI) (CA INDEX NAME)

RN 281209-72-1 CAPLUS

CN 2-Quinoxalinamine, 6,7-dichloro-N-(2-methylpropyl)-3-(methylsulfonyl)-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & & & \\ & \parallel \\ S-\text{Me} \\ \parallel \\ C1 & & N \end{array}$$

RN 281209-73-2 CAPLUS

CN 2-Quinoxalinamine, 6,7-dichloro-3-(methylsulfonyl)-N-(tetrahydro-1,1-dioxido-3-thienyl)- (9CI) (CA INDEX NAME)

RN 281209-74-3 CAPLUS

CN Acetamide, N-[2-[[6,7-dichloro-3-(methylsulfonyl)-2-quinoxalinyl]amino]ethyl]- (9CI) (CA INDEX NAME)

RN 281209-75-4 CAPLUS

CN 2-Quinoxalinamine, 6,7-dichloro-N-(1-methyl-1-phenylethyl)-3-(methylsulfonyl)- (9CI) (CA INDEX NAME)

RN 281209-77-6 CAPLUS

CN 2-Quinoxalinamine, 6,7-dichloro-3-(methylsulfonyl)-N-(1,2,3,4-tetrahydro-1-naphthalenyl)- (9CI) (CA INDEX NAME)

RN 281209-78-7 CAPLUS

CN .beta.-Alanine, N-[[4-[[[6,7-dichloro-3-(1-methylethyl)-2-quinoxalinyl]sulfonyl]methyl]phenyl]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Cl & & \bigcirc \\ N & Pr-i \\ Cl & & \bigcirc \\ N & & \bigcirc \\ S-CH_2 & & \bigcirc \\ O & & \bigcirc \\ \end{array}$$

RN 281209-82-3 CAPLUS

CN Hydrazinecarboxamide, 2-[6,7-dichloro-3-(methylsulfonyl)-2-quinoxalinyl]-N-phenyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & & \bigcirc \\ & \parallel \\ S- \text{ Me} \\ & \bigcirc \\ O & \parallel \\ O & \parallel \\ O & \parallel \\ NH- NH- C- NHPh \end{array}$$

RN 281209-83-4 CAPLUS

CN 2-Quinoxalinamine, 6,7-dichloro-N-(1-methylethyl)-3-(methylsulfonyl)-8-nitro-(9CI) (CA INDEX NAME)

RN 281209-84-5 CAPLUS

CN 2-Quinoxalinamine, 6,7-dichloro-N-(1,1-dimethylethyl)-3-[[(6-fluoro-4H-1,3-benzodioxin-8-yl)methyl]sulfonyl]- (9CI) (CA INDEX NAME)

RN 281209-85-6 CAPLUS

CN 2-Quinoxalinamine, 6,7-dichloro-3-[[[4-(difluoromethoxy)phenyl]methyl]sulf onyl]-N-(1,1-dimethylethyl)- (9CI) (CA TNDEX NAME)

RN 281209-86-7 CAPLUS

CN Propanamide, 3-[[6,7-dichloro-3-(1-methylethyl)-2-quinoxalinyl]sulfonyl]-N-[2-(4-oxido-4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Cl} & \overset{\text{N}}{\longrightarrow} & \overset{\text{O}}{\longrightarrow} & \text{CH}_2 - \text{CH}_2 - \text{CH}_2 - \text{CH}_2 - \text{CH}_2 - \text{CH}_2 \\ \text{Cl} & \overset{\text{O}}{\longrightarrow} & \text{CH}_2 - \text{CH}_2 - \text{CH}_2 - \text{CH}_2 - \text{CH}_2 - \text{CH}_2 \\ \end{array}$$

RN 281209-87-8 CAPLUS

CN .beta.-Alanine, N-[[4-[[6,7-dichloro-3-(1-methylethyl)-2-quinoxalinyl]sulfonyl]butoxy]carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)

C1 
$$N$$
  $S$   $CH_2)_4 - O$   $C$   $N$   $CH_2 - CH_2 - CH$ 

RN 281209-88-9 CAPLUS

CN .beta.-Alanine, N-[3-[[[6,7-dichloro-3-(1-methylethyl)-2-quinoxalinyl]sulfonyl]methyl]benzoyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 281209-89-0 CAPLUS

CN .beta.-Alanine, N-[4-[[[6,7-dichloro-3-(1-methylethyl)-2-quinoxalinyl]sulfonyl]methyl]benzoyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 281209-90-3 CAPLUS

CN Benzamide, 4-[[[6,7-dichloro-3-(1-methylethyl)-2-quinoxalinyl]sulfonyl]methyl]-N-(1-oxido-3-pyridinyl)- (9CI) (CA INDEX NAME)

RN 281209-92-5 CAPLUS

CN Benzamide, 4-[[[6,7-dichloro-3-(1-methylethyl)-2-quinoxalinyl]sulfonyl]methyl]-N-3-pyridinyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & & \\ & & \\ C1 & & \\ & &$$

RN 281209-95-8 CAPLUS

CN Quinoxaline, 5,6,7,8-tetrachloro-2-(1-methylethyl)-3-(methylsulfonyl)-

<4/4/2003>

09483504.7

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(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Cl & O \\ \parallel & \parallel \\ S-Me \\ \parallel & O \\ Cl & Pr-i \end{array}$$

RN 281209-97-0 CAPLUS

CN 2-Quinoxalinamine, 6,7-dichloro-N-cyclopropyl-3-(methylsulfonyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ \text{C1} & & & & \\ & & \\ & & & \\ & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

RN 281209-98-1 CAPLUS

CN 2-Quinoxalinamine, 6,7-dichloro-N-cyclopentyl-3-(methylsulfonyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ \text{C1} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

RN 281209-99-2 CAPLUS

CN 2-Quinoxalinamine, 6,7-dichloro-N-methoxy-N-methyl-3-(methylsulfonyl)-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & & \\ & & \\ C1 & & \\ & N & \\ & OMe \\ \end{array}$$

RN 281210-01-3 CAPLUS

CN 2-Quinoxalinamine, 6,7-dichloro-N-(1-methylpropyl)-3-(methylsulfonyl)-

Patel

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(9CI) (CA INDEX NAME)

09483504.7

RN 281210-02-4 CAPLUS

CN 2-Quinoxalinamine, 6,7-dichloro-3-[[(6-fluoro-4H-1,3-benzodioxin-8-yl)methyl]sulfonyl]-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 281210-03-5 CAPLUS

CN 2H-Azepin-2-one, 3-[[6,7-dichloro-3-(methylsulfonyl)-2-quinoxalinyl]amino]hexahydro-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & & & \\ & N & & NH \\ & & & \\ C1 & & & \\ &$$

RN 281210-04-6 CAPLUS

CN 2-Quinoxalinamine, 6,7-dichloro-N-(1-ethylpropyl)-3-(methylsulfonyl)-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Cl} & \text{O} & \text{O} \\ \parallel & \text{S-Me} \\ \text{O} & \text{NH-CHEt}_2 \end{array}$$

RN 281210-07-9 CAPLUS

CN 2-Quinoxalinamine, 6,7-dichloro-N-(1,1-dimethylpropyl)-3-(methylsulfonyl)-(9CI) (CA INDEX NAME)

RN 281210-08-0 CAPLUS

CN 2-Quinoxalinamine, 6,7-dichloro-3-[[[4-(difluoromethoxy)phenyl]methyl]sulf onyl]-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 281210-09-1 CAPLUS

CN Quinoxaline, 6,7-dichloro-2-[(1-methylethyl)thio]-3-(methylsulfonyl)-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Cl & & \\ & & \\ Cl & & \\ & & \\ Cl & & \\$$

RN 281210-14-8 CAPLUS

CN 2-Quinoxalinamine, 6,7-dichloro-N-(1-methylethyl)-3-nitro-(9CI) (CA INDEX NAME)

RN 281210-16-0 CAPLUS

CN 2-Quinoxalinecarboxylic acid, 6,7-dichloro-3-(methylsulfonyl)-, methyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Cl & & & \\ & & & \\ Cl & & & \\ & & & \\ Cl & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

IT 281210-87-5 281210-94-4 281210-96-6

281210-98-8

RL: RCT (Reactant); RACT (Reactant or reagent) (prepn. of quinoxalines as non-peptide GLP-1 agonists)

RN 281210-87-5 CAPLUS

CN Ethanol, 2-[[6,7-dichloro-3-(dimethylamino)-2-quinoxalinyl]thio]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{C1} & \text{NMe}_2 \\ \\ \text{C1} & \text{S-CH}_2\text{-CH}_2\text{-OH} \end{array}$$

RN 281210-94-4 CAPLUS

CN Propanoic acid, 3-[[6,7-dichloro-3-(1-methylethyl)-8-nitro-2-quinoxalinyl]thio]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{NO2} & \text{S-CH}_2\text{-CH}_2\text{-CO}_2\text{H} \\ \hline \\ \text{Cl} & \text{Pr-i} \end{array}$$

RN 281210-96-6 CAPLUS

CN Propanamide, 3-[[6,7-dichloro-3-(1-methylethyl)-8-nitro-2-quinoxalinyl]thio]-N-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)

$$C1$$
 $NO2$ 
 $S-CH_2-CH_2-C-NH-CH_2-CH_2-N$ 
 $Pr-i$ 

RN 281210-98-8 CAPLUS

CN 2,3-Quinoxalinediamine, 6,7-dichloro-N-(1-methylethyl)- (9CI) (CA INDEX

NAME)

IT 281210-58-0P 281210-60-4P 281210-62-6P

281210-64-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of quinoxalines as non-peptide GLP-1 agonists)

RN 281210-58-0 CAPLUS

CN 2(1H)-Quinoxalinethione, 6,7-dichloro-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 281210-60-4 CAPLUS

CN 2(1H)-Quinoxalinethione, 6,7-dichloro-3-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 281210-62-6 CAPLUS

CN 2(1H)-Quinoxalinethione, 6,7-dichloro-3-[(1-methylethyl)amino]- (9CI) (CA INDEX NAME)

RN 281210-64-8 CAPLUS

CN 2(1H)-Quinoxalinethione, 6,7-dichloro-3-[(1,1-dimethylethyl)amino]- (9CI) (CA INDEX NAME)

GΙ

$$R^2$$
 $X$ 
 $L-A$ 
 $M-B$ 
 $R^4$ 
 $I$ 

AB The title compds. I [R1, R2, R3, R4 independently = H, halogen, CN, CF3, NO2, OR5, lower alkyl, SR5, S(O2)NR5R6, etc (a proviso is given); A, B = H, halogen, OH, CF3, CF2CF3, CN, NO2, alkyl, alkenyl, etc; L, M = (CH2)mS(CH2)n, (CH2)mO(CH2)n, (CH2)mS(O)(CH2)n, (CH2)mS(O)2(CH2)n, etc; X, V = :N or :CD; D = H, halogen, CN, CF3, NO2, etc; m, n independently = 0, 1, 2, 3, or 4 ] useful as non-peptide GLP-1 agonists for the treatment and/or prevention of disorders and diseases wherein an activation of the human GLP-1 receptor is beneficial, esp. metabolic disorders such as Type 1 diabetes, Type 2 diabetes and obesity (no data), are prepd. Formulations are given.

RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 100 CAPLUS COPYRIGHT 2003 ACS

AN 2000:11834 CAPLUS

DN 132:175202

TI Novel dichloroquinoxaline CXCR receptor antagonists

AU Anon.

CS USA

SO Expert Opinion on Therapeutic Patents (2000), 10(1), 121-123 CODEN: EOTPEG; ISSN: 1354-3776

PB Ashley Publications

DT Journal; General Review

LA English

IT 106739-62-2D, derivs.

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(novel dichloroquinoxaline CXCR receptor antagonists)

RN 106739-62-2 CAPLUS

CN 1,3-Propanediamine, N'-(6,7-dichloro-2-quinoxalinyl)-N,N-diethyl- (9CI) (CA INDEX NAME)

Patel <4/4/2003>

A review with 9 refs. Novel 2-(alkylaminoalkyl)amino-3-aryl-6,7-AB dichloroquinoxalines are claimed that act as selective antagonists of Specified examples inhibit IL-8 induced chemotaxis of human neutrophils with IC50 values in the 80 to 400 nM range. Such compds. provide a novel class of anti-inflammatory agents esp. suitable for the treatment of neutrophil mediated inflammatory diseases.

THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L4
    ANSWER 9 OF 100 CAPLUS COPYRIGHT 2003 ACS
```

1999:784084 CAPLUS ΑN

DN 132:22977

ΤI Preparation of (cyanoimino) quinoxaline derivatives as antagonists of glutamate receptors

Takada, Susumu; Chomei, Nobuo; Kihara, Tsuyoshi IN

PA Shionogi & Co., Ltd., Japan

PCT Int. Appl., 46 pp. SO

CODEN: PIXXD2

DTPatent

LΑ Japanese

FAN.CNT 1											
			APPLICATION NO. DATE								
DΤ	WO 9962887		WO 1999-JP2822 19990528								
			BB, BG, BR, BY, CA, CH, CN, CU, CZ,								
	•		GE, GH, GM, HR, HU, ID, IL, IN, IS,								
			LR, LS, LT, LU, LV, MD, MG, MK, MN,								
	•										
			RU, SD, SE, SG, SI, SK, SL, TJ, TM,								
	•		YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD,								
	•	TJ, TM	מין מין איז								
	•		SZ, UG, ZW, AT, BE, CH, CY, DE, DK,								
	•		LU, MC, NL, PT, SE, BF, BJ, CF, CG,								
	C1, C	CM, GA, GN, GW, ML, MR,	• • •								
	CN 0222515	77 10001200	JP 1998-151017 A 19980601 CA 1999-2333515 19990528 JP 1998-151017 A 19980601 WO 1999-JP2822 W 19990528								
	CA 2333515	AA 19991209									
	XII 0020552	A1 19991220									
		B2 20020221	AU 1999-39333 19990328								
	AU /442/4	BZ 20020221	JP 1998-151017 A 19980601								
			WO 1999-JP2822 W 19990528								
	BR 9910859	A 20010313									
	DK 9910639	A 20010313	JP 1998-151017 A 19980601								
			WO 1999-JP2822 W 19990528								
	ED 1007027	71 20010500	EP 1999-922540 19990528								
			GB, GR, IT, LI, LU, NL, SE, MC, PT,								
	IE, F		GB, GR, 11, L1, LU, NL, SE, MC, P1,								
	1E, F	r. T	JP 1998-151017 A 19980601								
			WO 1999-JP2822 W 19990528								
	סכנים מד	B2 20011119									
	UF 3431336	DZ Z0011119	UF 1333-330340 1333U3Z8								

JP 1998-151017 A 19980601

				WO	1999-JP2822	W	19990528
NZ	508280	A	20020927	NZ	1999-508280		19990528
				JP	1998-151017	Α	19980601
				WO	1999-JP2822	W	19990528
NO	2000006065	A	20010131	ИО	2000-6065		20001129
				JΡ	1998-151017	Α	19980601
				WO	1999-JP2822	W	19990528
US	6525054	B1	20030225	US	2000-701383		20001201
				JP	1998-151017	A	19980601
				WO	1999-JP2822	W	19990528

OS MARPAT 132:22977

# IT 251918-96-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of (cyanoimino)quinoxaline derivs. as antagonists of glutamate receptors for treatment of cerebral apoplexy)

RN 251918-96-4 CAPLUS

CN Cyanamide, (6,7-dichloro-5-nitro-2,3-quinoxalinediyl)bis- (9CI) (CA INDEX NAME)

GΙ

AB Cyanoiminoquinoxaline derivs. represented by general formula (I; wherein X and Y are each independently O or :NCN, provided at least either of X and Y is :NCN; R1, R2, R3 and R4 are each independently hydrogen, halogeno, nitro, an optionally substituted heterocyclic group or the like; and R5 is hydrogen or the like, or alternatively R1 and R2, R2 and R3, R3 and R4, and R4 and R5 each together with the atoms adjacent thereto may form a carbocycle which may be substituted or contain a heteroatom), which exhibit antagonism against glutamate receptors, in particular NMDA (N-methyl-D-aspartic acid) receptor and AMPA [2-amino-3-(3-hydroxy-5-methylisoxazol-4-yl)propanoic acid] receptor without kidney toxicity and are useful as preventive or therapeutic agents for diseases due to hyperexcitation of glutamate receptors (in particular cerebral apoplexy) are prepd. Thus, 2-(cyanoimino)-1,4-dihydro-7-fluoro-6-nitro-3-quinoxaline disodium salt and 4-hydroxypyridine were added to DMSO and

heated with stirring at 130.degree. for 3 h and dild. with water under ice-cooling and acidified to pH 3 with 1 N HCl to give the title compd. (II) which was converted to the Na salt. II.Na in vitro inhibited the binding of 3H-AMPA and 3H-glycine to homogenized rat cerebral cortex with IC50 of 0.034 and 7.5 .mu.M, resp.

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 10 OF 100 CAPLUS COPYRIGHT 2003 ACS
L4
AN
    1999:549272 CAPLUS
DN
    131:170359
    Preparation of substituted quinoxaline derivatives as interleukin-8
ΤI
    receptor antagonists
    Carson, Kenneth G.; Connor, David Thomas; Li, Jie Jack; Low, Joseph Edwin;
IN
    Luly, Jay R.; Miller, Steven Robert; Roth, Bruce David; Trivedi, Bharat
    Kalidas
PA
    Warner-Lambert Company, USA
SO
    PCT Int. Appl., 200 pp.
    CODEN: PIXXD2
DT
    Patent
LΑ
    English
FAN.CNT 2
    PATENT NO.
                                       APPLICATION NO. DATE
                KIND DATE
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                                        ______
                                    WO 1999-US2581 19990205
    WO 9942463
                    A1 19990826
PΤ
        W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GD, GE, HR, HU, ID,
            IL, IN, IS, JP, KP, KR, LC, LK, LR, LV, MG, MK, MN, MX, NO, NZ,
            PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY,
            KG, KZ, MD, RU, TJ, TM
        RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
            FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
            CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                         US 1998-75551P P 19980223
    AU 9926603
                                         AU 1999-26603
                      Α1
                           19990906
                                                          19990205
                                         US 1998-75551P P 19980223
                                         WO 1999-US2581 W 19990205
    ZA 9901413
                           19990830
                                         ZA 1999-1413
                                                         19990222
                                         US 1998-75551P P 19980223
PATENT FAMILY INFORMATION:
FAN 1999:549270
    PATENT NO.
                     KIND DATE
                                         APPLICATION NO. DATE
                    ____
                                         -----
                          -----
                    A1 19990826
                                        WO 1998-US26707 19981215
PΙ
    WO 9942461
        W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HR, HU, ID, IL,
            IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL,
            RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG,
            KZ, MD, RU, TJ, TM
        RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
            FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
            CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                         US 1998-75551P P 19980223
    AU 9919182
                      Α1
                           19990906
                                         AU 1999-19182
                                                          19981215
                                         US 1998-75551P P 19980223
                                         WO 1998-US26707W 19981215
    ZA 9901413
                           19990830
                                         ZA 1999-1413
                                                        19990222
                                         US 1998-75551P P 19980223
OS
    MARPAT 131:170359
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239094-95-2P 239095-04-6P 239095-38-6P

ΙT

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of substituted quinoxaline derivs. as interleukin receptor antagonists)

RN 239094-95-2 CAPLUS

CN 1,4-Butanediamine, N'-[6,7-dichloro-3-(1-ethoxyethenyl)-2-quinoxalinyl]-N,N-diethyl-(9CI) (CA INDEX NAME)

C1 NH- (CH<sub>2</sub>)<sub>4</sub>-NEt<sub>2</sub>

$$C-OEt$$

$$C+OEt$$

$$C+OEt$$

$$C+OEt$$

RN 239095-04-6 CAPLUS

CN 1,3-Propanediamine, N'-[6,7-dichloro-3-(2-naphthalenyl)-2-quinoxalinyl]N,N-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)

### HC1

RN 239095-38-6 CAPLUS

CN 1,3-Propanediamine, N'-[6,7-dichloro-3-(2-naphthalenyl)-2-quinoxalinyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

GΙ

AΒ Title compds. [I; R = H, Cl, F; R-R3 = CH2CH2CH2; R1 = 2-pyridyl, 2-thienyl, 2-furyl, 5-methyl-2-furyl, C(:CH2)OEt, 2-thienyl-2-thienyl, 5-chloro-2-thienyl, 5-methoxy-2-thienyl, 5-propyl-2-thienyl, 2-naphthyl, 5-phenyl-2-thienyl, OMe; R2 = 4-HNCH(CH2)2CH(CH2CH2)NMe2, 4-Et2NCH2C6H4NH, Me2N(CH2)3NH, Me2(CH2)4NH, Et2(CH2)4NH; R3 = C1, F, H, CF3; R4 = H, NO2; R5 = H, C1] are described as well as methods for the prepn. and pharmaceutical compns. of same, which are useful as interleukin-8 (IL-8) receptor antagonists and can be used in the treatment of a chemokine-mediated disease wherein the chemokine binds to an IL-8a (CXCR1) or b (CXCR2) receptor such as a chemokine-mediated disease selected from psoriasis, or atopic dermatitis, disease assocd. with pathol. angiogenesis (i.e. cancer), asthma, chronic obstructive pulmonary disease, adult respiratory distress syndrome, arthritis, inflammatory bowel disease, Crohn's disease, ulcerative colitis, gastric ulcer, septic shock, endotoxic shock, gram-neg. sepsis, toxic shock syndrome, stroke, cardiac and renal reperfusion injury, glomerulo-nephritis, or thrombosis, Alzheimer's disease, graft vs. host reaction, allograft rejections, or allergic diseases. The title compd. I () was prepd.

ΙI

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 100 CAPLUS COPYRIGHT 2003 ACS

AN 1999:363560 CAPLUS

DN 131:116212

TI Synthesis of 3-aryl and 3-heterocyclic quinoxalin-2-ylamines via Pd-catalyzed cross-coupling reactions

AU Li, Jie Jack; Yue, Wen Song

CS Medicinal Chemistry Department, Parke-Davis Pharmaceutical Research Division, Warner-Lambert Company, Ann Arbor, MI, 48105, USA

SO Tetrahedron Letters (1999), 40(24), 4507-4510 CODEN: TELEAY; ISSN: 0040-4039

PB Elsevier Science Ltd.

DT Journal

LA English

IT 232604-15-8P 232604-16-9P 232604-18-1P

RL: SPN (Synthetic preparation); PREP (Preparation) (3-aryl and 3-heterocyclic quinoxalin-2-ylamines via Pd-catalyzed

Patel <4/4/2003>

cross-coupling reactions)

RN 232604-15-8 CAPLUS

CN 2-Quinoxalinamine, 6,7-dichloro-3-(2-naphthalenyl)- (9CI) (CA INDEX NAME)

RN 232604-16-9 CAPLUS

CN 2-Quinoxalinamine, 6,7-dichloro-3-phenyl- (9CI) (CA INDEX NAME)

RN 232604-18-1 CAPLUS

CN 2-Quinoxalinamine, 6,7-dichloro-3-(3-nitrophenyl)- (9CI) (CA INDEX NAME)

AB Facile and high yielding Suzuki and Stille cross-coupling reactions of 3-bromoquinoxalin-2-ylamines were developed to synthesize a variety of novel and diversely functionalized 3-aryl and 3-heterocyclic quinoxalin-2-ylamines. The prepn. of the substrates and the remarkable impact that substituents have on the regiochem. outcome are discussed.

RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L4 ANSWER 12 OF 100 CAPLUS COPYRIGHT 2003 ACS
- AN 1999:206733 CAPLUS
- DN 131:18980
- TI Elemental fluorine. Part 10. Selective fluorination of pyridine, quinoline and quinoxaline derivatives with fluorine-iodine mixtures
- AU Chambers, Richard D.; Parsons, Mandy; Sandford, Graham; Skinner, Christopher J.; Atherton, Malcolm J.; Moilliet, John S.
- CS Department of Chemistry, University of Durham, Durham, DH1 3LE, UK
- SO Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1999), (7), 803-810 CODEN: JCPRB4; ISSN: 0300-922X
- PB Royal Society of Chemistry
- DT Journal
- LA English

OS CASREACT 131:18980

IT 19853-64-6P, 6,7-Dichloroquinoxaline RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)
 (prepn. and attempted fluorination of)

RN 19853-64-6 CAPLUS

CN Quinoxaline, 6,7-dichloro- (8CI, 9CI) (CA INDEX NAME)

AB Selective fluorination of a range of pyridine and quinoline substrates to give corresponding 2-fluoro derivs. can be readily achieved in high yield at room temp. using elemental fluorine-iodine mixts. Reaction of fluorine with iodine forms, in situ, systems that function like sources of both iodonium and fluoride ions and fluorination of heterocyclic derivs. is suggested to proceed by fluoride ion attack on intermediate N-iodo heterocyclic species. Quinoxaline derivs. react under similar conditions to give either the 2-fluoro- or 2,3-difluoroquinoxaline derivs., depending on the ratio of fluorine passed through the soln. In related processes, pyridine can be alkoxylated upon reaction of an appropriate alc. and fluorine.

RE.CNT 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 100 CAPLUS COPYRIGHT 2003 ACS

AN 1999:142480 CAPLUS

DN 130:276242

TI New quinoxaline 1,4-di-N-oxides for treatment of tuberculosis

AU Sainz, Yolanda; Montoya, Maria Elena; Martinez-Crespo, Francisco Javier; Ortega, Miquel Angel; Lopez de Cerain, Adela; Monge, Antonio

CS Centro Investigacion Farmacobiologia Aplicada, Universidad Navarra, Pamplona, E-31080, Spain

SO Arzneimittel-Forschung (1999), 49(1), 55-59 CODEN: ARZNAD; ISSN: 0004-4172

PB Editio Cantor Verlag

DT Journal

LA English

IT 222846-29-9P 222846-37-9P 222846-43-7P

RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (new quinoxaline 1,4-di-N-oxides for treatment of tuberculosis)

RN 222846-29-9 CAPLUS

CN Quinoxaline, 6,7-dichloro-2-ethyl-3-methyl-, 1,4-dioxide (9CI) (CA INDEX NAME)

Patel <4/4/2003>

RN 222846-37-9 CAPLUS

CN 2-Quinoxalinecarboxylic acid, 6,7-dichloro-3-methyl-, ethyl ester, 1,4-dioxide (9CI) (CA INDEX NAME)

RN 222846-43-7 CAPLUS

CN Acetamide, N-(6,7-dichloro-3-cyano-1,4-dioxido-2-quinoxalinyl)- (9CI) (CA INDEX NAME)

RN 222846-61-9 CAPLUS

CN Urea, N-(6,7-dichloro-3-cyano-1,4-dioxido-2-quinoxalinyl)-N'-[2-(dimethylamino)ethyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O & O & O \\ N & NH-C-NH-CH_2-CH_2-NMe_2 \\ \hline C1 & O & CN \\ \end{array}$$

AB Some quinoxaline 1,4-di-N-oxides derivs. with very different substituents in 2, 3, 6, and 7 positions were synthesized to obtain new hypoxia selective agents. Some of these products were tested as antituberculosis agents and very interesting results were obtained from the 1st screening.

RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L4 ANSWER 14 OF 100 CAPLUS COPYRIGHT 2003 ACS
- AN 1998:550899 CAPLUS
- DN 129:276185
- TI Synthesis of imidazo[4,5-b]quinoxaline ribonucleosides as linear dimensional analogs of antiviral polyhalogenated benzimidazole ribonucleosides
- AU Zhu, Zhijian; Saluja, Sunita; Drach, John C.; Townsend, Leroy B.
- CS Department of Chemistry, University of Michigan, Ann Arbor, MI, 48109-1065, USA
- SO Journal of the Chinese Chemical Society (Taipei) (1998), 45(4), 465-474 CODEN: JCCTAC; ISSN: 0009-4536
- PB Chinese Chemical Society
- DT Journal
- LA English
- IT 192075-86-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of imidazoquinoxaline ribonucleosides as linear dimensional analogs of antiviral polyhalogenated benzimidazole ribonucleosides)

- RN 192075-86-8 CAPLUS
- CN 2,3-Quinoxalinediamine, 6,7-dichloro- (9CI) (CA INDEX NAME)

AB We have recently found that 2,5,6-trichloro-1-(.beta.-Dribofuranosyl)benzimidazole (TCRB) and the corresponding 2-bromo analog have better in vitro activities against HCMV than the clin. used agents ganciclovir and foscarnet. These benzimidazole nucleosides act by a unique mechanism, however, their biol. target has not been completely identified. As an approach to probing the target, we have designed imidazo[4,5-b]quinoxaline nucleosides as linear dimensional analogs of the benzimidazole nucleosides to study the spatial limitation of the binding site in the target enzyme. A convenient route was developed for the synthesis of 2-substituted 6,7-dichloroimidazo[4,5-b]quinoxalines involving a reaction of 2,3,6,7- tetrachloroquinoxaline with ammonia followed by a ring annulation as the key step. This furnished the versatile heterocycle 6,7-dichloroimidazo[4,5-b]quinoxalin-2-one. Ribosylation of 2-substituted imidazo[4,5-b]quinoxalines was influenced by the functional group at the 2-position and the 2-one compd. was found to smoothly undergo ribosylation. The 2-one group of the nucleoside was converted into specifically selected 2-substituted compds. Evaluation of the compds. for activity against two herpes viruses and for cytotoxicity showed they were less active and/or more cytotoxic than TCRB. We conclude therefore, that the binding pocket on the protein target of TCRB will tolerate some electronic and size changes.

Patel <4/4/2003>

# RE.CNT 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L4 ANSWER 15 OF 100 CAPLUS COPYRIGHT 2003 ACS
- AN 1998:534888 CAPLUS
- DN 129:156926
- TI Methods and compositions using receptor tyrosine kinase inhibitors for inhibiting cell proliferative disorders, and inhibitor preparation
- IN Chen, Hui; Gazit, Aviv; Hirth, Klaus Peter; Mann, Elaina; Shawver, Laura
   K.; Tsai, Jianming; Tang, Peng Cho
- PA Sugen, Inc., USA; Yissum Research & Development Company of the Hebrew University of Jerusalem
- SO U.S., 41 pp., Cont.-in-part of U.S. Ser. No. 207,933, abandoned. CODEN: USXXAM
- DT Patent
- LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
ΡI	US 5789427	A	19980804	US 1995-399967	19950307		
			•	US 1994-207933	19940307		
	US 5773476	A	19980630	US 1995-486775	19950607		
				US 1994-207933	19940307		
				US 1995-399967	19950307		

#### PATENT FAMILY INFORMATION:

FAN 1995:926425

	PA?	CENT I	NO.		KI	ND	DATE			Al	PPLI	CATI	ои ис	Э.	DATE			
								<del>-</del>										
PΙ	WO	9524	190		A:	2	1995	0914		W(	) 19:	95-U	5282	5	1995	0306		
	WO	9524	190		A.	3	1995	1109										
		W :	AM,	AT,	AU,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CZ,	DE,	DK,	ĒĒ,	ES,	FI,
			GB,	GE,	HU,	JP,	KE,	KG,	KP,	KR,	ΚŻ,	LK,	LR,	LT,	LU,	LV,	MD,	MG,
			MN,	MW,	MX,	NL,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	TJ,
			TT,	UA														
		RW:	KE,	MW,	SD,	SZ,	UG,	AT,	ΒE,	CH,	DΕ,	DK,	ES,	FR,	GB,	GR,	ΙE,	IT,
			LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	ML,	MR,	NE,
			SN,	TD,	TG													
										US	5 19	94-2	0793	3	1994	0307		
	AU	9520	968		A	1	1995	0925		Αl	J 19	95-2	0968		1995	0306		

OS MARPAT 129:156926

## IT 71896-95-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(receptor tyrosine kinase inhibitors, and prepn. thereof, for inhibiting cell proliferative disorders)

- RN 71896-95-2 CAPLUS
- CN Quinoxaline, 6,7-dichloro-2-phenyl- (9CI) (CA INDEX NAME)

US 1994-207933

WO 1995-US2826

19940307

19950306

AB The invention concerns compds. and their use to inhibit the activity of a receptor tyrosine kinase. The invention is preferably used to treat cell proliferative disorders, e.g. cancers characterized by over-activity or inappropriate activity HER2 or EGFR.

RE.CNT 90 THERE ARE 90 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 16 OF 100 CAPLUS COPYRIGHT 2003 ACS
L4
    1998:424230 CAPLUS
AN
    129:81730
DN
    Preparation of (hetero)arylacrylates as modulators of proteins with
ΤI
    phosphotyrosine recognition units.
    Mjalli, Adnan; Sarshar, Sepehr; Cao, Xiaodong; Bakir, Farid
IN
PA
    Ontogen Corp., USA
SO
    PCT Int. Appl., 202 pp.
    CODEN: PIXXD2
DT
    Patent
LΑ
    English
FAN.CNT 3
    PATENT NO.
                    KIND DATE
                                        APPLICATION NO. DATE
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                          19980625
    WO 9827065 A1
                                        WO 1996-US20508 19961216
        W: AU, CA, JP
        RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
    AU 9715667
                   A1 19980715
                                        AU 1997-15667 19961216
    AU 740425
                    B2
                          20011101
                                        US 1995-543630 A 19951016
                                        WO 1996-US20508W 19961216
                   A1 19991006
                                        EP 1996-945409 19961216
    EP 946518
        R: CH, DE, ES, FR, GB, IT, LI, SE
                                        WO 1996-US20508W 19961216
    JP 2001506997
                    T2 20010529
                                        JP 1998-527650 19961216
                                        WO 1996-US20508W 19961216
PATENT FAMILY INFORMATION:
FAN 1997:299627
                                        APPLICATION NO. DATE
    PATENT NO.
                    KIND DATE
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                                        WO 1996-US18401 19960619
ΡI
    WO 9708934
                     A2
                          19970313
    WO 9708934
                          19970424
                    A3
        W: AU, CA, JP
        RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
                                        US 1995-17610P P 19950619
                                        US 1995-492264 A 19950619
                                        US 1995-543630 A 19951016
    US 5770620
                     Α
                          19980623
                                        US 1995-543630
                                                       19951016
    CA 2224874
                    AA
                          19970313
                                        CA 1996-2224874 19960619
                                        US 1995-492264 A 19950619
                                        US 1995-543630 A 19951016
    EP 833629
                                        EP 1996-940489
                    A2
                          19980408
                                                       19960619
        R: CH, DE, ES, FR, GB, IT, LI, SE
                                        US 1995-492264 A 19950619
                                        US 1995-543630 A 19951016
                                        WO 1996-US18401W 19960619
                                        JP 1996-511473 19960619
    JP 11508919
                     T2
                          19990803
                                        US 1995-492264 A 19950619
                                        US 1995-543630 A 19951016
                                        WO 1996-US18401W 19960619
    AU 9677358
                    A1
                          19970327
                                        AU 1996-77358
                                                        19961024
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Patel

	AU 713863	B2	19991209	
				US 1995-492264 A 19950619 US 1995-543630 A 19951016 WO 1996-US18401W 19960619
	US 6388076 .	В1	20020514	US 2000-645785 20000824 US 1995-17610P P 19950619 US 1995-543630 A319951016
FAN	1998:324829			
	PATENT NO.	KIND	DATE	APPLICATION NO. DATE
ΡI	US 5753687	Α	19980519	US 1996-766114 19961216
				US 1995-543630 A219951016
	US 5770620	A	19980623	US 1995-543630 19951016
	US 5965558	Α	19991012	US 1997-960637 19971029
				US 1995-543630 A219951016
				US 1996-766114 A319961216
	US 6150532	Α	20001121	US 1998-210076 19981211
				US 1995-17610P P 19950619
				US 1995-543630 A219951019
				US 1996-766114 A319961216
				US 1997-960637 A319971029
	US 2002183518	<b>A</b> 1	20021205	US 2001-995550 20011127
				US 1995-17610P P 19950619
				US 1995-543630 A319951016
				US 1996-766114 A219961216
				US 1997-960637 A319971029
				US 1998-210076 A319981211
				US 2000-645785 A120000824
				US 2000-645/85 AI20000824

OS MARPAT 129:81730

IT 207866-13-5P 207866-14-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of (hetero)arylacrylates as modulators of proteins with phosphotyrosine recognition units)

RN 207866-13-5 CAPLUS

CN 2-Propenoic acid, 3,3'-[(6,7-dichloro-2,3-quinoxalinediyl)di-4,1-phenylene]bis-(9CI) (CA INDEX NAME)

$$C1$$
 $C1$ 
 $CH$ 
 $CH$ 
 $CH$ 
 $CH$ 
 $CH$ 
 $CH$ 

RN 207866-14-6 CAPLUS

CN 2-Propenoic acid, 3,3'-[(6,7-dichloro-2,3-quinoxalinediyl)di-4,1-phenylene]bis-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 207866-13-5

CMF C26 H16 C12 N2 O4

CM 2

CRN 76-05-1 CMF C2 H F3 O2

GΙ

AB YXC(R'):C(R")CO2R''' [R', R'' = H, halo, cyano, NO2, trihalomethyl, alkyl, arylalkyl; R''' = H, (substituted) alkyl, aryl, arylalkyl; X = aryl; Y = H, (substituted) CO2CHCO, COCO, COCHOH, imidazolyl, thiazolyl, oxazolyl, quinoxalinyl, pyridopyrazinyl, etc.], were prepd. Thus, title compd. (I) (general prepn. given) inhibited protein tyrosine phosphatase 1B with IC50 = 0.072 .mu.M.

Ι

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ANSWER 17 OF 100 CAPLUS COPYRIGHT 2003 ACS
T.4
AN
    1998:324829 CAPLUS
DN
    129:27943
    Preparation of heterocyclic compounds as modulators of proteins with
ΤI
    phosphotyrosine recognition units
    Mjalli, Adnan; Sarshar, Sepehr; Cao, Xiaodong; Bakir, Farid
IN
    Ontogen Corp., USA
PA
    U.S., 50 pp., Cont.-in-part of U.S. Ser. No. 543,630.
SO
    CODEN: USXXAM
DT
    Patent
LΑ
    English
FAN.CNT 3
    PATENT NO.
                KIND DATE
                                        APPLICATION NO. DATE
    _____
                    ____
                                        _____
    US 5753687
                   Α
                          19980519
                                        US 1996-766114 19961216
PT
                                        US 1995-543630 A219951016
               Α
    US 5770620
                          19980623
                                        US 1995-543630 19951016
    US 5965558
                    Α
                          19991012
                                        US 1997-960637
                                                        19971029
                                        US 1995-543630 A219951016
                                        US 1996-766114 A319961216
    US 6150532
               A 20001121
                                        US 1998-210076 19981211
                                        US 1995-17610P P 19950619
                                        US 1995-543630 A219951019
                                        US 1996-766114 A319961216
                                        US 1997-960637 A319971029
    US 2002183518
                    A1
                          20021205
                                        US 2001-995550 20011127
                                        US 1995-17610P P 19950619
                                        US 1995-543630 A319951016
                                        US 1996-766114 A219961216
                                        US 1997-960637 A319971029
                                        US 1998-210076 A319981211
                                        US 2000-645785 A120000824
PATENT FAMILY INFORMATION:
FAN 1997:299627
                                      APPLICATION NO. DATE
    PATENT NO.
                    KIND DATE
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                                        _____
    WO 9708934
PΙ
                     A2
                          19970313
                                        WO 1996-US18401 19960619
    WO 9708934
                    A3
                          19970424
        W: AU, CA, JP
        RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
                                        US 1995-17610P P 19950619
                                        US 1995-492264 A 19950619
                                        US 1995-543630 A 19951016
    US 5770620
                          19980623
                                        US 1995-543630
                    Α
                                        CA 1996-2224874 19960619
    CA 2224874
                    AΑ
                          19970313
                                        US 1995-492264 A 19950619
                                        US 1995-543630 A 19951016
                                        EP 1996-940489 19960619
    EP 833629
                    A2 19980408
        R: CH, DE, ES, FR, GB, IT, LI, SE
                                        US 1995-492264 A 19950619
                                        US 1995-543630 A 19951016
                                        WO 1996-US18401W 19960619
                                        JP 1996-511473 19960619
    JP 11508919
                    T2
                          19990803
                                        US 1995-492264 A 19950619
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US 1995-543630 A 19951016

			WO 1996-US18401W	19960619
AU 9677358	<b>A</b> 1	19970327	AU 1996-77358	19961024
			US 1995-492264 A	19950619
			US 1995-543630 A	19951016
US 6388076	B1	20020514		
			US 1995-543630 A	319951016
1998:424230				
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9827065	A1	19980625	WO 1996-US20508	19961216
W: AU, CA,	JP			
RW: AT, BE,	CH, DE	, DK, ES, FI	, FR, GB, GR, IE, IT	, LU, MC, NL, PT, SE
			AU 1997-15667	19961216
AU 740425	B2	20011101		
				19961216
R: CH, DE,	ES, FR	, GB, IT, LI	, SE	10061216
JP 2001506997	T2	20010529	JP 1998-52/650	19361216
Wannam 100 0504	•		WO 1996-US20508W	13301710
	AU 713863  US 6388076  1998:424230 PATENT NO	AU 713863 B2  US 6388076 B1  1998:424230 PATENT NO. KIND	AU 713863  B2 19991209  US 6388076  B1 20020514  1998:424230 PATENT NO. KIND DATE	US 1995-492264 A US 1995-543630 A WO 1996-US18401W US 6388076 B1 20020514 US 2000-645785 US 1995-17610P P US 1995-543630 A3  1998:424230 PATENT NO. KIND DATE APPLICATION NO.  WO 9827065 A1 19980625 WO 1996-US20508 W: AU, CA, JP RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, AU 9715667 AU 740425 B2 20011101 US 1995-543630 A WO 1996-US20508W EP 946518 A1 19991006 EP 1996-945409 R: CH, DE, ES, FR, GB, IT, LI, SE WO 1996-US20508W JP 2001506997 T2 20010529 JP 1998-527650 WO 1996-US20508W

OS MARPAT 129:27943

IT 207866-14-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of heterocyclic compds. as modulators of proteins with phosphotyrosine recognition units)

RN 207866-14-6 CAPLUS

CN 2-Propenoic acid, 3,3'-[(6,7-dichloro-2,3-quinoxalinediyl)di-4,1-phenylene]bis-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 207866-13-5 CMF C26 H16 Cl2 N2 O4

$$C1$$
  $CH$   $CH$   $CH$   $CH$   $CH$ 

CM 2

CRN 76-05-1 CMF C2 H F3 O2

GΙ

$$\begin{array}{c}
\mathbb{R}^{3} & \mathbb{R}^{4} \\
\mathbb{N} & \mathbb{N} \\
\mathbb{R}^{2}
\end{array}$$

AB The title compds. I [at least one of R1 - R4 is XC(R'):C(R'')CO2R'''; R', R'' = H, halo, etc.; R''' = H, alkyl, etc.; X = mono-, di-, or trisubstituted aryl; the remaining of R1, R2, R3, R4 are independently selected from H, alkyl, etc.] are prepd. The title compds. in vitro showed IC50 values of 0.072 .mu.M to 31 .mu.M against PTP1B.

RE.CNT 78 THERE ARE 78 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 18 OF 100 CAPLUS COPYRIGHT 2003 ACS

AN 1998:258146 CAPLUS

Ι

DN 129:27125

TI Quantitative analysis of diacetyl, pentanedione and their precursors during beer fermentation by an accurate GC/MS method

AU Landaud, Sophie; Lieben, Pascale; Picque, Daniel

CS Laboratoire de Genie et Microbiologie des Procedes Alimentaires INRA, Thiverval-Grignon, F-78850, Fr.

SO Journal of the Institute of Brewing (1998), 104(2), 93-99 CODEN: JINBAL; ISSN: 0046-9750

PB Institute of Brewing

DT Journal

LA English

IT 208117-51-5

RL: ARU (Analytical role, unclassified); ANST (Analytical study) (quant. anal. of diacetyl, pentanedione and their precursors during beer fermn. by an accurate GC/MS method)

RN 208117-51-5 CAPLUS

CN Quinoxaline, 6,7-dichloro-2-ethyl-3-methyl- (9CI) (CA INDEX NAME)

AB A GC/MS method previously described for diacetyl was developed for the

quantification of 2,3-pentanedione, and the derivatization procedure was modified for the detn. of .alpha.-acetohydroxy acid. The reaction of 2,3-pentanedione with 4,5-dichloro-1,2-diaminobenzene produced 6,7-dichloro-2-methyl-3-ethylquinoxaline (DCMEQ), which was extd. with toluene and detd. by gas chromatog. using a mass selective detector. The formation of DCMEQ was linearly correlated with the 2,3-pentanedione concn. The method was very simple and sensitive. The detection limit of the 2,3-pentanedione deriv. and diacetyl deriv. was 0.0007 mg/L and 0.0002 mg/L of the toluene ext. resp., and the detn. limit was 0.001 mg/L and 0.0007 mg/L, resp. Cautious sample treatment led to a low (10%) and controlled conversion of .alpha.-acetohydroxy acids to vicinal diketones. This reproducible percentage of conversion made it possible to det. precisely free vicinal diketones and .alpha.-acetohydroxy acids. The method was applied to the detn. of precursors and vicinal diketones concns. during beer fermn.

RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L4 ANSWER 19 OF 100 CAPLUS COPYRIGHT 2003 ACS
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AN 1997:467735 CAPLUS

DN 127:95295

- TI Preparation of 3-aminoquinoxaline-2-one compounds having activity at the glycine binding site of the N-methyl-D-aspartate (NMDA)-receptor
- IN Bata, Imre; Batori, Sandor; Bence, Judit; Bocskei, Zsolt; Csikos, Eva; Erdo, Sandor; Gonczi, Csaba; Hermecz, Istvan; Heja, Gergely; Lakics, Viktor; Majlath, Csilla; Molnar, Peter; Podanyi, Benjamin; Ritz, Imola; Santane, Csutor Andrea; Szokene, Szappanos Andrea; Szvoboda, Gyorgyne; et al.
- PA Chinoin Gyogyszer Es Vegyeszeti Termekek Gyara Rt.To U. 1-5h-1045 Budapest, Hung.; Batori, Sandor; Bence, Judit
- SO PCT Int. Appl., 30 pp. CODEN: PIXXD2

DT Patent

LA English

FAN. CNT 1

FAN.	PATENT	NO.		KI	ND	DATE			A	PPLI	CATI	N NC	o. i	DATE			
ΡI	WO 971	9934		A	1	 1997	0605		W	0 19:	 96-H	U72	<b></b>	1996:	1128		
	W:	AL,	AM,	ΑT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CU,	CZ,	DE,
		DK,	EE,	ES,	FI,	GB,	GE,	HU,	ΙL,	IS,	JP,	ΚE,	KG,	ΚP,	KR,	KZ,	LC,
		LK,	LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,	MN,	MW,	MX,	NO,	ΝZ,	PL,	PT,
		RO,	RU,	SD,	SE,	SG,	SI,	SK,	ТJ,	TM,	TR,	TT,	UA,	UG,	US,	UZ,	VN,
		AM,	ΑZ,	BY,	KG,	KZ,	MD,	RU,	TJ,	TM							
	RW	: KE,	LS,	MW,	SD,	SZ,	UG,	AT,	BE,	CH,	DE,	DK,	ES,	FΙ,	FR,	GB,	GR,
		ΙE,	ΙT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	ML,
		MR,	ΝE,	SN,	TD,	TG											
									H	U 19	95-3	422	A :	1995	1130		
	HU 7630					1997	0728		H	U 19	95-3	422	;	1995	1130		
	ZA 9610	0002		Α		1997	0613		$\mathbf{Z}_{\mathbf{z}}$	A 19	96-1	0002	:	1996	1128		
									H	U 19	95-3	422	Α :	1995	1130		
	AU 967	7053		A	1	1997	0619		A	U 199	96-7	7053		1996	1128		
									H	U 19	95-3	122	Α :	1995	1130		
									W	O 19	96-H	J72	W	1996:	1128		

OS MARPAT 127:95295

### IT 192075-86-8P 192075-93-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of aminoquinoxalineone compds. having activity at glycine binding site of NMDA receptor as disease therapy)

RN 192075-86-8 CAPLUS

CN 2,3-Quinoxalinediamine, 6,7-dichloro- (9CI) (CA INDEX NAME)

RN 192075-93-7 CAPLUS

CN 2(1H)-Quinoxalinethione, 6,7-dichloro-3-(phenylamino)- (9CI) (CA INDEX NAME)

GI

Ι

The invention relates to compds. of general formula (I; Z1 = hydrogen, AB hydroxy, C1-4 alkyl, C7-9 phenylalkyl, optionally substituted Ph, C02-C1-4 alkyl, C2-14 acyl, C1-4 alkylsulfonyl, trifluoromethyl-sulfonyl, optionally substituted benzoyl, optionally substituted phenyl-sulfonyl group; Y1 = hydrogen, or optionally substituted amino group, or Y1 and Z1 form together a CO2 group, where Y2 and Z2 mean together a valency bond, or Y1 and Z2 mean together a valency bond, or Y1 and Y2 mean together a valency bond, and at the same time Z2 = hydrogen, hydroxy, C1-4 alkyl, C7-9 phenylalkyl, optionally substituted Ph, CO2C1-4 alkyl, C2-4 alkylsulfonyl, trifluoromethyl-sulfonyl, optionally substituted benzoyl, optionally substituted phenyl-sulfonyl group; X1 and X2 mean together O. or S, or X1 = hydrogen, NHR4 or WR5 groups, and at the same time X2 = hydrogen, or X2 and X3 together form a valency bond; X3 = hydrogen, C1-4, C7-9 phenylalkyl, optionally substituted Ph; R1, R2 = hydrogen, halogen, C1-4 alkyl, trifluoromethyl, cyano, mercapto or sulfonylamido group, R3 = hydrogen or nitro group; R4 = hydrogen or hydroxy group; R5 = hydrogen, C1-4 alkyl, C7-9 phenylalkyl group; W = oxygen or sulfur; some proviso given) and salts, tautomeric forms and N-oxides thereof. They show a significant activity at the glycine binding site of the NMDA-receptor and

therefore may have a significant neuroprotective effect which may play a therapeutic role in the treatment of Alzheimer disease, stroke, epilepsy, AIDS, and Parkinson's disease. 3-Lauroylamino-6,7-dichloro-8-nitroquinoxaline-2-one showed 54 IC50 of .mu.g/mL for inhibiting the . binding of [3H]dichlorokinurenic acid (DCK) to homogenized rat cerebellum and brain stem (J. Pharma. Pharmacol., 44, 812-816, 1992) vs. 4,000 nM for 6-trifluoromethylquinoxaline-2,3-dione.

L4 ANSWER 20 OF 100 CAPLUS COPYRIGHT 2003 ACS

AN 1997:120107 CAPLUS

DN 126:225271

TI Quinoxalino-fused sultines and their application in Diels-Alder reactions

AU Chung, Wen-Sheng; Liu, Jing-Horng

CS Dep. Appl. Chem., Natl. Chiao Tung Univ., Taichung, 30050, Taiwan

SO Chemical Communications (Cambridge) (1997), (2), 205-206 CODEN: CHCOFS; ISSN: 1359-7345

PB Royal Society of Chemistry

DT Journal

LA English

OS CASREACT 126:225271

IT 52736-71-7P

RL: BYP (Byproduct); PREP (Preparation)

(prepn. and Diels-Alder reactions of quinoxalino-fused sultines)

RN 52736-71-7 CAPLUS

CN Quinoxaline, 6,7-chloro-2,3-dimethyl- (9CI) (CA INDEX NAME)

IT 3298-96-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and Diels-Alder reactions of quinoxalino-fused sultines)

RN 3298-96-2 CAPLUS

CN Quinoxaline, 2,3-bis(bromomethyl)-6,7-dichloro- (7CI, 8CI, 9CI) (CA INDEX NAME)

GI

AB The synthesis of 7,8-disubstituted quinoxalino[2,3-d]-[1,2-.lambda.4]oxathiine 2-oxides I (R = H, Me, Cl), precursors for quinoxalino-o-quinodimethanes, and their application in the Diels-Alder reactions are reported.

L4 ANSWER 21 OF 100 CAPLUS COPYRIGHT 2003 ACS

Ι

AN 1997:81442 CAPLUS

DN 126:157473

TI 4-Cyano-2-oxo-1,2,4-oxadiazolo[2,3-a]quinoxaline 5-N-oxides. New synthetic method and reaction with alcohols. Potential cytotoxic activity

AU Martinez Crespo, F. J.; Palop, J. A.; Sainz, Y.; Narro, S.; Senador, V.; Gonzalez, M.; Lopez de Cerain, A.; Monge, A.; Hamilton, E.; Barker, A. J.

CS CIFA, Univ. Navarra, Pamplona, 31080, Spain

SO Journal of Heterocyclic Chemistry (1996), 33(6), 1671-1677 CODEN: JHTCAD; ISSN: 0022-152X

PB HeteroCorporation

DT Journal

LA English

IT 187028-88-2P 187028-94-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. of cytotoxic oxadiazolo[2,3-a]quinoxaline oxides)

RN 187028-88-2 CAPLUS

CN Carbamic acid, (6,7-dichloro-3-cyano-1,4-dioxido-2-quinoxalinyl)-, ethyl ester (9CI) (CA INDEX NAME)

RN 187028-94-0 CAPLUS

CN Carbamic acid, (6,7-dichloro-3-cyano-1,4-dioxido-2-quinoxalinyl)-, 1-methylethyl ester (9CI) (CA INDEX NAME)

#### IT 163777-36-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of cytotoxic oxadiazolo[2,3-a]quinoxaline oxides)

RN 163777-36-4 CAPLUS

CN 2-Quinoxalinecarbonitrile, 3-amino-6,7-dichloro-, 1,4-dioxide (9CI) (CA INDEX NAME)

AB Several quinoxaline 1,4-di-N-oxides have been shown to be efficient and selective cytotoxins for hypoxic cells. A series of 4-cyano-2-oxo-1,2,4-oxadiazolo[2,3-a]quinoxaline 5-N-oxides (2) were prepd. starting from 3-amino-2-quinoxalinecarbonitrile 1,4-di-N-oxides and 2-chloroethyl isocyanate in dry dioxane at 100-110.degree.. Compds. 2 were heated in the presence of ethanol and 2-propanol giving the corresponding carbamates. Quinoxalines were tested as cytotoxic agents both in oxic and hypoxic cells. Electron-withdrawing substituents increased the potency and selectivity of the quinoxalines.

L4 ANSWER 22 OF 100 CAPLUS COPYRIGHT 2003 ACS

AN 1996:618722 CAPLUS

DN 125:247851

TI Preparation of quinoxaline 1,4-dioxides as cytotoxic agents

IN Barker, Andy J.; Vega, Antonio Monge; Hamilton, Elizabeth

PA Zeneca Farma, S.A., Spain

SO Brit. UK Pat. Appl., 99 pp.

CODEN: BAXXDU

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	GB 2297089	A1	19960724	GB 1996-963	19960117
	GB 2297089	B2	19980826		
				ES 1995-76	19950117
	ES 2105959	A1	19971016	ES 1995-76	19950117

Patel <4/4/2003>

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Page 54

ES 2105959 B1 19980701

OS CASREACT 125:247851; MARPAT 125:247851

IT 170806-10-7P 170806-11-8P 170806-18-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(prepn. of quinoxaline 1,4-dioxides as cytotoxic agents)

RN 170806-10-7 CAPLUS

CN Quinoxaline, 6,7-dichloro-2-methyl-3-(methylthio)-, 1,4-dioxide (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & & \\ & & \\ C1 & & \\ & &$$

RN 170806-11-8 CAPLUS

CN Quinoxaline, 6,7-dichloro-2-methyl-3-(phenylthio)-, 1,4-dioxide (9CI) (CA INDEX NAME)

RN 170806-18-5 CAPLUS

CN Quinoxaline, 6,7-dichloro-2-methyl-3-(methylsulfonyl)-, 1,4-dioxide (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O & O \\ \parallel & \parallel & \parallel \\ N & \parallel & S-Me \\ \hline N & Me \\ O & Me \end{array}$$

IT 163777-36-4P 170806-13-0P 170806-15-2P 170806-16-3P 170806-19-6P 170806-22-1P

170806-24-3P 171880-71-0P 181758-51-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of quinoxaline 1,4-dioxides as cytotoxic agents)

RN 163777-36-4 CAPLUS

CN 2-Quinoxalinecarbonitrile, 3-amino-6,7-dichloro-, 1,4-dioxide (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & & \\ & & \\ C1 & & \\ & &$$

RN 170806-13-0 CAPLUS

CN Quinoxaline, 6,7-dichloro-2-methyl-3-[(4-nitrophenyl)thio]-, 1,4-dioxide (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & & \\ & N \\ & Me \\ & O \end{array}$$

RN 170806-15-2 CAPLUS

CN Quinoxaline, 6,7-dichloro-2-methyl-3-(methylsulfinyl)-, 1,4-dioxide (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O & O \\ \parallel & \parallel & S-Me \\ \hline \\ C1 & Me \\ \hline \\ O & Me \\ \end{array}$$

RN 170806-16-3 CAPLUS

CN Quinoxaline, 6,7-dichloro-2-methyl-3-(phenylsulfinyl)-, 1,4-dioxide (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O & O \\ \parallel & \parallel & \\ N & S-Ph \\ \hline N & Me \\ \end{array}$$

RN 170806-19-6 CAPLUS

CN Quinoxaline, 6,7-dichloro-2-methyl-3-(phenylsulfonyl)-, 1,4-dioxide (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Cl & \bigcirc & \bigcirc & \bigcirc \\ \parallel & \parallel & \square \\ N & \parallel & \square \\ Cl & \searrow & Me \\ 0 & Me \end{array}$$

RN 170806-22-1 CAPLUS

CN 1,3-Propanediamine, N'-(6,7-dichloro-3-methyl-1,4-dioxido-2-quinoxalinyl)-N,N-dimethyl- (9CI) (CA INDEX NAME)

C1 NH- (CH<sub>2</sub>)<sub>3</sub>-NMe<sub>2</sub>

$$NH- (CH2)3-NMe2$$

$$NH- (CH2)3-NMe2$$

RN 170806-24-3 CAPLUS

CN 2-Quinoxalinamine, 6,7-dichloro-3-methyl-, 1,4-dioxide (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & & \\ & & \\ C1 & & \\ & &$$

RN 171880-71-0 CAPLUS

CN 2-Quinoxalinecarbonitrile, 6,7-dichloro-, 1,4-dioxide (9CI) (CA INDEX NAME)

RN 181758-51-0 CAPLUS

CN 2-Quinoxalinecarbonitrile, 6,7-dichloro-3-methyl-, 1,4-dioxide (9CI) (CA INDEX NAME)

GΙ

The title compds. [I; R1 = H, CN, C1-4 alkyl, etc.; R2 = NH-C1-6 alkyl-N(A1)(A2) (wherein A1, A2 = H, C1-4 alkyl, etc.), etc.; R3, R4 = H, halo, CF3, etc.; R5 = H, NO2], useful as cytotoxic agents with selective activity in hypoxic cells, both in vitro and in vivo, were prepd. Reaction of the quinoxalinecarbonitrile 1,4-dioxide II with H2N(CH2)3NMe2 in the presence of K2CO3 in CH2Cl2 afforded 85% I.HCl [R1 = CN; R2 = NH(CH2)3NMe2; R3 = R5 = H; R4 = Cl] which, in hypoxia, kills 99% of the cells (Potency = 0.4) at 0.4 .mu.M while under odic conditions, a 250 fold greater concn. is needed to obtain the same percentage of cell damage (HCR = 250).

L4 ANSWER 23 OF 100 CAPLUS COPYRIGHT 2003 ACS

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AN
     1996:485780 CAPLUS
DN
     125:142763
ΤI
     Heterocyclyl substituted hydroxyacetamide derivatives as fungicides
IN
     Doeller, Uwe; Braun, Peter; Sachse, Burkhard; Reissel, Willy; Ort, Oswald
     Peter Gerald; Hough, Thomas Lawley; Simpson, Donald James; Lindner,
     Kerstin; Lindell, Stephen David
PA
     Agrevo UK Ltd., UK
SO
     PCT Int. Appl., 39 pp.
     CODEN: PIXXD2
     Patent
DT
     English
LA
FAN.CNT 1
     PATENT NO.
                      KIND DATE
                                           APPLICATION NO.
                                           -----
     WO 9617840
PΙ
                      A1
                            19960613
                                           WO 1995-GB2849
                                                             19951206
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         RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE,
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             NE, SN, TD, TG
                                           GB 1994-24553
                                                             19941206
                                           GB 1994-25971
                                                             19941222
                                           GB 1995-2865
                                                             19950214
    AU 9642655
                       Α1
                            19960626
                                           AU 1996-42655
                                                             19951206
                                           GB 1994-24553
                                                             19941206
                                           GB 1994-25971
                                                             19941222
                                           GB 1995-2865
                                                             19950214
                                           WO 1995-GB2849
                                                            19951206
OS
    MARPAT 125:142763
IT
    179759-02-5P
     RL: AGR (Agricultural use); SPN (Synthetic preparation); BIOL (Biological
     study); PREP (Preparation); USES (Uses)
        (prepn. of heterocyclyl substituted hydroxyacetamide derivs. as
        fungicides)
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RN 179759-02-5 CAPLUS

CN 2-Quinoxalineacetamide, .alpha.-(acetyloxy)-5,6,7,8-tetrachloro-N-[2-(3,4-dimethoxyphenyl)ethyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{C1} & \text{AcO} & \text{O} \\ & \text{C1} & \text{N} & \text{CH-C-NH-CH}_2\text{-CH}_2 & \text{OMe} \\ & \text{C1} & \text{C1} & \text{C1} & \text{C1} & \text{C1} & \text{C2} & \text{C2} \\ \end{array}$$

AB Title compds. QZR1CEWY (Q = optionally substituted heterocyclyl; Z = optionally substituted hydroxy or mercapto; E = CONR2, CSNR2, C(:N)SR2; W = O, NR3, optionally substituted methylene or ethylene; R1, R2, R3 = Ph or alkyl, each of which is optionally substituted, or hydrogen; Y = Ph, heteroaryl or alkyl, each of which is optionally substituted, or hydrogen), useful as fungicides, were prepd. Thus, redn. of 2-(3,5-dichloro-2-thienyl)-N-[2-(3,4-dimethoxyphenyl)ethyl]-2-oxoacetamide with NaBH4 gave 2-(3,5-dichloro-2-thienyl)-N-[2-(3,4-

- L4 ANSWER 24 OF 100 CAPLUS COPYRIGHT 2003 ACS
- AN 1996:271491 CAPLUS
- DN 124:306493
- TI Tyrphostins. 5. Potent Inhibitors of Platelet-Derived Growth Factor Receptor Tyrosine Kinase: Structure-Activity Relationships in Quinoxalines, Quinolines, and Indole Tyrphostins
- AU Gazit, Aviv; App, Harald; McMahon, Gerald; Chen, Jefferey; Levitzki, Alexander; Bohmer, Frank D.
- CS Alexander Silverman Institute of Life Sciences, Hebrew University of Jerusalem, Jerusalem, 91904, Israel
- SO Journal of Medicinal Chemistry (1996), 39(11), 2170-7 CODEN: JMCMAR; ISSN: 0022-2623
- PB American Chemical Society
- DT Journal
- LA English
- IT 71896-95-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(structure-activity relations of quinoxalines and quinolines and indole tyrphostins as tyrosine kinase inhibitors)

- RN 71896-95-2 CAPLUS
- CN Quinoxaline, 6,7-dichloro-2-phenyl- (9CI) (CA INDEX NAME)

- AB A series of 3-indoleacrylonitrile tyrphostins, 2-chloro-3-phenylquinolines, and 3-arylquinoxalines were prepd. and tested for inhibition of platelet-derived growth factor receptor tyrosine kinase (PDGF-RTK) activity. The potency of the inhibitors was quinoxalines >quinolines >indoles. Lipophilic groups (Me, methoxy) in the 6 and 7 positions and Ph at the 3 position of quinoxalines and quinolines were essential for potency, in contrast to the hydrophilic catechol group in tyrphostins active against EGFR kinase inhibition at different sites. The inhibitors showed selectivity for PDGF and were not active against EGF receptor and HER-2/c-ErbB-2 receptor.
- L4 ANSWER 25 OF 100 CAPLUS COPYRIGHT 2003 ACS
- AN 1996:252055 CAPLUS
- DN 125:3259
- TI Relative hepatotoxicity of 2-(substituted phenyl)thiazoles and substituted thiobenzamides in mice: evidence for the involvement of thiobenzamides as ring cleavage metabolites in the hepatotoxicity of 2-phenylthiazoles
- AU Mizutani, Tamio; Suzuki, Kiyomi
- CS Department of Food Science and Nutrition, Kyoto Prefectural University, Kyoto, 606, Japan
- SO Toxicology Letters (1996), 85(2), 101-5. CODEN: TOLED5; ISSN: 0378-4274

AB The hepatotoxicity of the 3 isomers of para-substituted thiobenzamides and the 3 isomers of 2-(para-substituted phenyl)-4-methylthiazoles was evaluated in mice depleted of glutathione (GSH) by pretreatment with buthionine sulfoximine (BSO). In accordance with previous studies with the rat, p-methoxythiobenzamide was more toxic than thiobenzamide, and conversely p-chlorothiobenzamide was markedly less toxic as assessed by serum alanine aminotransferase (ALT) activity. The hepatotoxicity of 2-phenyl-4-methylthiazole was also altered by the addn. of para-substituents to the Ph ring in the same way as obsd. for thiobenzamide derivs.: the rank order of toxicity was 4-methylthiazoles having p-methoxyphenyl > Ph >> p-chlorophenyl at the 2-position. This good correlation of the rank order of hepatotoxicity between series of 2-(para-substituted phenyl)-4-methylthiazoles and para-substituted thiobenzamides supports the concept that thiobenzamides as ring cleavage metabolites play a role in the hepatotoxicity of 2-phenylthiazole derivs.

L4 ANSWER 26 OF 100 CAPLUS COPYRIGHT 2003 ACS

AN 1996:71553 CAPLUS

DN 124:261073

TI Bis mono- and bicyclic aryl and heteroaryl compounds which inhibit EGF and/or PDGF receptor tyrosine kinase

IN Spada, Alfred P.; Myers, Michael R.; Maguire, Martin P.; Persons, Paul E.

PA Rhone-Poulenc Rorer Pharmaceuticals Inc., USA

SO U.S., 33 pp. Cont.-in-part of U.S. Ser. No. 988,515, abandoned. CODEN: USXXAM

DT Patent

LA English

FAN.CNT 7

	PATENT NO.	KIND	DATE	APPLICATION NO. DATE	
DT	110 5400000				
ΡI	US 5480883	Α	19960102	US 1993-166199 19931210	
				US 1991-698420 B219910510	
	110 5710150	_		US 1992-988515 B219921210	
	US 5710158	Α	19980120	US 1994-229886 19940419	
				US 1991-698420 B219910510	
				US 1992-988515 B219921210	
				US 1993-166199 A219931210	
	WO 9515758	A1	19950615	WO 1994-US14180 19941208	
	W: AM,	AT, AU, BB	, BG, BR, BY,	CA, CH, CN, CZ, DE, DK, ES, FI, GB,	
				KZ, LK, LT, LU, LV, MD, MG, MN, MW,	
	NL,	NO, NZ, PL	, PT, RO, RU,	SD, SE, SI, SK, TJ, TT, UA, US, UZ, VN	

	RW:		NL,													IT, NE,	
		·												1993			
														1994			
ΑU	9513	050		A.	1	1995	0627							1994			
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														1994			
EF	8714													1994			
	R:	A'I',	BE,	CH,	DE,	DK,	ES,	FR,								PT,	ΙE
														1993			
														1994			
110	ECEC	C13		7		1007	0010				94-08 95-38			1994			
US	5656	043		A		エフフバ	0012							1995) 1993:			
110	5795	229		Α		1998	<b>1010</b>							1995			
0.5	3175	007		А		エフフロ・	0010							1991			
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US	5646	153		А		1997	0708							1995			
														1991			
									US	199	92-98	38515	5 B2	1992	1210		
									US	199	93-16	56199	9 A3	1993	1210		
US	57212	237		Α		1998	0224		US	5 199	95-46	59147	7	1995	0606		
														1991			
														1992			
				_										1993			
US	5714	493		A		1998	0203							1996			
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														1994			
														1994			
US	60573	320		A	:	20000	0502				97-88			1997			
														1991			
														1992			
									US	199	93-16	56199	) A3	1993	1210		
									US	199	95-43	39027	7 A3	1995	0511		
US	36256	6		Ε		1999(	720							1997:			
														1991			
														1992			
														1992			
														1993: 1993:			
ΔII	73938	82		В2	,	2001	1011				99-65			1993. 1999:			
	9965			A1		2000			AL	, 1).	)	1242		1777.	1230		
0									IJS	199	93-16	6199	) A	1993:	1210		
US	37650	0		E		20020	0409				00-49			20000			
														1991			
									WC	199	92-US	3736	A2	1992	0506		
									US	199	92-98	8515	B2	1992	1210		
									US	199	93-16	6199	) A2	1993	1210		
														1994(			
														1994			
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PATENT FAMILY INFORMATION:

FAN 1993:191764

	PATENT NO.	KIND DATE	APPLICATION NO. DATE						
ΡΙ	WO 9220642 W: AT, AU, KR, LK, RW: AT, BE,	Al 19921126 BB, BG, BR, CA, C LU, MG, MN, MW, N	WO 1992-US3736 19920506 CH, CS, DE, DK, ES, FI, GB, HU, JP, KP, NL, NO, PL, RO, RU, SD, SE, US CH, CI, CM, DE, DK, ES, FR, GA, GB, GN, NL, SE, SN, TD, TG						
		A1 19921230 B2 19950427	US 1991-698420 A219910510 AU 1992-19934 19920506						
	EP 584222	A1 19940302 B1 19971008 CH, DE, DK, ES, F	US 1991-698420 A 19910510 WO 1992-US3736 A 19920506 EP 1992-912051 19920506  PR, GB, GR, IT, LI, LU, NL, SE US 1991-698420 A 19910510						
	JP 06507643	T2 19940901	WO 1992-US3736 W 19920506 JP 1992-500068 19920506 US 1991-698420 A 19910510						
	AT 159009	E 19971015	WO 1992-US3736 W 19920506 AT 1992-912051 19920506 US 1991-698420 A 19910510						
		T3 19971216	ES 1992-912051 19920506 US 1991-698420 A 19910510						
	US 5409930	A 19950425	US 1993-146072 19931108 US 1991-698420 B119910510						
	US 5656643	A 19970812	WO 1992-US3736 W 19920506 US 1995-385258 19950208 US 1993-146072 A319931108						
		A 19980708	CN 1996-194512 19960606						
	CN 1100540	B 20030205	US 1991-698420 A 19910510						
	US 36256	E 19990720	US 1997-098420 A 19910310 US 1997-988005 19971210 US 1991-698420 B219910510 WO 1992-US3736 B219920506 US 1992-988515 B219921210 US 1993-146072 A219931108 US 1993-166199 A519931210						
		E 20020409	US 2000-496399 20000202 US 1991-698420 B219910510 WO 1992-US3736 A219920506 US 1992-988515 B219921210 US 1993-166199 A219931210 US 1994-229886 A219940419 WO 1994-US14180W 19941208 US 1996-652444 A519960604						
FAN	1995:780431 PATENT NO.	KIND DATE	APPLICATION NO. DATE						
ΡΙ	WO 9515758  W: AM, AT, GE, HU, NL, NO, RW: KE, MW,	A1 19950615 AU, BB, BG, BR, BY JP, KE, KG, KP, KF NZ, PL, PT, RO, RU SD, SZ, AT, BE, CF	WO 1994-US14180 19941208 Y, CA, CH, CN, CZ, DE, DK, ES, FI, GB, R, KZ, LK, LT, LU, LV, MD, MG, MN, MW, U, SD, SE, SI, SK, TJ, TT, UA, US, UZ, VN H, DE, DK, ES, FR, GB, GR, IE, IT, LU, F, CG, CI, CM, GA, GN, ML, MR, NE, SN,  US 1993-166199 A 19931210						

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	05 3400003	A 177	00102	US 1991-698420 B219910510
	US 5710158	A 199	80120	US 1992-988515 B219921210 US 1994-229886 19940419 US 1991-698420 B219910510
	AU 9513050	<b>A1</b> 199	50627	US 1992-988515 B219921210 US 1993-166199 A219931210 AU 1995-13050 19941208 US 1993-166199 A 19931210 US 1994-229886 A 19940419
	EP 871448 R: AT, BE			WO 1994-US14180W 19941208 EP 1995-904308 19941208 GB, GR, IT, LI, LU, NL, SE, PT, IE US 1993-166199 A 19931210 US 1994-229886 A 19940419
		A 199		WO 1994-US14180W 19941208 US 1995-385258 19950208 US 1993-146072 A319931108
	US 5714493	A 199	80203	US 1996-652444 19960604 US 1991-698420 B219910510 US 1992-988515 B219921210 US 1993-166199 A219931210 US 1994-229886 A219940419
	US 37650	E 200.	20409	WO 1994-US14180W 19941208 US 2000-496399 20000202 US 1991-698420 B219910510 WO 1992-US3736 A219920506 US 1992-988515 B219921210 US 1993-166199 A219931210 US 1994-229886 A219940419 WO 1994-US14180W 19941208 US 1996-652444 A519960604
FAN	1997:107384 PATENT NO.			APPLICATION NO. DATE
ΡΙ	WO 9639145 W: AL, AM, FI, GB,	A1 1990 AT, AU, AZ GE, HU, IS	51212 , BB, BG, , JP, KE,	WO 1996-US9606 19960606 BR, BY, CA, CN, CZ, DE, DK, EE, ES, KG, KP, KR, KZ, LK, LR, LS, LT, LU, NO, NZ, PL, PT, RO, RU, SD, SE, SG,
	RW: KE, LS,	MW, SD, SZ LU, MC, NL	, UG, AT, , PT, SE,	BE, CH, DE, DK, ES, FI, FR, GB, GR, BF, BJ, CF, CG, CI, CM, GA, GN, ML US 1995-469147 A 19950606
	US 5656643	A 199	70812	US 1995-385258 19950208 US 1993-146072 A319931108
	US 5721237	A 1998	30224	US 1995-469147 19950606 US 1991-698420 B219910510 US 1992-988515 B219921210 US 1993-166199 A219931210
	AU 9661044 AU 696456		51224 30910	AU 1996-61044 19960606
	EP 831831 R: AT, BE,		30401 ES, FR,	US 1995-469147 A 19950606 WO 1996-US9606 W 19960606 EP 1996-918362 19960606 GB, GR, IT, LI, LU, NL, SE, PT, IE, FI US 1995-469147 A 19950606
	BR 9608638	A 1999	0629	WO 1996-US9606 W 19960606 BR 1996-8638 19960606

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     JP 11507355
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PΙ
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                                               WO 1994-US14180W 19941208
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          RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU,
              MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN,
              TD, TG
                                               US 1993-166199 A 19931210
                                               US 1994-229886 A 19940419
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                        Α
                              19970812
                                               US 1995-385258 19950208
                                               US 1993-146072 A319931108
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                                               US 2000-496399 20000202
                         E
                              20020409
                                               US 1991-698420 B219910510
                                               WO 1992-US3736 A219920506
                                               US 1992-988515 B219921210
                                               US 1993-166199 A219931210
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                                               US 1996-652444 A519960604
FAN
    1998:105843
     PATENT NO.
                        KIND
                              DATE
                                               APPLICATION NO. DATE
                                               -----
PΙ
     US 5710158
                        Α
                              19980120
                                               US 1994-229886
                                                                19940419
                                               US 1991-698420 B219910510
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                                               US 1993-166199 A219931210
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                              19960102
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                                               US 1991-698420 B219910510
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                              19950615
                                               WO 1994-US14180 19941208
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              MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN,
              TD, TG
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	US	5656	643		A		1997	0812		US	199	5-38	35258	3	1995 1993 1993	0208			
	US	5714	493		A		1998	0203		US US US US US	199 199 199 199	96-65 91-69 92-98 93-16 94-22	52444 98420 88519 56199	1 0 B2 5 B2 9 A2 5 A2		0604 0510 1210 1210 0419			
		3765			E		2002	0409		US WO US US US WO	200 199 199 199 199 199	00-49 01-69 02-US 02-98 03-16 04-22	6399 8420 83736 88519 86199 89886	9 0 B2 5 A2 5 B2 9 A2 5 A2 8 OW		0202 0510 0506 1210 1210 0419 1208			
FAN	PA	98:14 FENT	NO.		KII	ND	DATE			API				٥.	DATE				
PI		5721			A		1998	0224		US US US	199 199 199	91-69 92-98	9147 8420 8515	B2 B2	1995 1991 1992 1993	0510 1210			
	US	5480	883		A		1996	0102		US US	199 199	3-16 1-69	6199 8420	) ) B2	1993 1991 1992	1210 0510			
	US	5656	643		A		1997	0812		US	199	5-38	5258	3	1995 1993	0208			
	CA	2223	016		A	Δ.	1996	1212		CA	199	6-22	2301	۱6	1996 1995	0606			
	WO	9639 W:	AL, FI,	GB, MD,	GE,	AU, HU,	IS,	BB, JP,	ΚE,		199 3Y, KP,	6-US CA, KR,	9606 CN, KZ,	CZ, LK,	1996 DE, LR,	0606 DK, LS,	LT,	LU,	
		RW:	KE, IE,	LS, IT,	MW, LU,	SD, MC,	SZ, NL,	UG, PT,	AT, SE,	BE, C	ЗJ,	CF,	CG,	CI,	CM,	GA,	GB, GN,	GR, ML	
		9661 6964			A: B2		1996 1998			AU	199	6-61	044		1995 1996	0606			
	EP	8318: R:		BE,	Al CH,		1998 DK,		FR,	WO EP GB, G US	199 199 3R, 199	6-US 6-91 IT, 5-46	9606 8362 LI, 9147	W LU,	1995 1996 1996 NL, 1995	0606 0606 SE, 0606	PT,	IE,	FI
	BR	9608	638		A		1999	0629		BR	199	6-86	38		1996 1995	0606			

JP 11507355	Т2	19990629	WO 1996-US9606 W 19960606 JP 1996-501889 19960606
			US 1995-469147 A 19950606
			WO 1996-US9606 W 19960606
CZ 289338	В6	20020116	CZ 1997-3503 19960606
			US 1995-469147 A 19950606

OS MARPAT 124:261073

IT 71896-95-2P, 2-Phenyl-6,7-dichloroquinoxaline

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of bis mono- and bicyclic aryl and heteroaryl compds. as protein tyrosine kinase inhibitors)

RN 71896-95-2 CAPLUS

CN Quinoxaline, 6,7-dichloro-2-phenyl- (9CI) (CA INDEX NAME)

GΙ

The invention relates to bis mono- and/or bicyclic aryl and/or heteroaryl compds. ArlXAr2 [I; Arl, Ar2 = (un)substituted mono- or bicyclic rings with 0-3 substituents; X = (CHR1)0-4 or (CHR1)mZ(CHR1)n; Z = 0, NR2, S, SO, SO2; m, n = 0-3; R1, R2 = H, alkyl] exhibiting protein tyrosine kinase inhibition activity. I inhibit abnormal cell proliferation in proliferative disorders by selectively inhibiting EGF and/or PDGF receptor. Approx. 300 compds. I are listed with characterizing data, and biol. data for selected compds. are given. For example, m-ClC6H4OH was treated with NaH in THF, followed by 4-chloro-6,7-dimethoxyquinazoline, to give title compd. II. The claimed quinoxaline deriv. III inhibited PDGF-R cell-free autophosphorylation with an IC50 of 0.02-0.05 .mu.M.

- L4 ANSWER 27 OF 100 CAPLUS COPYRIGHT 2003 ACS
- AN 1995:994439 CAPLUS
- DN 124:55985
- TI Preparation of 2-(sulfonamido)quinoxaline antitumor agents
- IN Ray, James Edward; Toth, John Eldon
- PA Lilly, Eli, and Co., USA
- SO Eur. Pat. Appl., 22 pp.

CODEN: EPXXDW

DT Patent LA English

FAN.CNT 1

	PATENT NO.	KIND DATE	APPLICATION NO.	DATE
PI	EP 672662	A1 19950920	EP 1995-301292	19950228
	R: AT, BE,	CH, DE, DK, ES,	FR, GB, GR, IE, IT, LI,	LU, NL, PT, SE
			US 1994-206806	19940304
	US 5529999	A 19960625	US 1994-206806	19940304
	CA 2143514	AA 19950905	CA 1995-2143514	19950227
			US 1994-206806	19940304
	JP 07267936	A2 19951017	JP 1995-43883	19950303
			US 1994-206806	19940304

OS MARPAT 124:55985

IT 171967-51-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of 2-(sulfonamido)quinoxaline antitumor agents)

RN 171967-51-4 CAPLUS

CN Benzenesulfonamide, N-(6,7-dichloro-2-quinoxalinyl)-4-(dimethylamino)-(9CI) (CA INDEX NAME)

GI

The title compds [I; A = (un)substituted Ph, (un)substituted naphthyl, (un)substituted (un)satd. heterocyclic; R1, R2 = H, trifluoromethyl, halogen, C1-6 alkyl; such that R1 and R2 cannot both be H, etc.], useful in the treatment of susceptible neoplasms, are prepd. and I-contg. formulations presented. Thus, NaH and DMF were reacted with (4-dimethylamino)benzenesulfonamide and 2,5-dichloroquinoxaline added after 1 h, producing 4-(N',N'-dimethylamino)-N-(5-chloro-2-quinoxalinyl)benzenesulfonamide, which demonstrated a IC50 against CCRF-CEM human leukemia cells of 0.1 .mu.g/mL, vs. 0.8 .mu.g/mL for 4-amino-N-(5-chloro-2-quinoxalinyl)benzenesulfonamide.

L4 ANSWER 28 OF 100 CAPLUS COPYRIGHT 2003 ACS

```
AN
     1995:926425 CAPLUS
DN
     123:329984
TI
     Receptor tyrosine kinase inhibitors for inhibiting cell proliferative
     disorders
     Chen, Hui; Gazit, Aviv; Hirth, Klaus Peter; Levitzki, Alex; Mann, Elaina;
IN
     Shawver, Laura K.; Tsai, Jianming; Tang, Peng Cho
     Sugen, Inc., USA; Yissum Research Development Co.
PΑ
SO
     PCT Int. Appl., 121 pp.
     CODEN: PIXXD2
DT
     Patent
LΑ
     English
FAN.CNT 2
     PATENT NO.
                  KIND DATE
                                          APPLICATION NO. DATE
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                            -----
                                            -----
PΙ
     WO 9524190
                      A2
                            19950914
                                           WO 1995-US2826
                                                             19950306
     WO 9524190
                      A3
                            19951109
         W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI,
             GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ,
             TT, UA
         RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT,
             LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE,
             SN, TD, TG
                                           US 1994-207933
                                                             19940307
     AU 9520968
                       A1
                            19950925
                                           AU 1995-20968
                                                             19950306
                                           US 1994-207933
                                                             19940307
                                           WO 1995-US2826
                                                             19950306
PATENT FAMILY INFORMATION:
FAN 1998:534888
     PATENT NO.
                      KIND DATE
                                           APPLICATION NO.
                                                            DATE
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                                           -----
PΙ
     US 5789427
                      Α
                            19980804
                                           US 1995-399967
                                                            19950307
                                           US 1994-207933
                                                            19940307
     US 5773476
                     Α
                            19980630
                                           US 1995-486775
                                                            19950607
                                           US 1994-207933
                                                            19940307
                                           US 1995-399967
                                                            19950307
OS
    MARPAT 123:329984
IT
     71896-95-2P
    RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (receptor tyrosine kinase inhibitors for inhibiting cell proliferative
        disorders)
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71896-95-2 CAPLUS RN

CN Quinoxaline, 6,7-dichloro-2-phenyl- (9CI) (CA INDEX NAME)

GΙ

$$\begin{array}{c|c}
R^6 & R^4 \\
 & | & | \\
 & C \longrightarrow CCN
\end{array}$$

$$\begin{array}{c|c}
R^2 & C \longrightarrow CCN
\end{array}$$

AB Receptor tyrosine kinase inhibitors I [R1-R3, R6 = alkyl, alkenyl, alkynyl, alkoxy, OH, amino, SH, alkylthio, halo, H, NO2, etc.; R4 = C(S)NHR5, C(O)NHR5, SO2YR5; Y = single bond, C(CN):CH:CH, azaalkyl; R5 = (substituted) aralkyl, CN] and II [R7-R10 = R1-R3 above; R12 = C(O)Me, C(S)Me, C(O)CF3, C(S)CF3; R13 = aryl, alkylaryl] are prepd. for use in treating cell proliferative disorders such as cancers characterized by overactivity or inappropriate activity of HER2 receptors or EGF receptors. Thus, I [R1, R2 = OH, R3 = I, R4 = C(O)NH(CH2)3Ph, R6 = H] (III) was prepd. in 2 steps by condensation of 5-iodovanillin with N-(3-phenylpropyl)cyanoacetamide. III inhibited EGF receptor kinase activity in EGC7 cells, HER2 kinase activity in BT-474 cells, and platelet-derived growth factor receptor kinase .beta. activity with an IC50 of 4, 18, and 35 .mu.M, resp., and inhibited growth of SKBR3 and SKOV3 cells in vitro at IC50 9 and 4.5 .mu.M, resp.

L4 ANSWER 29 OF 100 CAPLUS COPYRIGHT 2003 ACS

Ι

- AN 1995:849931 CAPLUS
- DN 124:55903
- TI Hypoxia-Selective Agents Derived from 2-Quinoxalinecarbonitrile 1,4-Di-N-oxides. 2
- AU Monge, Antonio; Martinez-Crespo, Francisco J.; Lopez de Cerain, Adela; Palop, Juan A.; Narro, Susana; Senador, Virginia; Marin, Ana; Sainz, Yolanda; Gonzalez, Mercedes; et al.
- CS Department of Medicinal Chemistry, Universidad de Navarra, Pamplona, 31080, Spain
- SO Journal of Medicinal Chemistry (1995), 38(22), 4488-94 CODEN: JMCMAR; ISSN: 0022-2623
- PB American Chemical Society
- DT Journal
- LA English
- IT 163777-36-4

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); RACT (Reactant or reagent); USES (Uses) (hypoxia-selective agents derived from 2-quinoxalinecarbonitrile dioxides)

- RN 163777-36-4 CAPLUS
- CN 2-Quinoxalinecarbonitrile, 3-amino-6,7-dichloro-, 1,4-dioxide (9CI) (CF INDEX NAME)

IT 171880-71-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (hypoxia-selective agents derived from 2-quinoxalinecarbonitrile dioxides)

RN 171880-71-0 CAPLUS

CN 2-Quinoxalinecarbonitrile, 6,7-dichloro-, 1,4-dioxide (9CI) (CA INDEX NAME)

AB Hypoxic cells are an important target for antitumor therapy because tumors are typically characterized by such cells. Virtually all tumors which are present as solid masses contain hypoxic cells, while normal cells generally have an adequate supply of oxygen. Accordingly, antitumor agents can be made selective for tumors by virtue of high activity under hypoxic conditions. The initial purpose of this work was to det. the influence of different groups in position 3. Thus, the synthesis of some 3-NH-substituted derivs. starting from 3-amino-2-quinoxalinecarbonitrile 1,4-di-N-oxide is described.

L4 ANSWER 30 OF 100 CAPLUS COPYRIGHT 2003 ACS

AN 1995:796557 CAPLUS

DN 124:8751

TI New derivatives of quinoxaline 1,4-dioxide: synthesis and antibacterial activity

AU Glushkov, R. G.; Vozyakova, T. I.; Adamskaya, Ye. V.; Aleinikova, S. A.; Radkevich, T. P.; Shepilova, L. D.; Padeiskaya, Ye. N.; Guskova, T. A.

CS Khim.-Farm. Inst. im. S. Ordzhonikidze, Russia

SO Khimiko-Farmatsevticheskii Zhurnal (1994), 28(1), 15-17 CODEN: KHFZAN; ISSN: 0023-1134

PB Meditsina

DT Journal

LA Russian

IT 62018-39-7P 171111-77-6P RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent) (prepn. and antimicrobial activity of quinoxaline dioxides)

RN 62018-39-7 CAPLUS

CN Quinoxaline, 6,7-dichloro-2,3-dimethyl-, 1,4-dioxide (9CI) (CA INDEX NAME)

RN 171111-77-6 CAPLUS

CN Quinoxaline, 2,3-bis(bromomethyl)-6,7-dichloro-, 1,4-dioxide (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Cl} & \overset{\text{O}}{\parallel} \\ \text{Cl} & \overset{\text{CH}_2\text{Br}}{\parallel} \\ \text{O} & \text{CH}_2\text{Br} \end{array}$$

## IT 171111-83-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. and antimicrobial activity of quinoxaline dioxides)

RN 171111-83-4 CAPLUS

CN 2,3-Quinoxalinedimethanol, 6,7-dichloro-, diacetate (ester), 1,4-dioxide (9CI) (CA INDEX NAME)

C1 
$$\sim$$
 CH<sub>2</sub>-OAC  $\sim$  CH<sub>2</sub>-OAC

AB The title compds. were prepd. from o-nitroanilines via benzofuroxans. Some of the compds. synthesized showed marked activity against gram-pos.

bacteria and pathogenic fungi.

L4ANSWER 31 OF 100 CAPLUS COPYRIGHT 2003 ACS

AN 1995:788055 CAPLUS

DN 123:340002

New hypoxia-selective cytotoxins derived from quinoxaline 1,4-dioxides TI

Monge, A.; Palop, J. A.; Gonzalez, Mercedes; Martinez-Crespo, F. J.; Lopez ΑU de Cerain, Adela; Sainz, Yolanda; Narro, Susana; Barker, A. J.; Hamilton,

CS CIFA, Universidad Navarra, Pamplona, 31080, Spain

SO Journal of Heterocyclic Chemistry (1995), 32(4), 1213-17 CODEN: JHTCAD; ISSN: 0022-152X

PΒ HeteroCorporation

DTJournal

LΑ English

170806-10-7P 170806-11-8P 170806-12-9P IT

170806-18-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent) (prepn. of cytotoxic quinoxaline dioxides)

RN

170806-10-7 CAPLUS
Quinoxaline, 6,7-dichloro-2-methyl-3-(methylthio)-, 1,4-dioxide (9CI) CN INDEX NAME)

$$\begin{array}{c|c} C1 & & \bigcirc \\ & & \\ N & \\ SMe \\ & \\ N & \\ Me \\ & \\ O \end{array}$$

RN 170806-11-8 CAPLUS

Quinoxaline, 6,7-dichloro-2-methyl-3-(phenylthio)-, 1,4-dioxide (9CI) (CA CN INDEX NAME)

RN 170806-12-9 CAPLUS

Quinoxaline, 6,7-dichloro-2-[(4-chlorophenyl)thio]-3-methyl-, 1,4-dioxide CN (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Cl & & \\ & & \\ Cl & & \\ & &$$

RN 170806-18-5 CAPLUS

CN Quinoxaline, 6,7-dichloro-2-methyl-3-(methylsulfonyl)-, 1,4-dioxide (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Cl} & \overset{\text{O}}{\parallel} & \overset{\text{O}}{\parallel} \\ \text{N} & \overset{\text{S}-\text{Me}}{\parallel} \\ \text{O} & & \\ \text{Me} & & \\ \end{array}$$

IT 170806-13-0P 170806-14-1P 170806-15-2P

170806-16-3P 170806-17-4P 170806-19-6P

170806-22-1P 170806-23-2P 170806-24-3P

170806-26-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. of cytotoxic quinoxaline dioxides)

RN 170806-13-0 CAPLUS

CN Quinoxaline, 6,7-dichloro-2-methyl-3-[(4-nitrophenyl)thio]-, 1,4-dioxide (9CI) (CA INDEX NAME)

RN 170806-14-1 CAPLUS

CN Ethanamine, 2-[(6,7-dichloro-3-methyl-1,4-dioxido-2-quinoxalinyl)thio]-N,N-diethyl- (9CI) (CA INDEX NAME)

C1 
$$N$$
  $S-CH_2-CH_2-NEt_2$   $N$   $Me$ 

RN170806-15-2 CAPLUS

Quinoxaline, 6,7-dichloro-2-methyl-3-(methylsulfinyl)-, 1,4-dioxide (9CI) CN(CA INDEX NAME)

$$\begin{array}{c|c} C1 & O & O \\ \parallel & \parallel & \parallel \\ N & S-Me \\ \hline N & Me \\ \hline O & \\ \end{array}$$

RN

170806-16-3 CAPLUS Quinoxaline, 6,7-dichloro-2-methyl-3-(phenylsulfinyl)-, 1,4-dioxide (9CI) CN (CA INDEX NAME)

$$\begin{array}{c|c} C1 & \begin{array}{c} O & O \\ \parallel & \parallel \\ N & S-Ph \end{array} \\ C1 & \begin{array}{c} Me \end{array} \end{array}$$

RN170806-17-4 CAPLUS

Quinoxaline, 6,7-dichloro-2-[(4-chlorophenyl)sulfinyl]-3-methyl-, CN1,4-dioxide (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & & \bigcirc & \bigcirc & \bigcirc & C1 \\ \hline N & & \bigcirc & & \\ N & & & \\ C1 & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\$$

RN

170806-19-6 CAPLUS Quinoxaline, 6,7-dichloro-2-methyl-3-(phenylsulfonyl)-, 1,4-dioxide (9CI) CN(CA INDEX NAME)

$$\begin{array}{c|c} C1 & O & O \\ \parallel & \parallel & \parallel \\ N & \parallel & O \\ C1 & Me \\ \end{array}$$

RN 170806-22-1 CAPLUS

1,3-Propanediamine, N'-(6,7-dichloro-3-methyl-1,4-dioxido-2-quinoxalinyl)-CNN, N-dimethyl- (9CI) (CA INDEX NAME)

C1 NH- (CH<sub>2</sub>)<sub>3</sub>-NMe<sub>2</sub>

$$NH = (CH2)3-NMe2$$

$$NH = (CH2)3-NMe2$$

RN 170806-23-2 CAPLUS

Quinoxaline, 2,2'-hydrazobis[6,7-dichloro-3-methyl-, 1,1',4,4'-tetraoxide (9CI) (CA INDEX NAME)

RN 170806-24-3 CAPLUS

2-Quinoxalinamine, 6,7-dichloro-3-methyl-, 1,4-dioxide (9CI) (CA INDEX CN NAME)

$$\begin{array}{c|c} \text{Cl} & \overset{\text{O}}{\underset{\text{N}}{\parallel}} & \text{Me} \\ \\ \text{Cl} & \overset{\text{N}}{\underset{\text{O}}{\parallel}} & \text{NH}_2 \\ \end{array}$$

RN 170806-26-5 CAPLUS

CN Ethanol, 2-[[[6,7-dichloro-3-[(2-hydroxyethyl)amino]-1,4-dioxido-2-quinoxalinyl]methyl]amino]- (9CI) (CA INDEX NAME)

C1 
$$N$$
  $CH_2-NH-CH_2-CH_2-OH$   $NH-CH_2-CH_2-OH$ 

IT 170806-25-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of cytotoxic quinoxaline dioxides)

RN 170806-25-4 CAPLUS

CN Quinoxaline, 2-(bromomethyl)-6,7-dichloro-3-(phenylthio)-, 1,4-dioxide (9CI) (CA INDEX NAME)

GΙ

- AB A new series of quinoxaline 1,4-dioxides, e.g., I (R = p-O2NC6H4S, p-ClC6H4SO, MeSO2, Cl, Br) structurally related to the benzotriazine tirapazamine II were prepd. starting from 5,6-dichlorobenzofuroxane. The compds. were tested (some data given) as cytotoxic agents both in oxic and in hypoxic cells.
- L4 ANSWER 32 OF 100 CAPLUS COPYRIGHT 2003 ACS

AN 1995:540023 CAPLUS

DN 123:55806

TI Titanium trichloride-promoted reductive cyclization of ketones and nitro compounds

AU Zhou, Long-Hu; Dai, Guai-Yuan; Shi, Da-Qing; Chen, Wei-Xing

CS Department Chemistry, Xuzhou Teachers College, Xuzhou, 221009, Peop. Rep. China

SO Youji Huaxue (1995), 15(2), 209-11 CODEN: YCHHDX; ISSN: 0253-2786

PB Kexue

DT Journal

LA Chinese

IT 52736-71-7P 164471-02-7P

RL: SPN (Synthetic preparation); PREP (Preparation) (titanium trichloride-promoted reductive cyclization of ketones and nitro compds.)

RN 52736-71-7 CAPLUS

CN Quinoxaline, 6,7-chloro-2,3-dimethyl- (9CI) (CA INDEX NAME)

RN 164471-02-7 CAPLUS

CN Quinoxaline, 6,7-dichloro-2,3-diphenyl- (9CI) (CA INDEX NAME)

- AB Aq. titanium trichloride promoted intermol. reductive cyclization of 1,2-diketones and o-nitroanilines in basic media provides a convenient method for the synthesis of quinoxaline derivs. E.g., 2,3-dimethylquinoxaline was prepd. in 60.1% from 2,3-butanedione and o-nitroaniline.
- L4 ANSWER 33 OF 100 CAPLUS COPYRIGHT 2003 ACS

AN 1995:538899 CAPLUS

DN 123:265

TI Hypoxia-Selective Agents Derived from Quinoxaline 1,4-Di-N-oxides

AU Monge, Antonio; Palop, Juan A.; de Cerain, Adela Lopez; Senador, Virginia; Martinez, Francisco J.; Sainz, Yolanda; Narro, Susana; Garcia, Estrella;

Page 78

de Miguel, Carlos; et al.

- CS Department of Medicinal Chemistry, Universidad de Navarra, Pamplona, 31080, Spain
- SO Journal of Medicinal Chemistry (1995), 38(10), 1786-92 CODEN: JMCMAR; ISSN: 0022-2623
- PB American Chemical Society
- DT Journal
- LA English
- IT 163777-36-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(hypoxia-selective agents derived from quinoxaline di-N-oxides)

- RN 163777-36-4 CAPLUS
- CN 2-Quinoxalinecarbonitrile, 3-amino-6,7-dichloro-, 1,4-dioxide (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & & \\ & & \\ C1 & & \\ & &$$

GI

Page 79

AΒ Hypoxic cells, which are a common feature of solid tumors, but not normal tissues, are resistant to both anticancer drugs and radiation therapy. Thus the identification of drugs with selective toxicity toward hypoxic cells is an important objective in anticancer chemotherapy. The benzotriazine di-N-oxide (SR 4233, Tirapazamine) has been shown to be an efficient and selective cytotoxin for hypoxic cells. Since the bioreductive activation of Tirapazamine is thought to be due to the presence of the 1,4-di-N-oxide moiety, a series of 3-aminoquinoxaline-2carbonitrile 1,4-di-N-oxides with a range of electron-donating and -withdrawing substituents in the 6- and/or 7- positions has been synthesized and evaluated for toxicity to hypoxic cells. Electrochem. studies of the quinoxaline di-N-oxides and Tirapazamine showed that as the electron-withdrawing nature of the 6(7)-substituent increases, the redn. potential becomes more pos. and the compd. is more readily reduced. Apart from the unsubstituted deriv. and the 6,7-di-Me deriv. I, the quinoxaline di-N-oxides have redn. potentials significantly more pos. than Tirapazamine (Epc -0.90 V). The most potent cytotoxins to cells in culture were the 6,7-dichloro and 6,7-difluoro derivs. II and III, which were 30-fold more potent than Tirapazamine. The 6(7)-fluoro and 6(7)-chloro compds., IV and V, showed the greatest hypoxia selectivity. Four of the compds., IV, VI, III and II, killed the inner cells of multicellular tumor spheroids in vitro. In vivo Balb/c mice tolerated a dose of these four compds. twice the size of that of Tirapazamine. This study demonstrates that quinoxaline 1,4-di-N-oxides could provide useful hypoxia-selective therapeutic agents.

L4 ANSWER 34 OF 100 CAPLUS COPYRIGHT 2003 ACS

AN 1995:137643 CAPLUS

DN 122:56002

Patel

<4/4/2003>

Page 80

- TI Polyaza heterocycles. Part 2. Nucleophilic substitution of halogens in halogenoquinoxalino[2,3-c]cinnolines
- AU Ahamd, Arshad; Dunbar, Linda J.; Green, Iain G.; Harvey, Ian W.; Shepherd, Thomas; Smith, David M.; Wong, Robert K. C.
- CS Sch. Chem., Univ. St. Andrews, Fife, KY16 9ST, UK
- Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1994), (19), 275-18 CODEN: JCPRB4; ISSN: 0300-922X
- DT Journal
- LA English
- IT 71896-95-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and attempted methoxydechlorination of)

- RN 71896-95-2 CAPLUS
- CN Quinoxaline, 6,7-dichloro-2-phenyl- (9CI) (CA INDEX NAME)

- AB 10-Chloroquinoxalino[2,3-c]cinnoline readily undergoes methoxydechlorination when treated with sodium methoxide. The 1-, 2-, 3-, 4-, and 9-chloro isomers are unreactive towards this reagent, but the 9,10-dichloro deriv. undergoes substitution of both chlorines (the 10-position being much more reactive). The 9- and 10-bromo analogs are both unreactive towards sodium methoxide, but the 9- and 10-fluoro analogs are both highly reactive, to the extent that it has not been possible even to isolate the 10-fluoro compd. Routes to 9- and 10-piperidinoquinoxalino[2,3-c]cinnolines are described.
- L4 ANSWER 35 OF 100 CAPLUS COPYRIGHT 2003 ACS
- AN 1994:263159 CAPLUS
- DN 120:263159
- Simple and sensitive determination of 2,3-butanediol in biological samples by gas chromatography with electron-capture detection
- AU Otsuka, Masato; Ohmori, Shinjii
- CS Fac. Pharm. Sci., Okayama Univ., Okayama, 700, Japan
- Journal of Chromatography, B: Biomedical Sciences and Applications (1994), 654(1), 1-7
  CODEN: JCBBEP; ISSN: 1387-2273
- DT Journal
- LA English
- IT 52736-71-7, 6,7-Dichloro-2,3-dimethylquinoxaline RL: ANST (Analytical study)

(in detn. of butanediol in biol. samples by gas chromatog. with electron-capture detection)

- RN 52736-71-7 CAPLUS
- CN Quinoxaline, 6,7-chloro-2,3-dimethyl- (9CI) (CA INDEX NAME)

2,3-Butanediol was quant. oxidized into diacetyl by reaction with MnO4- at AB 20.degree. for 30 min under neutral conditions. The reaction of diacetyl with 4,5-dichloro-1,2-diaminobenzene afforded 6,7-dichloro-2,3dimethylquinoxaline (DCDMQ), which was extd. with n-hexane and detd. by gas chromatog. with electron-capture detection. As an internal std. 1,2-cyclohexanediol was used. The detection limit of DCDMQ (or 2,3-butanediol) was 10 fmol/.mu.L in the ext., and the detn. limit of DCDMQ (or 2,3-butanediol) was at least from 50 fmol/.mu.L to 20 pmol/.mu.L in the ext. Recoveries from normal rat urine and rat liver homogenate were 97.8 .+-. 3.4% and 98.4 .+-. 2.9%, resp. The method is very simple and sensitive and is applicable to the detn. of 2,3-butanediol in normal rat tissues.

ANSWER 36 OF 100 CAPLUS COPYRIGHT 2003 ACS L4

AN1993:531283 CAPLUS

DN 119:131283

CP-99,711: A nonpeptide glucagon receptor antagonist TI

Collins, Judith L.; Dambek, Paul J.; Goldstein, Steven W.; Faraci, W. ΑU

Cen. Res. Div., Pfizer Inc., Groton, CT, 06340, USA CS

Bioorganic & Medicinal Chemistry Letters (1992), 2(9), 915-18 SO CODEN: BMCLE8; ISSN: 0960-894X

DT Journal

LΑ English

IT 149366-39-2P 149839-55-4P, CP 99711

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. and glucagon receptor antagonist properties of)

149366-39-2 CAPLUS RN

1,3-Propanediamine, N-[6,7-dichloro-3-(2-phenylethenyl)-2-quinoxalinyl]-CN N,N',N'-trimethyl- (9CI) (CA INDEX NAME)

RN 149839-55-4 CAPLUS

1,3-Propanediamine, N-[6,7-dichloro-3-(2-phenylethenyl)-2-quinoxalinyl]-CN N,N',N'-trimethyl-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

GI

C1 NMe (CH<sub>2</sub>) 3NMe<sub>2</sub> @ HC1 
$$CH = CHPh$$
  $I$ 

CP-99,711 (I), identified in a screening program, displaces AΒ [125I]-glucagon from its rat liver receptor. The synthesis of I is described and is characterized as a functional glucagon receptor antagonist.

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L4
    ANSWER 37 OF 100 CAPLUS COPYRIGHT 2003 ACS
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AN 1993:472621 CAPLUS

DN 119:72621

Preparation of nematocidal quinoxaline derivatives TI

ΙN Turnbull, Michael Drysdale; Finney, John

PAImperial Chemical Industries PLC, UK

SO PCT Int. Appl., 28 pp.

CODEN: PIXXD2

Patent DT

LΑ English

FAN.	CNT 1 PATENT NO.	KIND DATE	APPLICATION NO. DATE
PI	WO 9304049 W: AU, BB,	A1 19930304 BG, BR, CA, CS, FI,	WO 1992-GB1397 19920728 , HU, JP, KP, KR, LK, MG, MN, MW, NO,
	RW: AT, BE,	KU, SD, US	, GB, GR, IT, LU MC NI, SE DE DI
	AU 9223694	A1 19930316	GB 1991-17987 19910820 AU 1992-23694 19920728
	US 5246933	A 19930921	WO 1992-GB1397 19920728 US 1992-926012 19920806
OS	MARPAT 119:7262	1	GB 1991-17987 19910820

IT 148515-99-5P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, as nematocide)

148515-99-5 CAPLUS RN

Quinoxaline, 6,7-dichloro-2-[(3,4,4-trifluoro-3-butenyl)thio]- (9CI) CN

Patel

INDEX NAME)

$$C1$$
 $N$ 
 $S-CH_2-CH_2-C-F$ 

GI

$$R^{2}$$
 $N$ 
 $R^{1}$ 
 $R^{3}$ 
 $N$ 
 $S(0)_{n}CH_{2}CH_{2}CF = CF_{2}$ 
 $R^{3}$ 

AB Title compds. I (R1-R5 = H, alkyl, alkenyl, alkynyl, (alkyl)cycloalkyl, halo, haloalkyl, alkoxy, alkenyloxy, haloalkoxy, R602C wherein R6 = H, C1-4 alkyl, R7R8N wherein R7 = C1-4 alkyl, R8 = R6, etc., n = 0-2), are prepd. 2-Chloroquinoxaline and NaSH were reacted to give 2-mercaptoquinoxaline which was treated with CF2:CFEt to give I (R1-R5 = H, n = 0). A similar prepd. title compd. I (R1 = R3 = R4 = R5 = H, R2 = C1, n = 0) at 10 and 20 ppm gave 100% control of Globodera rostochiensis on tomato plants.

L4 ANSWER 38 OF 100 CAPLUS COPYRIGHT 2003 ACS

AN 1993:428549 CAPLUS

DN 119:28549

TI Potent quinoxaline-spaced phosphono .alpha.-amino acids of the AP-6 type as competitive NMDA antagonists: synthesis and biological evaluation

AU Baudy, Reinhardt B.; Greenblatt, Lynne P.; Jirkovsky, Ivo L.; Conklin, Mary; Russo, Ralph J.; Bramlett, Donna R.; Emrey, Tracy A.; Simmonds, Joanne T.; Kowal, Dianne M.; et al.

CS Div. CNS Chem., Wyeth-Ayerst Research Inc., Princeton, NJ, 08543-8000, USA

SO Journal of Medicinal Chemistry (1993), 36(3), 331-42 CODEN: JMCMAR; ISSN: 0022-2623

DT Journal

LA English

IT 143154-12-5P

RN 143154-12-5 CAPLUS

CN Propanedioic acid, (acetylamino) [[6,7-dichloro-3-[(dimethoxyphosphinyl)methyl]-2-quinoxalinyl]methyl]-, diethyl ester (9CI) (CA INDEX NAME)

IT 3298-96-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and phosphonylation of, with tri-Me phosphite)

RN3298-96-2 CAPLUS

Quinoxaline, 2,3-bis(bromomethyl)-6,7-dichloro- (7CI, 8CI, 9CI) (CA INDEX CN

ΙT 147708-29-0P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

RN 147708-29-0 CAPLUS

CN 2-Quinoxalinepropanoic acid, .alpha.-amino-6,7-dichloro-3-(phosphonomethyl) -, monohydrochloride (9CI) (CA INDEX NAME)

$$C1$$
 $NH_2$ 
 $CH_2-CH-CO_2H$ 
 $CH_2-PO_3H_2$ 

● HCl

143154-11-4P IT

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn., crystal, and condensation reaction of, with acetamidomalonate)

RN 143154-11-4 CAPLUS

Phosphonic acid, [[3-(bromomethyl)-6,7-dichloro-2-quinoxalinyl]methyl]-, CN dimethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Cl} & \text{O} & \text{O} \\ \text{Cl} & \text{CH}_2 - \text{P-OMe} \\ \text{OMe} & \text{CH}_2 \text{Br} \end{array}$$

GI

AB A series of .alpha.-amino-3-(phosphonoalkyl)-2-quinoxalinepropanoic acids, e.g. I [R = H (II); R = Cl (III)] were synthesized and evaluated for NMDA receptor affinity using a [3H]CPP binding assay. Functional antagonism of the NMDA receptor complex was evaluated in vitro using a stimulated [3H]TCP binding assay and in vivo by employing an NMDA-induced seizure model. Some analogs also were evaluated in the [3H]-glycine binding assay. Several compds. of the AP-6 type show potent and selective NMDA antagonistic activity both in vitro and in vivo. In particular II displayed an ED50 of 1.1 mg/kg i.p. in the NMDA lethality model. Noteworthy is III with a unique dual activity, displaying in the NMDA receptor binding assay an IC50 of 3.4 nM and in the glycine binding assay an IC50 of 0.61 .mu.M.

L4 ANSWER 39 OF 100 CAPLUS COPYRIGHT 2003 ACS

AN 1993:234087 CAPLUS

DN 118:234087

TI Preparation of azolobenzazine excitatory amino acid receptor antagonists
IN McOuaid Loretta A Mitch Charles II Company and Property and Property

McQuaid, Loretta A.; Mitch, Charles H.; Ornstein, Paul L.; Schoepp, Darryle D.; Smith, Edward C. R.

PA Lilly, Eli, and Co., USA

SO U.S., 12 pp.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

PAIN.	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5153196 CA 2070055	A AA	19921006 19921206	US 1991-710649 CA 1992-2070055	19910605 19920529
	EP 518530 EP 518530 EP 518530 R: AT, BE,	A2 A3 B1 CH, DE	19921216 19930120 19961009 , DK, ES, FR,	US 1991-710649 EP 1992-304887 GB, GR, IT, LI, LU	19910605 19920529
	JP 05163147	A2	19930629	US 1991-710649 JP 1992-138986	19910605 19920529
	AT 143806	E	19961015	US 1991-710649 AT 1992-304887	19910605 19920529
	ES 2092639	Т3	19961201	US 1991-710649 ES 1992-304887	19910605 19920529

US 1991-710649 19910605 US 5196421 A 19930323 US 1992-904358 19920625 US 1991-710649 19910605

OS MARPAT 118:234087

IT 143007-16-3P 143007-19-6P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, as intermediate for azolobenzazine excitatory amino acid antagonist)

RN 143007-16-3 CAPLUS

CN 2(1H)-Quinoxalinone, 6,7-dichloro-, hydrazone (9CI) (CA INDEX NAME)

RN 143007-19-6 CAPLUS

CN 2-Quinoxalinamine, 6,7-dichloro-N-(2,2-dimethoxyethyl)- (9CI) (CA INDEX NAME)

GI

AB Title compds. [I and II; A1-A3 = C, N; .gtoreq.1 of A1-A3 = N; one of A4, A5 = C, the other = N; R1, R2 = H, halo, cyano, NO2, alkyl, (substituted) Ph, (substituted) fused benzo, azido, CF3, NHSO2R4, SO2NR5R6; R3 = H, alkyl, aryl, CF3; R4 = alkyl, (substituted) Ph; R5, R6 = H, alkyl], were prepd. Thus, 4,5-dichloro-1,2-phenylenediamine ws refluxed with HO2CCHO/H2O/EtOH to give 6,7-dichloroquinoxalin-2-one. This was refluxed with POCl3 to give 2,6,7-trichloroquinoxaline which was refluxed with hydrazine to give 2-hydrazino-6,7-dichloroquinoxaline. This was refluxed with MeC(OEt)3 to give 1-methyl-7,8-dichloro-1,2,4-triazolo[4,3-a]quinoxaline. I at 10 .mu.m displaced 3H-kainate from excitatory amino

Patel

acid receptor prepns. by -6.4 to 34.7%.

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ANSWER 40 OF 100 CAPLUS COPYRIGHT 2003 ACS
L4
    1993:96275 CAPLUS
AN
   118:96275
DN
TT
    Antidotes reducing pesticidal interactions with herbicides in crops
    Bussler, Brett Hayden; Hakes, Harrison Ross; Mayonado, David James
TN
    Monsanto Co., USA
PΑ
SO
    PCT Int. Appl., 331 pp.
    CODEN: PIXXD2
DT
    Patent
LA
    English
FAN.CNT 2
                                      APPLICATION NO. DATE
    PATENT NO.
                  KIND DATE
    -----
                                       --------
                    A1 19920723
PΙ
    WO 9211761
                                      WO 1991-US9783 19911230
        W: AU, BG, BR, CA, CS, FI, HU, JP, KR, PL, RO, RU, US
        RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE
                                       US 1990-636360 19901231
                                        US 1991-808590
                                                        19911220
    US 5484760
                    A 19960116
                                        US 1991-808590
                                                        19911220
                                        US 1990-636360
                                                        19901231
    AU 9191521
                    A1 19920817
                                        AU 1991-91521
                                                        19911230
                                        US 1990-636360
                                                        19901231
                                        US 1991-808590
                                                       19911220
                                        WO 1991-US9783
                                                        19911230
    EP 565593
                                        EP 1992-902922
                    A1
                         19931020
                                                       19911230
                    B1
    EP 565593
                         19990303
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, SE
                                        US 1990-636360
                                                      19901231
                                        US 1991-808590
                                                        19911220
                                        WO 1991-US9783
                                                       19911230
    BR 9107199
                    Α
                         19940405
                                        BR 1991-7199
                                                       19911230
                                        US 1990-636360
                                                       19901231
                                        US 1991-808590
                                                       19911220
                                        WO 1991-US9783
                                                      19911230
PATENT FAMILY INFORMATION:
FAN 1996:106676
    PATENT NO.
                    KIND DATE
                                      APPLICATION NO. DATE
                         -----
                                       -----
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PΙ
    US 5484760
                    A
                         19960116
                                       US 1991-808590
                                                        19911220
                                        US 1990-636360
                                                        19901231
    CA 2096527
                    AA 19920701
                                        CA 1991-2096527 19911230
                                        US 1990-636360
                                                       19901231
                                        US 1991-808590
                                                       19911220
                    A1 19920723
                                        WO 1991-US9783
                                                       19911230
        W: AU, BG, BR, CA, CS, FI, HU, JP, KR, PL, RO, RU, US
        RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE
                                        US 1990-636360
                                                        19901231
                                        US 1991-808590
                                                        19911220
    AU 9191521
                    A1
                         19920817
                                        AU 1991-91521
                                                        19911230
                                                        19901231
                                        US 1990-636360
                                        US 1991-808590
                                        WO 1991-US9783
    ZA 9110204
                     Α
                         19921125
                                        ZA 1991-10204
                                                       19911230
                                        US 1990-636360
                                                      19901231
    EP 565593
                    A1 19931020
                                        EP 1992-902922
                                                       19911230
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Patel <4/4/2003>

19990303

B1

EP 565593

R: AT, BE,	CH, D	E, DK, ES, F	R, GB, GR, IT, LI, LU, M	C. NI. SE
				901231
				911220
BR 9107199		100.0	WO 1991-US9783 19	911230
DR 710/199	A	19940405	BR 1991-7199 19	911230
				901231
			US 1991-808590 199	911220
HU 65077	A2	19940428		911230
	AZ	13340428	770 1000	911230
			770 4 5 5 5 5 5	901231
AT 176987	E	19990315	3 1 1 1 1 1 1 1 1 1 1 1 1 1 1 1 1 1 1 1	911220
		10000313	110 1000	911230
			110 4 5 5 5 5 5 5	901231
ES 2130166	Т3	19990701	70 1000	911220
	13	10000101	110 1000	11230
			110 1001	01231
MAPDAT 110.00075			US 1991-808590 199	11220

OS MARPAT 118:96275

IT3495-42-9, Chlorquinox

RL: BIOL (Biological study)

(neg. synergism of, with herbicides, antidote for suppression of)

3495-42-9 CAPLUS

Quinoxaline, 5,6,7,8-tetrachloro- (7CI, 8CI, 9CI) (CA INDEX NAME) CN

The neg. synergism in crops induced by the interaction of an herbicide, such as micosulfuron, primisulfuron, or NC-319, with an insecticide (phorate, terbufos, chlorpyrifos, etc.), fungicide, or nematocide is suppressed by an antidote, such as dichlormid, R 29148, or AD 67. Injury to corn from the joint application of 0.23 kg Counter/305-m furrow and 0.14 kg NC-319/ha was almost totally suppressed by MON-13900 [3-dichloroacetyl)-2,2-dimethyl-5-(2-furanyl)oxazolidine] (0.14 kg/ha).

- L4ANSWER 41 OF 100 CAPLUS COPYRIGHT 2003 ACS
- AN 1992:592207 CAPLUS
- DN 117:192207
- Fluorine-19 NMR studies on the mechanism of riboflavin synthase. TI Synthesis of 6-(trifluoromethyl)-7-oxo-8-(D-ribityl)lumazine and 6-(trifluoromethyl)-7-methyl-8-(D-ribityl)lumazine
- Cushman, Mark; Patel, Hemantkumar H.; Scheuring, Johannes; Bacher, AU Adelbert
- Sch. Pharm. Pharm. Sci., Purdue Univ., West Lafayette, IN, 47907, USA CS Journal of Organic Chemistry (1992), 57(21), 5630-43 SO CODEN: JOCEAH; ISSN: 0022-3263
- DT Journal
- LA English
- IT 143309-87-9P

RL: SPN (Synthetic preparation); PREP (Preparation)

Page 89

(prepn. of)

RN 143309-87-9 CAPLUS

Quinoxaline, 6,7-dichloro-2-methyl-3-(trifluoromethyl)- (9CI) (CA INDEX CN NAME)

GΙ

- \* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT \*
- Title oxo-(D-ribityl)lumazine I was synthesized by reaction of Me AB trifluoropyruvate with 5-amino-6-(D-ribitylamino)pyrimidine-2,4(1H,3H)dione hydrochloride and utilized as a 19F NMR probe of the light riboflavin synthase of Bacillus subtillis. I was found to be an inhibitor of riboflavin synthase with an inhibition const. KI = 55 .mu.M. The enzyme-bound ligand gave rise to several broad 19F NMR signals which were shifted to low field. The bound ligand I could be displaced from the enzyme by the enzyme product, riboflavin (II), and the product analog, 5-nitroso-6-(ribitylamino)-2,4(1H,3H)-pyrimidinedione. Title methyl-(D-ribityl) lumazine III was synthesized by reaction of 5-amino-6-(D-ribitylamino)pyrimidine-2,4(1H,3H)-dione hydrochloride with 1,1,1-trifluorobutane-2,3-dione. Three mols. of III can be bound relatively tightly per mol of riboflavin synthase, i.e., one ligand mol. per protein subunit. A scheme for the catalytic cycle of riboflavin synthase is proposed.
- ANSWER 42 OF 100 CAPLUS COPYRIGHT 2003 ACS L4
- ΑN 1992:550961 CAPLUS
- DN 117:150961
- Synthesis and excitatory amino acid pharmacology of a series of TIheterocyclic-fused quinoxalinones and quinazolinones
- McQuaid, Loretta A.; Smith, Edward C. R.; South, Kimberly K.; Mitch, ΑU Charles H.; Schoepp, Darryle D.; True, Rebecca A.; Calligaro, David O.; O'Malley, Patrick J.; Lodge, David; Ornstein, Paul L.
- Lilly Res. Lab., Indianapolis, IN, 46285, USA CS
- Journal of Medicinal Chemistry (1992), 35(18), 3319-24 SO CODEN: JMCMAR; ISSN: 0022-2623
- DT Journal
- LΑ English
- IT 143007-19-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (prepn. and intramol. cyclocondensation of)

RN 143007-19-6 CAPLUS

2-Quinoxalinamine, 6,7-dichloro-N-(2,2-dimethoxyethyl)- (9CI) (CA INDEX CN NAME)

IT 143007-16-3P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. and sequential reaction with orthoesters, and oxidn. by peroxides or trifluoroacetic acid)

RN 143007-16-3 CAPLUS

CN 2(1H)-Quinoxalinone, 6,7-dichloro-, hydrazone (9CI) (CA INDEX NAME)

GI

As series of substituted 1,2,4-triazolo[4,3-a]quinoxalin-4(5H)-ones I (R1 = R2 = Cl, F, R3 = H, alkyl, Ph; R1 = NO2, R2 = H, NO2, R3 = H), tetrazolo[1,5-a]quinoxalin-4(5H)-ones II (R = R2 = Cl, H, NO2; R1 = NO2, R2 = H; R1 = H, R2 = NO2), pyrazolo[1,5-c]quinazolin-5(6H)-ones III, and an imidazo[1,2-a]quinoxalin-4(5H)-one, was synthesized as potent amino acid antagonists. In general, the same heterocycles which demonstrated the best affinity for the AMPA receptor also demonstrated the best affinity for the glycine site on the NMDA receptor complex.

1-Propyl-7,8-dichloro-1,2,4-triazolo[4,3-a]quinoxalin-4(5H)-one, was found to bind with the greatest affinity to the AMPA receptor with an IC50 of 0.83 .mu.M and antagonized 40 .mu.M AMPA-induced depolarization in the cortical slice prepn. with an IC50 of 44 .mu.M. 7,8-Dichloro-1,2,4-triazolo[4,3-a]quinoxalin-4(5H)-one possessed the best affinity for the glycine site

with IC50 values of 0.63 and 1.25  $.\,mu.M.$ , resp. The structure-activity relationship for the heterocyclic compds. did not directly parallel that of known quinoxalinediones (e.g. DNQX and DCQX) at the AMPA receptor nor that of the kynurenic acids at the glycine site on the NMDA receptor

ANSWER 43 OF 100 CAPLUS COPYRIGHT 2003 ACS L4

AN 1992:544877 CAPLUS

117:144877 DN

Simple and sensitive determination of diacetyl and acetoin in biological TIsamples and alcoholic drinks by gas chromatography with electron-capture

AU Otsuka, Masato; Ohmori, Shinji

Fac. Pharm. Sci., Okayama Univ., Okayama, 700, Japan CS

Journal of Chromatography (1992), 577(2), 215-20 SO CODEN: JOCRAM; ISSN: 0021-9673

DTJournal

LΑ English

IΤ 52736-71-7P, DCDMQ

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, for acetoin and diacetyl detn. in biol. samples and alc. beverages by GC)

RN 52736-71-7 CAPLUS

Quinoxaline, 6,7-chloro-2,3-dimethyl- (9CI) (CA INDEX NAME) CN

Acetoin was quant. oxidized into diacetyl by Fe3+ in 1M perchloric acid. AB The reaction of diacetyl with 4,5-dichloro-1,2-diaminobenzene afforded 6,7-dichloro-2,3-dimethylquinoxaline (DCDMQ), which was extd. by benzene contg. aldrin (25 ng/mL) as an internal std., and detd. by gas chromatog. with electron-capture detection. The method is very simple and sensitive. The detection limit of DCDMQ (either diacetyl or acetoin) was 10 fmol/.mu.L of the benzene ext., and the detn. limit of DCDMQ (either diacetyl or acetoin) was 50 fmol/.mu.L of the ext. Both acetoin and diacetyl could be detd. in 0.1 mL of normal human urine or blood, and both were found in rat liver, kidney, and brain. The method was also applied to the detn. of acetoin and diacetyl in alc. drinks.

ANSWER 44 OF 100 CAPLUS COPYRIGHT 2003 ACS L4 AN

1992:531561 CAPLUS

DN 117:131561

Preparation of (phosphonoalkyl)(aminocarboxyalkyl)quinoxalines as ΤI N-methyl-D-aspartate (NMDA) antagonists IN

Jirkovsky, Ivo L.; Baudy, Reinhardt B.; Greenblatt, Lynne P.

American Home Products Corp., USA PΑ

SO U.S., 9 pp. CODEN: USXXAM

DT Patent

LΑ English

FAN. CNT 1

PATENT NO.

KIND DATE

APPLICATION NO. DATE

-----ΡI US 5118675 Α 19920602 US 1991-656894 WO 9214740 19910215 A1 19920903 WO 1992-US1080 W: AU, CA, JP, KR 19920211 RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE US 1991-656894 AU 9214320 19910215 **A**1 19920915 AU 1992-14320 19920211 US 1991-656894 19910215 WO 1992-US1080 OS MARPAT 117:131561 19920211 IT 143154-00-1P RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, as NMDA antagonist) RN 143154-00-1 CAPLUS 2-Quinoxalinepropanoic acid, .alpha.-amino-6,7-dichloro-3-CN (phosphonomethyl) - (9CI) (CA INDEX NAME)

$$C1$$
 $N$ 
 $CH_2-CH-CO_2H$ 
 $CH_2-PO_3H_2$ 

IT 3298-96-2P 143154-11-4P 143154-12-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for NMDA antagonist)
RN 3298-96-2 CAPLUS

CN Quinoxaline, 2,3-bis(bromomethyl)-6,7-dichloro- (7CI, 8CI, 9CI) (CA INDEX NAME)

RN 143154-11-4 CAPLUS
CN Phosphonic acid, [[3-(bromomethyl)-6,7-dichloro-2-quinoxalinyl]methyl]-,
dimethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Cl} & \text{O} & \text{O} \\ \text{N} & \text{CH}_2\text{--} & \text{P--} \text{OMe} \\ \text{OMe} & \text{CH}_2\text{Br} \end{array}$$

RN 143154-12-5 CAPLUS
CN Propagedioic acid

Propanedioic acid, (acetylamino)[[6,7-dichloro-3-[(dimethoxyphosphinyl)methyl]-2-quinoxalinyl]methyl]-, diethyl ester (9CI) (CA INDEX NAME)

GI

$$N$$
 $NH_2$ 
 $PO_3H_2$ 
 $I$ 

H2N(HO2C)CH(CH2)mQ(CH2)n PO3H2 (Q = quinoxaline nucleus; m = 0-3; n = AB 1-3), and salts and esters thereof, were prepd. Thus, 1,2-phenylenediamine and 1,4-dibromo-2,3-butanedione were refluxed in C6H6 with removal of H2O to give 2,3-bis(bromomethyl)quinoxaline. The latter was refluxed with P(OMe)3 in PhMe to give di-Me 3-bromomethylquinoxaline-2methylphosphonate. The latter in THF was added to a -78.degree. mixt. of N-benzylideneglycine Et ester and KOCMe3 in THF followed by warming to room temp. over 4 h to give Et N-benzylidene-.alpha.-amino-3-[(dimethoxyphosphinyl)methyl]-2-quinoxaline propanoate. Deprotection of the latter gave title compd. I. L-I inhibited NMDA-induced mortality in mice with ED50 = 1.52 mg/kg i.p.

ANSWER 45 OF 100 CAPLUS COPYRIGHT 2003 ACS L4

AN 1990:160459 CAPLUS

DN 112:160459

ΤI The variant-rich chemistry of quinoxalines to quinoid and indigoid chromophores. IV. The chemistry of naphtho-, quinolino-, and anthracenophenazinones AU

Schelz, Dieter

Inst. Farbenchem., Univ. Basel, Basel, CH-4056, Switz. CS SO

Dyes and Pigments (1990), 12(1), 1-20 CODEN: DYPIDX; ISSN: 0143-7208

DT Journal

LΑ German

OS CASREACT 112:160459

18225-81-5, 5,6,7,8-Tetrachloro-2,3-dimethylquinoxaline ΙT RL: RCT (Reactant); RACT (Reactant or reagent) (reaction of, with dichloronaphthoquinones) RN

18225-81-5 CAPLUS

Quinoxaline, 5,6,7,8-tetrachloro-2,3-dimethyl- (7CI, 8CI, 9CI) (CA INDEX CN

Dihydronaphtho[1,2-b]phenazinones and dihydroquinolino[1,2-b]phenazinones AB were prepd. by treating quinoxalinium perchlorates with dihalonaphthoquinones and dihaloquinoline quinones, resp.

ANSWER 46 OF 100 CAPLUS COPYRIGHT 2003 ACS L4

ΑN 1990:139053 CAPLUS

DN 112:139053

Preparation of N-substituted 2-(aminomethyl)quinoxalines as ΤI antiinflammatories and analgesics

IN Sarodnick, Gerhard; Kempter, Gerhard; Goeres, Erhard; Dove, Baerbel;

Institut fuer Pharmakologische Forschung der Pharmazeutischen Industrie, PA Ger. Dem. Rep.

SO Ger. (East), 6 pp. CODEN: GEXXA8

DT Patent

LΑ German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DD 269620	A1 19890705		DAIL .	
os	CASREACT 112.120		10090705	DD 1985-272581 DD 1985-272581	19850115

OS CASREACT 112:139053; MARPAT 112:139053

IT125989-05-1P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, an analgesic and antiinflammatory)

RN 125989-05-1 CAPLUS

Quinoxaline, 6,7-dichloro-2-phenyl-3-[(4-phenyl-1-piperidinyl)methyl]-CN (9CI) (CA INDEX NAME)

GI

AB The title compds. [I; R = NR1R2; R1 = H, R2; R2 = (un)substituted(cyclo)alkyl, aryl, heterocyclyl; R3 = H, alkyl, aryl; R4 .gtoreq.1 of H, halo, NO2, cyano, CF3] or their pharmaceutically acceptable salts, useful as analgesics and inflammation inhibitors in the human and veterinary medicine, were prepd. by a substitution reaction of HNR1R2 with 2-(halomethyl)quinoxaline analogs or with their precursors R3C(:Y)COCH2X (X = C1, Br; Y = 0, NOH; R3 as above), which were subsequentlycyclocondensed with optionally R4-substituted o-phenylenediamines to form quinoxalines. Morpholine was added dropwise to a boiling soln. of 2-(bromomethyl)quinoxaline in heptane and the mixt. was refluxed 1 h to give 80% I (R = 4-morpholino, R3 = R4 = H) (II). In the acetic acid writhing test in mice, the mean values of ED50 were 4.4 .times. 10-5 for II, 2.2 times. 10-5 for analgin, and 1.2 times. 10-5 mol/kg for morphine. In rats, 5 .times. 10-5 mol II/kg orally gave 40% and 20% redn. after 3 and 5 h of carrageenan-induced paw edema vs. 42% and 46% for

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ANSWER 47 OF 100 CAPLUS COPYRIGHT 2003 ACS
L4
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AN 1989:594793 CAPLUS

DN 111:194793

Preparation of chloroquinoxalines as drugs and agrochemicals ΤI IN

PΑ Tec Chem K. K., Japan

Jpn. Kokai Tokkyo Koho, 15 pp. SO CODEN: JKXXAF

DT Patent

LΑ Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	JP 01075474	A2	19890322	JP 1987-228594	 19870914
OS	MAPPAT 111 10450	_		JP 1987-228594	19870914

OS MARPAT 111:194793

ΙT 19853-64-6 123342-15-4

RL: RCT (Reactant); RACT (Reactant or reagent) (dehalogenation of)

RN 19853-64-6 CAPLUS

Quinoxaline, 6,7-dichloro- (8CI, 9CI) (CA INDEX NAME) CN

RN 123342-15-4 CAPLUS

CNQuinoxaline, 6,7-dichloro-2-propyl- (9CI) (CA INDEX NAME)

GΙ

$$X^2$$
 $X^1$ 
 $X^2$ 
 $X^3$ 
 $X^4$ 
 $X^2$ 
 $X^3$ 
 $X^4$ 
 $X^2$ 
 $X^3$ 
 $X^4$ 
 $X^4$ 

The title compds. I (R1, R2 = H, alkyl, CO2H, OH, etc.; X1 - X4 = H, OH, AΒ alkoxy, alkyl, halo, etc.; at least one of X1 - X4 is H or halo), useful as drugs and agrochems. (no data), were prepd. from quinoxalines II (A1 -A4 = H, OH, alkoxy, alkyl, CO2H, NH2, halo; at least one of A1 - A4 is halo). Chlorination of 2-hydroxyquinoxaline (prepn. given) with Cl2 gave 60.5% 6-chloro-2-hydroxyquinoxaline (III) and 7-chloro-2hydroxyquinoxaline (IV). Dehalogenation of IV over 5% Pd-C under H2, followed by oxidn., gave 2-hydroxyquinoxaline which was then chlorinated to give III.

ANSWER 48 OF 100 CAPLUS COPYRIGHT 2003 ACS L4

ΑN 1988:570378 CAPLUS

DN 109:170378

Synthesis of trifluoromethylated pyrazine-containing nitrogen heterocycles ΤI from trifluoropyruvaldehyde and ortho-diamines: scope and regiochemistry

Cushman, Mark; Patel, Hemantkumar; McKenzie, Ann ΑU

Sch. Pharm. Pharm. Sci., Purdue Univ., West Lafayette, IN, 47907, USA CS

Journal of Organic Chemistry (1988), 53(21), 5088-92 SO CODEN: JOCEAH; ISSN: 0022-3263

DT Journal

LΑ English

OS CASREACT 109:170378

IT 115652-57-8P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (prepn. and NMR of)

RN 115652-57-8 CAPLUS

Quinoxaline, 6,7-dichloro-2-(trifluoromethyl)- (9CI) (CA INDEX NAME) CN

GI

AB The structures of the reaction products, e.g. I and II, obtained from the condensation of trifluoropyruvaldehyde with a variety of ortho-diamines have been investigated in order to det. the scope of the reaction and also to investigate which of the structural isomers is formed in larger amt. in cases in which two products are possible. As a result of intensive 13C-, 19F-, and 1H-NMR studies, as well as x-ray anal. of I it has been obsd. that, in aq. soln., the major product of the reaction is usually derived from reaction of the aldehyde carbonyl of trifluoropyruvaldehyde hydrate with the more reactive amino group of the diamine to give an intermediate imine which then dehydrates and cyclizes by reaction of the remaining amino group with the carbonyl adjacent to the trifluoromethyl group.

L4 ANSWER 49 OF 100 CAPLUS COPYRIGHT 2003 ACS

AN 1988:221669 CAPLUS

DN 108:221669

TI Synthesis and oral antiallergic activity of carboxylic acids derived from imidazo[2,1-c][1,4]benzoxazines, imidazo[1,2-a]quinolines, imidazo[1,2-a]quinoxalines, imidazo[1,2-a]quinoxalinones, pyrrolo[1,2-a]quinoxalinones, pyrrolo[2,3-a]quinoxalinones, and imidazo[2,1-b]benzothiazoles

AU Ager, Ian R.; Barnes, Alan C.; Danswan, Geoffrey W.; Hairsine, Peter W.; Kay, David P.; Kennewell, Peter D.; Matharu, Saroop S.; Miller, Peter; Robson, Peter; et al.

CS Roussel Lab. Ltd., Covingham/Swindon/Wilts, SN3 5BT, UK

SO Journal of Medicinal Chemistry (1988), 31(6), 1098-115 CODEN: JMCMAR; ISSN: 0022-2623

DT Journal

LA English

OS CASREACT 108:221669

IT 76002-68-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and cyclocondensation reaction of, with bromopyruvate)

RN 76002-68-1 CAPLUS

CN 2-Quinoxalinamine, 6,7-dichloro- (9CI) (CA INDEX NAME)

GΙ

4H-Imidazo[2,1-c][1,4]benzoxazine-2-carboxylic acid (I) possesses potent AΒ activity in the IgE-induced rat passive cutaneous anaphylaxis model, which may be predictive of clin. antiallergic activity. Compared to disodium cromoglycate (DSCG) (II), I was less active following i.v. administration but unlike II showed very significant oral activity. To explore the structural requirements for this activity, a range of tricyclic compds. was prepd. and their activities were measured. Individual 2-carboxylic acids derived from imidazo[1,2-a]quinolines, imidazo[1,2-a]quinoxalines, imidazo[1,2-a]quinoxalinones, pyrrolo[1,2-a]quinoxalinones, pyrrolo[2,3-a]quinoxalinones, and imidazo[2,1-b]benzothiazoles showed i.v. activities up to 103 times as potent as II and many of them showed significant oral activity. From these, imidazo[1,2-a]quinoxaline-2carboxylic acid (III) was chosen for further development.

ANSWER 50 OF 100 CAPLUS COPYRIGHT 2003 ACS L4

AN 1988:112392 CAPLUS

108:112392 DN

The four 6-halo-7-nitroquinoxalines ΤI

Nasielski-Hinkens, Raymonde; Leveque, Pierre; Castelet, Daniel; Nasielski, ΑU CS

Lab. Chim. Org., Univ. Libre Bruxelles, Brussels, B-1050, Belg. SO

Heterocycles (1987), 26(9), 2433-42 CODEN: HTCYAM; ISSN: 0385-5414

DT Journal

LΑ English

CASREACT 108:112392 OS

ΙT 19853-64-6P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

RN 19853-64-6 CAPLUS

Quinoxaline, 6,7-dichloro- (8CI, 9CI) (CA INDEX NAME) CN

GΙ

$$O_2N$$
 $NH_2$ 
 $NH_2$ 
 $I$ 
 $R_1$ 

AB The cyclocondensation of phenylenediamines I (R1 = F, C1, Br, iodo) with glyoxal gave quinoxalines II. I were prepd. from 4-halo-1,2-benzenediamines by successive N-tosylation, nitration, and detosylation.

L4 ANSWER 51 OF 100 CAPLUS COPYRIGHT 2003 ACS

AN 1988:56066 CAPLUS

DN 108:56066

TI A convenient synthesis of new arylethenylquinoxalines

AU Pawlowski, Georg; Frass, Werner; Mohr, Dieter

CS Kalle/Hoechst A.-G., Wiesbaden-Biebrich, D-6200, Fed. Rep. Ger.

SO Synthesis (1987), (7), 638-40 CODEN: SYNTBF; ISSN: 0039-7881

DT Journal

LA English

OS CASREACT 108:56066

IT 112331-19-8

RL: RCT (Reactant); RACT (Reactant or reagent)
 (Arbuzov reaction of)

RN 112331-19-8 CAPLUS

CN Quinoxaline, 2-(bromomethyl)-6,7-dichloro-3-methyl- (9CI) (CA INDEX NAME)

IT 112331-17-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and Horner-Emmons reaction of, with arom. aldehydes)

RN 112331-17-6 CAPLUS

CN Phosphonic acid, [(6,7-dichloro-3-methyl-2-quinoxalinyl)methyl]-, diethyl ester (9CI) (CA INDEX NAME)

IT 112331-08-5P 112354-62-8P

> RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (prepn. and spectra of)

112331-08-5 CAPLUS RN

Quinoxaline, 6,7-dichloro-2-[2-(3,4-dichlorophenyl)ethenyl]-3-[2-[4-CN(trifluoromethyl)phenyl]ethenyl]- (9CI) (CA INDEX NAME)

RN 112354-62-8 CAPLUS

Benzoic acid, 4-[2-[6,7-dichloro-3-[2-[4-(diethylamino)phenyl]ethenyl]-2-CNquinoxalinyl]ethenyl]-, methyl ester (9CI) (CA INDEX NAME)

IT 112331-14-3P 112331-15-4P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn., spectra, and condensation reaction of, with aldehydes)

RN

112331-14-3 CAPLUS
Quinoxaline, 6,7-dichloro-2-[2-(3,4-dichlorophenyl)ethenyl]-3-methyl-CN (9CI) (CA INDEX NAME)

RN 112331-15-4 CAPLUS

CN Benzenamine, 4-[2-(6,7-dichloro-3-methyl-2-quinoxalinyl)ethenyl]-N,N-diethyl- (9CI) (CA INDEX NAME)

GI

Arbusov reaction of bromomethylquinoxalines I (R = CH2Br, R1 = H, Cl, Me) with P(OEt)3 gave 95-100% phosphonates I [R = CH2P(O) (OEt)2], Horner-Emmons reaction of which, with R2CHO [R2 = 3,4-(MeO)2C6H3, p-tolyl, m-PhOC6H4, p-Et2NC6H4, 2-methoxynaphthyl, 3,4-Cl2C6H4], condensation of which, with R3CHO [R3 = p-NCC6H4, Ph, m-anisyl, 3,4-Cl2C6H3, styryl, p-, m-O2NC6H4, p-F3CC6H4, p-(MeO2C)C6H4] in Ac2O gave 40-84% 10 II.

L4 ANSWER 52 OF 100 CAPLUS COPYRIGHT 2003 ACS

AN 1987:403514 CAPLUS

DN 107:3514

TI Simple and sensitive determination of methylglyoxal in biological samples by gas chromatography with electron-capture detection

AU Ohmori Shinii Kawasa Minki Markatan detection

AU Ohmori, Shinji; Kawase, Michi; Mori, Mie; Hirota, Takashi CS Fac. Pharm Sci. Okayama Haita

CS Fac. Pharm. Sci., Okayama Univ., Okayama, 700, Japan

SO Journal of Chromatography (1987), 415(2), 221-9 CODEN: JOCRAM; ISSN: 0021-9673

DT Journal

LA English

IT 108653-55-0

RL: FORM (Formation, nonpreparative)
(formation of, detn. of, by gas chromatog. with electron-capture detection)

RN 108653-55-0 CAPLUS

CN Quinoxaline, 6,7-dichloro-2-methyl- (9CI) (CA INDEX NAME)

AB Methylglyoxal was allowed to react with 4,5-dichloro-1,2-phenylenediamine, and the 6,7-dichloro-2-methylquinoxaline formed was detd. by gas chromatog. with electron-capture detection. The std. curve of the quinoxaline was linear up to 160 pmol/mL. The recoveries of methylglyoxal from coffee and rat liver homogenate were 84.1 and 77.6%, resp. This procedure was very selective and so sensitive that >9 fmol of the quinoxaline could be measured in biol. and food samples.

L4 ANSWER 53 OF 100 CAPLUS COPYRIGHT 2003 ACS

AN 1987:119845 CAPLUS

DN 106:119845

TI Synthesis of bis(trifluoromethylated) pyrazine-containing nitrogen heterocycles from hexafluorobiacetyl and ortho-diamines. Stabilization of the covalent dihydrates of pteridines and pyrido[3,4-b]pyrazines by trifluoromethyl groups

AU Cushman, Mark; Wong, Wai Cheong; Bacher, Adelbert

CS Sch. Pharm. Pharmacal Sci., Purdue Univ., West Lafayette, IN, 47907, USA

SO Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1986), (6), 1043-50 CODEN: JCPRB4; ISSN: 0300-922X

DT Journal

LA English

OS CASREACT 106:119845

IT 107210-64-0P

RN 107210-64-0 CAPLUS

CN Quinoxaline, 6,7-dichloro-2,3-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)

GI

- AB An investigation of the structures of the reaction products derived from F3CCOCOCF3 (I) and a variety of o-diamines has been undertaken with the aim of detg. the extent to which trifluoromethyl groups stabilize covalent hydrates. The substituted quinoxalines II (R = H, Me, CO2H, Cl, Bz; Rl = H, Me, Cl) as well as the pyrido[2,3-b]pyrazine III and the lumazines IV (R2 = H, Me; X = O, S) exist as completely dehydrated arom. species. Depending on the reaction conditions, both the arom. form and the stable, neutral covalent dihydrate form could be obtained from the reaction of I with 4,5-diamino-6-hydroxypyrimidinium sulfate. The pyrido[3,4-b-]pyrazine system V (X1 = CH) and the pteridine V (X1 = N) exist as stable, neutral covalent dihydrates.
- L4 ANSWER 54 OF 100 CAPLUS COPYRIGHT 2003 ACS
- AN 1987:97911 CAPLUS
- DN 106:97911
- TI Resistance to fungicides of Sphaerotheca fuliginea Pollacci on greenhouse cucumbers
- AU Gancheva, I.; Vitanov, M.
- CS Inst. Plant Protect., Kostinbrod, Bulg.
- SO Pochvoznanie, Agrokhimiya i Rastitelna Zashtita (1986), 21(4), 94-101 CODEN: PARZEP; ISSN: 0205-1931
- DT Journal
- LA Bulgarian
- IT 3495-42-9

RL: BIOL (Biological study)

(Sphaerotheca fuliginea resistance and cross-resistance to)

- RN 3495-42-9 CAPLUS
- CN Quinoxaline, 5,6,7,8-tetrachloro- (7CI, 8CI, 9CI) (CA INDEX NAME)

$$\begin{array}{c} C1 \\ C1 \\ C1 \\ \end{array}$$

AB Spraying greenhouse cucumbers with recommended and reduced rates of Afugan [13457-18-6], Morestan [2439-01-2], Karathane [39300-45-3], and Lucel [3495-42-9] decreased powdery mildew infection. However, the

pathogen S. fuliginea was resistant to Benlate (I) [17804-35-2], Bavistin [10605-21-7], and methyltopsin (II) [23564-05-8]. During subsequent selection, the resistance to II increased more rapidly than to I. The selection finally induced resistance to the above fungicides and Acrex [973-21-7]. Studies of cross-resistance development showed that alternating I, II, and Bavistin with Afugan, Lucel, Bayleton [43121-43-3] and the contact fungicides Karathane and Morestan, as well as alternating Afugan with Karathane, Morestan, Acrex, Lucel, and Bayleton will prevent development of resistance in S. fuliginea. Within 7 days of selection, S. fulginea failed to develop resistance to triadimefon, dinocap, and Rubigan [60168-88-9].

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L4 ANSWER 55 OF 100 CAPLUS COPYRIGHT 2003 ACS
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AN 1986:79157 CAPLUS

DN 104:79157

TI 2,3-Bis(arylethenyl)quinoxalines and their use as photoconductive compounds

IN Pawlowski, Georg

PA Hoechst A.-G., Fed. Rep. Ger.

SO Ger. Offen., 33 pp. CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

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PA	TENT NO.	KIND	DATE	API	PLICATION NO.	DATE
PI DE	3346177	A1	19850704	DE	1983-3346177	19831221
EP	149802	A2	19850731	EP	1984-115518	19841215
EP	149802	A3	19860416			
EP	149802	B1	19900926			
	R: BE, CH	, DE, FR	, GB, IT, LI,	NL		
				DE	1983-3346177	19831221
CA	1256436	A1	19890627	CA	1984-470267	19841217
				DE	1983-3346177	19831221
BR	8406571	Α	19851015	BR	1984-6571	19841219
				DE	1983-3346177	19831221
JP	60178868	A2	19850912	JP	1984-267604	19841220
				DE	1983-3346177	19831221

IT 99577-26-1P 99577-27-2P 99577-28-3P

RL: PREP (Preparation)

(prepn. and electrophotog. photoconductor applications of)

RN 99577-26-1 CAPLUS

CN Benzenamine, 4,4'-{(6,7-dichloro-2,3-quinoxalinediyl)di-2,1-ethenediyl}bis[N,N-dimethyl- (9CI) (CA INDEX NAME)

Patel <4/4/2003>

$$CH_3$$
 $H_3C-N$ 
 $CH=CH$ 
 $CH=CH$ 
 $N-CH_3$ 
 $CH_3$ 
 $CH_3$ 

RN 99577-27-2 CAPLUS

CN Benzenamine, 4,4'-[(6,7-dichloro-2,3-quinoxalinediyl)di-2,1-ethenediyl]bis[N,N-diethyl- (9CI) (CA INDEX NAME)

RN 99577-28-3 CAPLUS

CN Quinoxaline, 6,7-dichloro-2,3-bis[2-(4-methylphenyl)ethenyl]- (9CI) (CA INDEX NAME)

$$C1$$
 $N$ 
 $CH = CH$ 
 $CH$ 
 $CH$ 
 $CH$ 

## IT 99565-80-7P

Patel

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and reaction of, with dimethoxybenzaldehyde)

RN 99565-80-7 CAPLUS

Phosphonic acid, [(6,7-dichloro-2,3-quinoxalinediyl)bis(methylene)]bis-, CN tetraethyl ester (9CI) (CA INDEX NAME)

ΙT 3298-96-2

RL: RCT (Reactant); RACT (Reactant or reagent) (reaction of, with tri-Et phosphite)

RN3298-96-2 CAPLUS

Quinoxaline, 2,3-bis(bromomethyl)-6,7-dichloro- (7CI, 8CI, 9CI) (CA INDEX CN

GI

RCH=CH 
$$N$$
  $R^{1}$   $R^{2}$   $I$ 

$$Ph_2N$$
 $CH = CH$ 
 $N$ 
 $Me$ 
 $Ph_2N$ 
 $CH = CH$ 

2,3-Bis(arylethenyl)quinoxalines (I; R = an optionally substituted Ph, AB naphthyl, styryl, anthracenyl, phenanthrenyl, pyrenyl, ferrocenyl, a higher aggregated hydrocarbon, or an optionally substituted heterocycle; R2, R3 = H, halogen, NO2, CN, NH2, monoalkylamino, dialkylamino, alkyl, alkoxy, alkenyl, OH, CO2H, carboalkoxy, PhO, or together form an uncondensed carbocyclic or heterocyclic arom. ring) are described for use

ΙI

L4

as electrophotog. photoconductors. The compds. are easily prepd. in good yield. Thus, a soln. contg. a maleic anhydride-styrene copolymer (av. mol. wt. of 80,000) 3.3, II 2.2, Rhodamine FB 0.1, Astrazon Orange 0.6, THF 22.0, and Me glycol mono-Me ether 18.8 g was coated on a electrochem. grained and poly(vinylphosphonic acid)-treated Al foil at 5.6 .mu.m (dry) thickness. The resultant material was then corona charged to -450 V, exposed in a repro camera, toner developed, and thermally fixed to give a sharp image. After treatment with a soln. contg. Na2SiO3 50, 85% glycerin 250, ethylene glycol 390, and MeOH 310 g, a printing plate capable of producing many thousands of good prints was obtained.

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ANSWER 56 OF 100 CAPLUS COPYRIGHT 2003 ACS
 AN
      1983:4563 CAPLUS
 DN
      98:4563
 TI
      Quinoxaline derivatives
 IN
      Issidorides, Costas H.; Haddadin, Makhluf J.
 PA
      Research Corp. , USA
      U.S., 24 pp. Cont.-in-part of U.S. Ser. No. 691,252, abandoned.
 SO
 DΤ
      Patent
 LΑ
      English
 FAN.CNT 3
      PATENT NO.
                      KIND DATE
                                          APPLICATION NO. DATE
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                                          -----
 ΡI
     US 4343942
                       Α
                            19820810
                                          US 1969-883577 19691209
                                          US 1966-592729 A219661108
                                          NL 1967-14882 A 19671102
                                          US 1967-691252 A219671218
     CA 923131
                      A1
                            19730320
                                          CA 1967-4478
                                                           19671107
                                          US 1966-592729 A 19661108
                                          US 1969-883577 A 19691209
                                          CA 1970-923131 A519701118
     GB 1308370
                      Α
                            19730228
                                          GB 1970-47202
                                          US 1969-883577 A 19691209
     NL 157302
                      В
                           19780717
                                          NL 1972-8887
                                                           19720628
                                          US 1966-592729 A 19661108
                                          NL 1967-14882 A319671102
     DK 7800142
                           19780112
                                          DK 1978-142
                                                          19780112
                                          US 1966-592729 A 19661108
                                          DK 1967-5535 A 19671107
     US 4866175
                      Α
                           19890912
                                          US 1979-29344
                                                          19790412
                                          US 1966-592729 A219661108
                                          US 1967-691252 A219671218
                                          US 1969-883577 A319691209
                                         US 1977-843510 Al19771008
PATENT FAMILY INFORMATION:
FAN 1969:57899
    PATENT NO.
                     KIND DATE
                                         APPLICATION NO.
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                     ____
ΡI
    GB 1134729
                      Α
                           19681127
                                         GB 1967-28313
                                                          19670620
                                         US 1966-592729 A 19661108
    DK 137493
                     С
                           19780828
                                         DK 1967-5535
                                                          19671107
                                         US 1966-592729 A 19661108
    SE 402289
                     С
                          19781005
                                         SE 1973-11829
                                                        19730830
                                         US 1966-592729 A 19661108
    DK 7800142
                    Α
                          19780112
                                         DK 1978-142
                                                         19780112
                                         US 1966-592729 A 19661108
                                         DK 1967-5535 A 19671107
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FAN	1973:147994 PATENT NO.	KIND	DATE	APPLICATION NO. DATE
PI	GB 1308370	A	19730228	GB 1970-47202 19701005
	US 4343942	A	19820810	US 1969-883577 A 19691209 US 1969-883577 19691209 US 1966-592729 A219661108 NL 1967-14882 A 19671102
OS	CASREACT 98:4563			US 1967-691252 A219671218
ΙΤ	31683-03-1P 3168: RL: SPN (Synthet: (prepn. of)	3-07-5	<b>P 31683-12-2P</b> paration); PREP	(Preparation)
RN CN	31683-03-1 CAPLU	JS Doxamic	de, 6,7-dichlor	o-3-methyl-, 1,4-dioxide (8CI, 9CI)

RN 31683-07-5 CAPLUS 2-Quinoxalinecarboxamide, 6,7-dichloro-N,3-dimethyl-, 1,4-dioxide (8CI, CN 9CI) (CA INDEX NAME)

RN 31683-12-2 CAPLUS 2-Quinoxalinecarboxamide, 6,7-dichloro-N-ethyl-3-methyl-, 1,4-dioxide CN (8CI, 9CI) (CA INDEX NAME)

GI

AB Bactericidal quinoxaline dioxides I (R, R1 = H, alkyl; R2 = F3C, H2NSO2, MeNHSO2, Me2NSO2) and II [R3 = alkoxy, aryloxy, PhCH2O, NR4R5 (R4, R5 = H, alkyl, Ph); R2 = H, Cl, F, Me, MeO, F3C, H2NSO2, MeNHSO2] and III (R2 = as before) were prepd. Thus, condensation of benzofuroxan with Me2CO in refluxing MeCN contg. pyrrolidine gave 2-methylquinoxaline dioxide which possessed a min. inhibitory concn. of 50 .mu.g/mL against Pasteurella multocida.

L4 ANSWER 57 OF 100 CAPLUS COPYRIGHT 2003 ACS

AN 1982:87009 CAPLUS

DN 96:87009

TI Cross-conjugated cyanines and merocyanines, obtained from salts of 1-substituted 2,3-dimethylquinoxalines. Part 1. Isolation of the dye bases from spontaneous transformation or oxidation of the reactants with copper(II) acetate or silver oxide

AU Schelz, Dieter

CS Inst. Farbenchem., Univ. Basel, Basel, CH-4056, Switz.

SO Helvetica Chimica Acta (1981), 64(8), 2665-80 CODEN: HCACAV; ISSN: 0018-019X

DT Journal

LA German

IT 52765-68-1

RL: RCT (Reactant); RACT (Reactant or reagent)
 (oxidative dimerization of)

RN 52765-68-1 CAPLUS

CN Quinoxalinium, 6,7-dichloro-1,2,3-trimethyl-, perchlorate (9CL) (CA INDEX NAME)

CM 1

Patel

CRN 52765-67-0 CMF C11 H11 C12 N2

$$\begin{array}{c|c} & \text{Me} \\ \hline \\ \text{Cl} & \text{N}^+ & \text{Me} \\ \\ \text{Cl} & \text{N} & \text{Me} \end{array}$$

CM 2

CRN 14797-73-0 CMF Cl O4

GI

Quaternary salts I (R = Me, Ph, p-ClC6H4; R1 = H, electron acceptor or donor; R2 = Me, Ph; X = CH, N), in some cases in the presence of the corresponding II, undergo spontaneous conversion to III (all groups as defined for I) when dissolved in DMSO or DMF. Yields are 24-47%. Higher yields (up to 66%) are obtained by oxidn. of I, II, or I-II mixts. with Cu(OAc)2 or Ag2O. Visible and 1H-NMR spectra data for the dyes are given, and their structural relationship to S. Huenig's (1980) two-step redox systems is discussed.

L4 ANSWER 58 OF 100 CAPLUS COPYRIGHT 2003 ACS

AN 1981:569132 CAPLUS

DN 95:169132

Preparation of some functionalized quinoxaline 1,4-dioxides ΤI ΑU

Usta, J. A.; Haddadin, M. J.; Issidorides, C. H.; Jarrar, A. A.

Chem. Dep., Am. Univ. Beirut, Beirut, Lebanon CS

Journal of Heterocyclic Chemistry (1981), 18(4), 655-8 SO CODEN: JHTCAD; ISSN: 0022-152X

DT Journal

LΑ English

IT 79441-11-5P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

RN 79441-11-5 CAPLUS

Carbonic acid, 2-(6,7-dichloro-3-methyl-1,4-dioxido-2-quinoxalinyl)ethyl CN methyl ester (9CI) (CA INDEX NAME)

GΙ

The prepn. of some functionalized quinoxaline 1,4-dioxides is described AB from the reaction of benzofurazan oxides with 2-acetylbutyrolactone, Et acetopyruvate, and acetylacetaldehyde dimethyl acetal. Thus, reaction of I with 2-acetylbutyrolactone gave 38-81% II (R = H, Me, R1 = H; R = Cl, R1 = CO2Me).

ANSWER 59 OF 100 CAPLUS COPYRIGHT 2003 ACS L4

1981:462262 CAPLUS AN

DN 95:62262

Quinoxalinylaminophenoxyalkane carboxylic acid derivatives, their use as TIherbicides and intermediates

Serban, Alexander; Watson, Keith Geoffrey; Farquharson, Graeme John IN

ICI Australia Ltd. , Australia PA

SO Eur. Pat. Appl., 62 pp.

CODEN: EPXXDW

DT Patent

LΑ English

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE PΙ EP 26622 A2 19810408 EP 1980-303315 19800922

Patel

EΡ	2662	2		A.	3	1981	0513				
	R:	ΑT,	BE,	CH,	DE,	FR,	GB,	IT,	NL		
							•		AU	1979-702	19791002
AU	8062	027		A.	1	1981	0409		AU	1980-62027	19800903
ΑU	5342	52		B	2	1984	0112				
									AU	1979-702	19791002
US	4358	307		Α		1982	1109		US	1980-184973	19800908
									AU	1979-702	19791002
ZA	8005	646		Α		1981	0930		$z_{A}$	1980-5646	19800912
									AU	1979-702	19791002
CA	1169	065		A.	1	1984	0612		CA	1980-360356	19800916
									AU	1979-702	19791002
JP	5605	7770		A2	2	1981	0520		JP	1980-135940	19801001
									AU	1979-702	19791002

#### IT 78470-97-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. and herbicidal activity of)

RN 78470-97-0 CAPLUS

CN Propanoic acid, 2-[4-[(6,7-dichloro-2-quinoxalinyl)methylamino]phenoxy]-, methyl ester (9CI) (CA INDEX NAME)

## IT 78470-96-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and reaction of, with bromopropionate)

RN 78470-96-9 CAPLUS

CN Phenol, 4-[(6,7-dichloro-2-quinoxalinyl)methylamino]- (9CI) (CA INDEX NAME)

### IT 78471-00-8P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of)

RN 78471-00-8 CAPLUS

CN Propanoic acid, 2-[4-[(6,7-dichloro-2-quinoxalinyl)methylamino]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)

GI

The title compds. I (X = optionally substituted phenylene; X1 = 0, S; n = 0-2; R, R1 = H, halogen, NO2, cyano, thiocyano, optionally substituted alkyl, amino, alkoxy, alkylthio, sulfonyl, carboxy, Ph, PhO, PhS; R2 = H, optionally substituted alkyl, acyl, Ph, Bz; R3 = H, optionally substituted alkyl, acyl; R4 = H, optionally substituted alkyl; R3R4 = alkylene; R5 = cyano, CSNH2, optionally esterified CO2H, acyl, substituted Me) were prepd. Thus, 2,6-dichloroquinoxaline was treated with 4-MeNHC6H4OH and BrCHMeCO2Et to give II which at 1 kg/ha post-emergence gave 100% kill of, e.g., wild oats and ryegrass.

ΙI

L4 ANSWER 60 OF 100 CAPLUS COPYRIGHT 2003 ACS

AN 1981:121600 CAPLUS

DN 94:121600

TI Microbiocidal 2-sulfonylquinoxalines

IN Sasse, Klaus; Haller, Ingo; Plempel, Manfred; Zeiler, Hans Joachim; Metzger, Karl Georg; Haberkorn, Axel

PA Bayer A.-G., Fed. Rep. Ger.

SO Ger. Offen., 38 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2913728 EP 18493 EP 18493	A1 A1 B1	19801016 19801112 19821201	DE 1979-2913728 EP 1980-101525	19790405 19800322
	R: AT, BE,	CH, DE	, FR, GB, IT,	NL, SE	
	AT 1904	E	19821215	DE 1979-2913728 AT 1980-101525 DE 1979-2913728	19790405 19800322
	JP 55133363	A2	19801017	EP 1980-101525 JP 1980-42928	19790405 19800322 19800403

Patel

DE 1979-2913728 19790405

IT 76647-40-0P 76672-13-4P 76672-14-5P 76672-15-6P 76672-16-7P 76685-37-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and oxidn. of, to sulfone)

RN 76647-40-0 CAPLUS

CN Quinoxaline, 5,6,7,8-tetrachloro-2-(methylthio)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & \\ C1 & \\ C1 & \\ C1 & \\ \end{array}$$

RN 76672-13-4 CAPLUS

CN Quinoxaline, 6,7-dichloro-2-(ethylthio)- (9CI) (CA INDEX NAME)

RN 76672-14-5 CAPLUS

CN Quinoxaline, 6,7-dichloro-2-(propylthio)- (9CI) (CA INDEX NAME)

RN 76672-15-6 CAPLUS

CN Quinoxaline, 2-(butylthio)-6,7-dichloro- (9CI) (CA INDEX NAME)

RN 76672-16-7 CAPLUS

CN Quinoxaline, 6,7-dichloro-2-[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)

RN 76685-37-5 CAPLUS
CN Ouinoxaline 6 7-dichlere 2 (mark )

CN Quinoxaline, 6,7-dichloro-2-(methylthio)- (9CI) (CA INDEX NAME)

GI

$$R_{n} \xrightarrow{N} R^{1}$$

$$ZR^{2} I$$

The title compds. I (R = halo, NO2, CF3; n = 1-4; R1 = alkyl, H, cycloalkyl, optionally substituted Ph; R2 = alkyl, cycloalkyl, aryl, aralkyl; Z = SO2), useful as antimycotics and bactericides (no data), were prepd. by oxidn. of the corresponding I (Z = S). Thus, I (Rn = 6-Cl, Rl = H, R2 = PhCH2, Z = S) was oxidized with KMnO4 in aq. HOAc to give 81.5% I

L4 ANSWER 61 OF 100 CAPLUS COPYRIGHT 2003 ACS

AN 1981:47354 CAPLUS

DN 94:47354

TI Antiallergic heterocyclic compounds

IN Ramm, Peter John; Barnes, Alan Charles

PA Roussel Laboratories Ltd., UK

SO Brit. UK Pat. Appl., 11 pp.

CODEN: BAXXDU

DT Patent

LA English

FAN. CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	GB 2027707 GB 2027707	A B2	19800227 19821117	GB 1979-26597	19790731
	SE 7906011 SE 439308 SE 439308	A B C	19800203 19850610 19850919	GB 1978-31934 SE 1979-6011	19780802 19790710
	IL 57785	A1	19840131	GB 1978-31934 IL 1979-57785	19780802 19790712
	FR 2432520	A1	19800229	GB 1978-31934 FR 1979-18216	19780802 19790713

09483504.7 Page 116
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FR	2432520	B1	19821112			
				GB	1978-31934	19780802
ΑT	7905143	A	19820915	ΑT	1979-5143	19790725
AΤ	370734	В	19830425			
				GB	1978-31934	19780802
ZA	7903843	A	19800924	ZA	1979-3843	19790726
				GB	1978-31934	19780802
US	4254123	Α	19810303	US	1979-61626	19790730
				GB	1978-31934	19780802
JP	55022682	A2	19800218	JΡ	1979-96869	19790731
JP	01041637	B4	19890906			
				GB	1978-31934	19780802
HU	20356	0	19810728	HU	1979-RO1033	19790731
HU	178089	P	19820328			
					1978-31934	19780802
ΒE	878028	A1	19800201		1979-196568	19790801
					1978-31934	19780802
DK	7903249	Α	19800203		1979-3249	19790801
					1978-31934	19780802
	7949462	A1	19800207	AU	1979-49462	19790801
AU	528158	B2	19830414			•
					1978-31934	19780802
ES	483039	A1	19800901		1979-483039	19790801
					1978-31934	19780802
CA	1121353	A1	19820406		1979-333014	19790801
					1978-31934	19780802
NL	7905956	Α	19800205		1979-5956	19790802
					1978-31934	19780802
	2931418	A1	19800228	DE	1979-2931418	19790802
DE	2931418	C2	19890629			
					1978-31934	19780802
CH	641806	A	19840315		1979-7105	19790802
				GB	1978-31934	19780802

# IT 76002-68-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and addn. reaction of, with Et bromopyruvate)

RN 76002-68-1 CAPLUS

CN 2-Quinoxalinamine, 6,7-dichloro- (9CI) (CA INDEX NAME)

GI

$$\mathbb{R}^3$$
 $\mathbb{R}^2$ 
 $\mathbb{R}^2$ 
 $\mathbb{R}^2$ 
 $\mathbb{R}^2$ 
 $\mathbb{R}^2$ 
 $\mathbb{R}^2$ 
 $\mathbb{R}^2$ 
 $\mathbb{R}^2$ 

Patel

$$\mathbb{R}^3$$
 $\mathbb{R}^2$ 
 $\mathbb{R}^2$ 
 $\mathbb{R}^2$ 
 $\mathbb{R}^2$ 
 $\mathbb{R}^2$ 
 $\mathbb{R}^2$ 
 $\mathbb{R}^2$ 
 $\mathbb{R}^2$ 

AB Imidazoquinoxalines I (R = H, C1-5 alkyl; R1 = C1-5 alkoxy, carbamoyl; R2, R3 = H, halo) and I salts, which possess antiallergic activity, were prepd. E.g., 2-aminoquinoxaline on reaction with Et bromopyruvate followed by intramol. cyclocondensation reaction gave I (R = Et, R1-3 = H), which on hydrolysis gave I (R-R3 = H). The antiallergic activities of I were assessed for the treatment of passive cutaneous anaphylaxis in rats. Compns. contg. I are described.

L4 ANSWER 62 OF 100 CAPLUS COPYRIGHT 2003 ACS

AN 1979:593335 CAPLUS

DN 91:193335

TI Improvements in and relating to herbicidal compositions containing phenylquinoxaline compounds

IN Clark, Michael Thomas

PA Shell Internationale Research Maatschappij B. V., Neth.

SO Brit., 8 pp. CODEN: BRXXAA

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	GB 1543560	Α	19790404	GB 1975-17748	19760427
				GR 1975-17748	19760427

IT 71896-95-2P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (herbicide, prepn. of)

RN 71896-95-2 CAPLUS

CN Quinoxaline, 6,7-dichloro-2-phenyl- (9CI) (CA INDEX NAME)

GΙ

The prepn. is described of herbicidal compns. contg. phenylquinoxalines I AB [R and R1 (same or different) are H, halo, alkyl, NO2, CO2H; R2 = H, halo, OH, alkyl, alkoxy, alkylthio, NO2, optionally substituted amino), their salts, 1-oxide derivs., 1,4-dioxide derivs., or 1,2-dihydro derivs.; I were synthesized. Thus, I (R = R1 = C1, R2 = H) was prepd. (90%) by the reaction of 4,5,2-Cl2(H2N)C6H2NH2 with PhCOCHO in EtOH (reflux, 30 min).

ANSWER 63 OF 100 CAPLUS COPYRIGHT 2003 ACS L4

AN1979:168546 CAPLUS

DN 90:168546

TIQuinoxaline 1,4-dioxides

Mahajanshetti, C. S.; Balse, Mukta N. ΑU

CS Dep. Chem., Karnatak Univ., Dharwad, India

Indian Journal of Chemistry, Section B: Organic Chemistry Including SO Medicinal Chemistry (1978), 16B(9), 830-2 CODEN: IJSBDB; ISSN: 0376-4699

DT Journal

LΑ English

ΙT 70071-20-4P 70071-21-5P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (prepn. and NMR spectrum of, oxide group anisotropic effect in)

RN 70071-20-4 CAPLUS

Quinoxaline, 6,7-dichloro-2-methyl-3-phenyl-, 1,4-dioxide (9CI) (CA INDEX CN

70071-21-5 CAPLUS RN

Quinoxaline, 6,7-dichloro-2-(4-chlorophenyl)-3-methyl-, 1,4-dioxide (9CI) CN (CA INDEX NAME)

IT 70071-10-2P 70071-11-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and oxidn. of)

RN 70071-10-2 CAPLUS

CN Quinoxaline, 6,7-dichloro-2-methyl-3-phenyl- (9CI) (CA INDEX NAME)

RN 70071-11-3 CAPLUS

CN Quinoxaline, 6,7-dichloro-2-(4-chlorophenyl)-3-methyl- (9CI) (CA INDEX NAME)

GI

AB Cyclocondensation of diaminobenzenes I (R = R1 = Me, Cl; R = NO2, R1 = H) with 4-R2C6H4COCOMe (R2 = H, Cl) gave the methylquinoxalines II (R = R1 = Me, Cl; R, R1 = H, NO2; R2 = H, Cl), which were oxidized by MeC(O)O2H to give quinoxaline dioxides III. The anisotropic effect of the oxide groups in III on the NMR chem. shift of the C-5 and C-8 H in III was discussed.

L4 ANSWER 64 OF 100 CAPLUS COPYRIGHT 2003 ACS

AN 1977:440743 CAPLUS

DN 87:40743

TI Chromogenic furoquinoxalines

IN Farber, Sheldon

PA NCR Corp., USA

SO U.S., 9 pp. CODEN: USXXAM

DT Patent

LA English

FAN. CNT 2

T. TATA	CIVI Z				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	US 4020068	Α	19770426	US 1975-554257	19750228
				US 1974-468112	19740508
	GB 1458178	Α	19761208	GB 1975-13887	19750404
				US 1974-468112	19740508
	JP 51010835	A2	19760128	JP 1975-50191	19750424
	JP 55031757	B4	19800820		
				US 1974-468112	19740508
				US 1975-554257	19750228
PATE	NT FAMILY INFORMA	TTON.			
FAN	1976:137227	11011.			
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	DE 2520148	A1	19760122	DE 1975-2520148	19750506
	DE 2520148	C2	19870903		
				US 1974-468112	19740508
	GB 1458178	Α	19761208	GB 1975-13887	19750404

IT 58824-88-7P

RL: IMF (Industrial manufacture); PREP (Preparation) (prepn. and condensation with arom. amines)

RN 58824-88-7 CAPLUS

CN 2,3-Quinoxalinedicarboxylic acid, 6,7-dichloro- (9CI) (CA INDEX NAME)

GΙ

US 1974-468112

19740508

$$\bigcap_{N}^{R} \bigcap_{N}^{R^2}$$

AB Title compds. I (R, Rl = aminophenyl, indolyl; R = H, Cl, Me), givinggreen to purple colors in contact with an acidic material, were prepd. for use in pressure-sensitive record systems. I were prepd. by condensing 2,3-quinazolinedicarboxylic anhydrides (II) with 1 mol arom. amine to give the keto acid and then with a 2nd mol of amine, or (R = R1) by condensing II with 2 mol arom. amine in a single step. Typical compds. are I [R =R1 = 2,4-Me(Et2N)C6H3, R2 = H] [58824-92-3], green in contact with acid, and I [ R = 1-isopentyl-2-methylindol-3-yl,  $R\widetilde{1} = 2,4$ -EtO(Et2N)C6H3, R2 = 1H] [58824-82-1], deep blue.

ANSWER 65 OF 100 CAPLUS COPYRIGHT 2003 ACS L4

1977:190008 CAPLUS AN

DN 86:190008

Substituted alkyl esters of quinoxaline-di-N-oxide-2-carboxylic acid TI

Cronin, Timothy H.; Richardson, Kenneth

PΑ

Pfizer Inc., USA U.S., 28 pp. Division of U.S. 3,915,975. SO

CODEN: USXXAM

DTPatent

LΑ English

FAN CNT 6

FAN	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	US 4007184	A	19770208	US 1975-621219 US 1970-20841 US 1971-135792	19700318 19710420
	US 3818007	A	19740618	US 1973-397162 US 1971-135792	19710420
	BE 781363	A4	19720929	US 1970-20841 BE 1972-3905 BE 1971-764088	19710311
	US 3841254	A	19741015	US 1971-135792 US 1973-325354	19730122
	DK 135718	В	19770613	GB 1972-4505 DK 1973-4320 US 1970-20841 US 1970-20842	19720131 19730807 19700318 19700318
	DK 137958 DK 137958	B C	19780612 19781106	DK 1971-999 DK 1973-4321	19710304 19730807
	US 3915975			US 1970-20841 US 1970-20842 DK 1971-999	19700318 19700318 19710304
		A	19751028	US 1973-397162 US 1970-20841 US 1971-135792	19730913 19700318
PATE: FAN	NT FAMILY INFORMA: 1972:3900	rion:		00 17/1-133/92	19710420
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

Patel

APPLICATION NO. DATE

ΡI	DE 2111710	 A	 19710930		
	DE 2111710	C3	19790913	DE 1971-2111710	19710311
	DE 2111710	B2	19790913		
		20	10/00125	US 1970-20841	10700210
				US 1970-20842	19700318 19700318
	US 3671521	A	19720620	US 1970-20842	19700318
	GB 1330151	A	19730912	GB 1970-52312	19700318
		,		US 1970-20841	19701103
	73 74 44 44 4			US 1970-20842	19700318
	ZA 7101022	A	19711229	ZA 1971-1022	19710217
				US 1970-20841	19700318
	EC 200707			US 1970-20842	19700318
	ES 388787	A1	19740201	ES 1971-388787	19710302
				US 1970-20841	19700318
	DK 131677	_		US 1970-20842	19700318
	DR 1310//	В	19750818	DK 1971-999	19710304
				US 1970-20841	19700318
	NL 7102953	75	1071000	US 1970-20842	19700318
	112 /102/00	A	19710921	NL 1971-2953	19710305
				US 1970-20841	19700318
	AT 315865	В	10740610	US 1970-20842	19700318
		Ь	19740610	AT 1971-1915	19710305
				US 1970-20841	19700318
	IT 1019008	A	19771110	US 1970-20842	19700318
			17//1110	IT 1971-48832	19710305
				US 1970-20841	19700318
	JP 54034756	B4	19791029	US 1970-20842 JP 1971-11361	19700318
				US 1970-20841	19710305
				US 1970-20841	19700318
	BE 764088	A1	19710913	BE 1971-2940	19700318
				US 1970-20841	19710311
				US 1970-20842	19700318 19700318
	FR 2085717	A5	19711231	FR 1971-8799	19710318
	FR 2085717	B1	19750606		10/10012
	CH 535242	A	10720515	US 1970-20842	19700318
		A	19730515	CH 1972-4176	19710312
				US 1970-20841	19700318
	CH 539061	A	19730831	US 1970-20842	19700318
		••	17/20021	CH 1972-3708	19710312
				US 1970-20841	19700318
	CH 557356	A	19741231	US 1970-20842 CH 1971-3667	19700318
				US 1970-20841	19710312
				US 1970-20841	19700318
	US 3841254	A	19741015		19700318
					19730122
	DK 135718	В	19770613		19720131
					19730807 19700318
					19700318
,	DV 1270			<b>D</b>	19700318
	DK 137958	В	19780612		19730807
	DK 137958	C	19781106		->/3000/
				US 1970-20841	19700318
				US 1970-20842	19700318
ī	JS 3870718	71	10000	DK 1971-999	19710304
,	55 56/0/18	A	19750311	110 10-0	19731010
					•

				US 1970-20842	19700318
	TD 50105.05			US 1971-207534	19711213
	JP 53127487	A2	,	JP 1978-48319	19780422
	JP 55004749	B4	19800131		
				US 1970-208417	19700318
	TD 52105405			US 1970-20842	19700318
	JP 53127486	A2	19781107	JP 1978-48318	19780422
	JP 55004748	B4	19800131		13,00122
				US 1970-208417	19700318
	NI 700000			US 1970-20842	19700318
	NL 7808009	A	19781130	NL 1978-8009	19780728
				US 1970-20841	19700318
	NI 700000			US 1970-20842	19700318
	NL 7808008	A	19781130	NL 1978-8008	19780728
				US 1970-20841	19700318
FAN	1 1072 70000			US 1970-20842	19700318
LAD	, _, _, _				-27.00310
	PATENT NO.	KIND		APPLICATION NO.	DATE
ΡI	DE 2215231		·		
	DE 2215231	A	19721207	DE 1972-2215231	19720329
	IIC 2010007	_		US 1971-135792	19710420
	US 3818007	A	19740618	US 1971-135792	19710420
	GB 1377306	_		US 1970-20841	19700318
	GB 137/306	Α	19741211	GB 1972-4505	19720131
	CE 204270	_		US 1971-135792	19710420
	SE 394279	В	19770620	SE 1972-3794	19720323
	ZA 7202025			US 1971-135792	19710420
	ZA 7202025	A	19721227	ZA 1972-2025	19720324
	CA 000100			US 1971-135792	19710420
	CA 982133	A1	19760120	CA 1972-138047	19720324
	DK 142849	_		US 1971-135792	19710420
	DK 142849 DK 142849	В	19810209	DK 1972-1493	19720328
	DR 142849	C	19810928		
	BE 781363			US 1971-135792	19710420
	DE 781363	A4	19720929	BE 1972-3905	19720329
				BE 1971-764088	19710311
	AT 318617	-		US 1971-135792	19710420
	AT 310017	В	19741111	AT 1972-2749	19720329
	ES 401333	3.0		US 1971-135792	19710420
	70 401333	A2	19750316	ES 1972-401333	19720329
	FI 54473	0	1000000	US 1971-135792	19710420
	11 311/3	С	19781211	FI 1972-883	19720329
	NL 7204391	7.	1000100	US 1971-135792	19710420
	, 504371	A	19721024	NL 1972-4391	19720330
	FR 2133597	7.0	100000	US 1971-135792	19710420
	FR 2133597	A6	19721201	FR 1972-11322	19720330
	-11 4133377	B2	19751226		
	US 3841254	7.	1004704-	US 1971-135792	19710420
	-5 5011254	A	19741015	US 1973-325354	19730122
	JP 55062074	ת ת	10000510	GB 1972-4505	19720131
	JP 56000431	A2 B4	19800510	JP 1979-117177	19790912
	00000401	₽4	19810108		
FAN	1975:428285			US 1971-135792	19710420
	PATENT NO.	KIND	DATE		
		 VIND	DAIE	APPLICATION NO.	DATE
PI	AT 315188	В	19740510	T. 1000	
		ט	19740510	AT 1973-1056	19710305
				US 1970-20841	19700318

09483504.7	Page	124
07403304.7	Luge	12

			•		
	CA 942309	A1 ·	19740219	CA 1971-107113	19710308
				US 1970-20841	19700318
	US 3841254	A	19741015	US 1973-325354	19730122
	D.: 105510	_	10000010	GB 1972-4505	19720131
	DK 13571.8	В	19770613	DK 1973-4320	19730807
				US 1970-20841 US 1970-20842	19700318 19700318
				DK 1971-999	19700316
	DK 137958	В	19780612	DK 1971-333 DK 1973-4321	19730807
	DK 137958	C	19781106	DR 1973 1321	13,3000,
	2.( 23,730	Ū	17.01100	US 1970-20841	19700318
				US 1970-20842	19700318
			*	DK 1971-999	19710304
FAN	1976:17427				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
			100000		
ΡI	US 3907994	A	19750923	US 1973-397163	19730913
				US 1970-20841	19700318
	US 3818007	A	19740618	US 1971-135792 US 1971-135792	19710420 19710420
	05 3010007	A	13/40010	US 1970-20841	19710420
	BE 781363	A4	19720929	BE 1972-3905	19720329
	22 ,01303	***	15,20525	BE 1971-764088	19710311
				US 1971-135792	19710420
	US 3841254	Α	19741015	US 1973-325354	19730122
			•	GB 1972-4505	19720131
	DK 135718	В	19770613	DK 1973-4320	19730807
				US 1970-20841	19700318
				US 1970-20842	19700318
				DK 1971-999	19710304
	DK 137958	В	19780612	DK 1973-4321	19730807
	DK 137958	С	19781106		
				US 1970-20841	19700318
				US 1970-20842	19700318
FAN	1076.50564			DK 1971-999	19710304
LAM	1976:59564 PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	TAILMI NO.		DATE	AFFBICATION NO.	DATE
ΡI	US 3915975	A	19751028	US 1973-397162	19730913
				US 1970-20841	19700318
				US 1971-135792	19710420
	US 3818007	Α	19740618	US 1971-135792	19710420
				US 1970-20841	19700318
	BE 781363	A4	19720929	BE 1972-3905	19720329
				BE 1971-764088	19710311
		_		US 1971-135792	19710420
	US 3841254	Α	19741015	US 1973-325354	19730122
	DV 125710	Б	10770613	GB 1972-4505	19720131
	DK 135718	В	19770613	DK 1973-4320 US 1970-20841	19730807
				US 1970-20842	19700318 19700318
				DK 1971-999	19700318
	DK 137958	В	19780612	DK 1971-333 DK 1973-4321	19730807
	DK 137958	C	19781106		17/3000/
	· = · · · · · · · ·	-		US 1970-20841	19700318
				US 1970-20842	19700318
				DK 1971-999	19710304
	US 4007184	Α	19770208	US 1975-621219	19751009

US 1970-20841 19700318 US 1971-135792 19710420 US 1973-397162 19730913

IT 62730-73-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. and bactericidal activity of)

62730-73-8 CAPLUS RN

2-Quinoxalinecarboxylic acid, 6,7-dichloro-3-methyl-, 2-(acetyloxy)ethyl CN ester, 1,4-dioxide (9CI) (CA INDEX NAME)

GI

$$\mathbb{R}^{1} \xrightarrow{0} \mathbb{N}^{CO_{2}R}$$

$$\mathbb{N} \longrightarrow \mathbb{N}^{CO_{2}R}$$

$$\mathbb{N} \longrightarrow \mathbb{N}^{CO_{2}R}$$

$$\mathbb{N} \longrightarrow \mathbb{N}^{CO_{2}R}$$

Quinoxalinecarboxylates I (R = substituted alkyl, R1 = H, Cl) (30 compds.) AB were prepd. Thus, benzofuroxan was condensed with AcOCH2CH2O2CCH2COMe to give I (R = AcOCH2CH2, R1 = H), which had min. inhibitory concns. against Staphylococcus aureas and EScherichia coli 12.5 and 50, resp., and at 50 g/ton in swine feed gave 53% wt. gain over controls.

ANSWER 66 OF 100 CAPLUS COPYRIGHT 2003 ACS L4

AN 1977:114992 CAPLUS

DN 86:114992

ΤI Nitrones. 7. .alpha.-Quinoxalinyl-N-substituted nitrone 1,4-dioxides

Kim, Hyun K.; Miller, Laird F.; Bambury, Ronald E.; Ritter, Harry W. ΑU

Merrell-Natl. Lab. Div., Richardson-Merrell, Inc., Cincinnati, OH, USA CS SO

Journal of Medicinal Chemistry (1977), 20(4), 557-60

CODEN: JMCMAR; ISSN: 0022-2623

DTJournal

English LΑ

ΙT 52736-71-7

RL: RCT (Reactant); RACT (Reactant or reagent)

(oxidn. of)

RN 52736-71-7 CAPLUS

Quinoxaline, 6,7-chloro-2,3-dimethyl- (9CI) (CA INDEX NAME) CN

IT 32020-58-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. and bactericidal activity of)

RN 32020-58-9 CAPLUS

Methanamine, N-[(6,7-dichloro-3-methyl-1,4-dioxido-2-CN quinoxalinyl) methylene] -, N-oxide (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & & O \\ \hline N & CH \\ \hline N & Me \\ \hline \end{array}$$

IT 62018-39-7P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

RN62018-39-7 CAPLUS

Quinoxaline, 6,7-dichloro-2,3-dimethyl-, 1,4-dioxide (9CI) (CA INDEX CN NAME)

$$\begin{array}{c|c} C1 & & \\ & N \\ C1 & & \\ & N \\ & N \\ & Me \\ & O \end{array}$$

IT62018-44-4

RL: RCT (Reactant); RACT (Reactant or reagent) (reaction of, with methyl hydroxylamine, nitrone from)

RN 62018-44-4 CAPLUS

2-Quinoxalinecarboxaldehyde, 6,7-dichloro-3-methyl-, 1,4-dioxide (9CI) CN (CA INDEX NAME)

GΙ

$$\begin{array}{c} R3 & O \\ N & CH = N(O)R1 \\ N & R2 \end{array}$$

A series of 25 title compds. (I : R1 = Me, Et, Ph, substituted alkyl or AΒ aryl, cyclohexyl, heterocycle; R3 = H, Me; R3 = H, Me, OMe, CF3, Cl, NO2; R4 = H, C1) were prepd. by condensation of the appropriate carboxaldehyde with an N-substituted hydroxylamine. The compds. had weak in vitro activity against gram-neg. and gram-pos. bacteria compared to in vivo activity. The most active compd., in vivo, was .alpha.-(3-methyl-2quinoxalinyl)-N-methylnitrone 1,4-dioxide (II) [32160-34-2], with activity comparable to or greater than chloramphenicol or nifuratrone in most cases and lower toxicity. All variations from the structure of II led to decreased activity expecpt for .alpha.-(3,7-dimethyl-2-quinoxalinyl)-Nmethylnitrone 1,4-dioxide [62018-32-0], which had activity comparable to II. The compds. required the 1,4-dioxide substituents for activity. Only II showed exceptional activity against Proteus vulgaris and Salmonella schottmuelleri.

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ANSWER 67 OF 100 CAPLUS COPYRIGHT 2003 ACS
L4
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Τ

AN 1976:560164 CAPLUS

DN 85:160164

ΤI Improvements in or relating to 1-hydroxy-3-oxo-benzimidazoles, quinoxaline-di-N-oxides and benzimidazole-mono- and di-N-oxides

PA Research Corp., USA

Brit. Amended, 35 pp. Addn. to Brit. 1,215,815. SO CODEN: BSXXAH

DTPatent

LΑ English

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE --------------------PΙ GB 1308370 19760122

US 1969-883577 19691209 IT 31683-03-1P 31683-07-5P 31683-12-2P

RL: SPN (Synthetic preparation); PREP (Preparation) (antimicrobial agent, prepn. of)

RN 31683-03-1 CAPLUS

2-Quinoxalinecarboxamide, 6,7-dichloro-3-methyl-, 1,4-dioxide (8CI, 9CI) CN (CA INDEX NAME)

$$\begin{array}{c|c} \text{Cl} & \overset{\text{O}}{\underset{\text{N}}{\bigcup}} & \overset{\text{O}}{\underset{\text{C-NH}_2}{\bigcup}} \\ \text{Cl} & \overset{\text{N}}{\underset{\text{O}}{\bigcup}} & \overset{\text{O}}{\underset{\text{N}}{\bigcup}} \\ \text{Me} \end{array}$$

RN 31683-07-5 CAPLUS

CN 2-Quinoxalinecarboxamide, 6,7-dichloro-N,3-dimethyl-, 1,4-dioxide (8CI, 9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Cl} & \overset{\text{O}}{\parallel} & \overset{\text{O}}{\parallel} \\ \text{N} & \overset{\text{C}}{\parallel} & \text{NHMe} \\ \\ \text{Cl} & \overset{\text{N}}{\parallel} & \text{Me} \\ \end{array}$$

RN 31683-12-2 CAPLUS

CN 2-Quinoxalinecarboxamide, 6,7-dichloro-N-ethyl-3-methyl-, 1,4-dioxide (8CI, 9CI) (CA INDEX NAME)

GI

Nineteen 1-hydroxy-3-oxobenzimidazoles I [R = H, alkyl, (CH2)2CONH2, AB CO2Et; R1 = C1, F, OMe, Me, CF3, SO2NH2, SO2NHMe, SO2NMe2], 213 quinoxaline di-N-oxides II [R = Me, alkoxycarbonyl, CO2Ph, CO2C7H7 (C7H7 = cycloheptatrienyl), CN, Ph, dialkoxymethyl; R1 = COMe, alkoxycarbonyl, N-substituted carbamoyl, CONH2, OH, NH2, sulfoalkyl; RR1 = monosubstituted alkylene, (CH2)nX(CH2)m (n = 0, 1; m = 2, 3; X = NH, NMe, NBu, NPh, NC7H7,O, S); R2, R3 = H, Me, alkoxy, halo, SO2NH2, SO2NHMe, SO2NMe2; R3 = CF3], and 19 benzimidazole di-N-oxides III [R = Me, Et; R1 = Me, Et, CH2Cl, CH2Br, CH2OH, CH2NEt2; RR1 = (CH2)5; R2 = H, halo, OMe, CF3; SO2NH2, SO2NHMe, SO2NMe2], useful as antimicrobial agents, were prepd. from benzofuroxans by treatment with RCH2NO2, RCOCH2R1, and RCHR1NO2, resp. Thus, II (R = Me, R1 = COMe, R2 = R3 = H) was prepd. by stirring benzofuroxan with equimolar (MeCO) 2CH2 and PrNH2 in THF overnight at room temp. The antimicrobial activities of I, II, and III were assessed in vivo and in vitro.

ANSWER 68 OF 100 CAPLUS COPYRIGHT 2003 ACS L4

1976:559031 CAPLUS AN

DN 85:159031

Photolysis of some quinoxaline 1,4-dioxides. A method of structural ΤI assignment

Jarrar, Adil A.; Halawi, Safi S.; Haddadin, Makhluf J. AU

Dep. Chem., Am. Univ. Beirut, Beirut, Lebanon CS

SO Heterocycles (1976), 4(6), 1077-82 CODEN: HTCYAM; ISSN: 0385-5414

DT Journal

LΑ English

IT 60680-42-4

RL: RCT (Reactant); RACT (Reactant or reagent) (photolytic rearrangement of, structure in relation to)

RN 60680-42-4 CAPLUS

Methanone, (6,7-dichloro-1,4-dioxido-3-phenyl-2-quinoxalinyl)phenyl- (9CI) CN(CA INDEX NAME)

GI

AB Structural assignment of I (R = Me, Et, Ph; R1 = Et, Ph, Me2CH, Me3C; R2 = H, Me, Cl, CF3; R3 = H, Me, Me0, Cl, CF3) was made on the basis of the NMR spectra of the photolytic rearrangement products II.

L4 ANSWER 69 OF 100 CAPLUS COPYRIGHT 2003 ACS

AN 1976:181595 CAPLUS

DN 84:181595

TI Cyclization of quinonylmethane dyes and analogous merocyanines. 4. Dihydroanthracenophenazinones

AU Schelz, Dieter; Priester, Martin

CS Inst. Farbenchem., Univ. Basel, Basel, Switz.

SO Helvetica Chimica Acta (1976), 59(2), 688-92 CODEN: HCACAV; ISSN: 0018-019X

DT Journal

LA German

IT 52765-68-1

RL: RCT (Reactant); RACT (Reactant or reagent)

(reaction of with chloroanthracenedione derive in a

(reaction of, with chloroanthracenedione derivs. in presence of base)

RN 52765-68-1 CAPLUS

CN Quinoxalinium, 6,7-dichloro-1,2,3-trimethyl-, perchlorate (9CI) (CA INDEX NAME)

CM 1

CRN 52765-67-0 CMF C11 H11 C12 N2

CM 2

CRN 14797-73-0 CMF Cl 04

GΙ

$$\begin{array}{c} R3 \\ R4 \\ R1 \\ R2 \\ C1 \end{array}$$

Dihydroanthraceno[1,2-b]phenazinones (I, R, R1 = H, Me, C1; R2 = Me, Et, AΒ cyclohexyl, p-O2NC6H4CH2; R3, R4 = H, C1) were prepd. by reaction of 1-R2-2,3-dimethylquinoxalinium perchlorate derivs. with 2,3-dichloro-1,4-anthraquinone [14681-17-5] or 2,3,5,8-tetrachloro-1,4anthraquinone (II) [59118-01-3] and cyclization of the intermediate (quinoxalinylidenemethyl)anthraquinones. Visible, mass, and NMR spectra of I were given. II was prepd. by chlorination of 1,4-anthraquinone [635-12-1] in boiling HOAc in the presence of iodine.

Ι

ANSWER 70 OF 100 CAPLUS COPYRIGHT 2003 ACS L4

AN 1976:137227 CAPLUS

DN 84:137227

ΤI Chromogenic quinoxaline compounds

IN Farber, Sheldon

PA NCR Corp., USA

Ger. Offen., 26 pp. Addn. to Ger. Offen. 2,259,409. SO

CODEN: GWXXBX

DT Patent

LΑ German

FAN. CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2520148 DE 2520148	A1 C2	19760122 19870903	DE 1975-2520148	19750506
	GB 1458178	Α	19761208	US 1974-468112 GB 1975-13887 US 1974-468112	19740508 19750404 19740508

Patel

# PATENT FAMILY INFORMATION:

FAN	1977:440743 PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4020068	Α	19770426	US 1975-554257	19750228
	GB 1458178	A	19761208	US 1974-468112 GB 1975-13887	19740508 19750404
	JP 51010835 JP 55031757	A2 B4	19760128 19800820	US 1974-468112 JP 1975-50191	19740508 19750424
- m				US 1974-468112 US 1975-554257	19740508

IT58824-88-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and reaction with trimethylpyrrole)

RN 58824-88-7 CAPLUS

2,3-Quinoxalinedicarboxylic acid, 6,7-dichloro- (9CI) (CA INDEX NAME) CN

GI

Furoquinoxalines (I, R, R1 = H, Me, C1; R2, R3 = 1-isopentyl-2-methylindol-AΒ 3-yl; 4-Me2NC6H4 derivs., 1,2,5-trimethylpyrr-3-yl) were prepd. and were used as color formers for pressure-sensitive copying paper giving orange to blue shades in contact with an acidic substrate. Thus, 2,3-quinoxalinedicarboxylic anhydride [5660-34-4] was condensed with m-MeC6H4NEt2 (II) [91-67-8] in CH2Cl2 in the presence of AlCl3 to give 2-[2-methyl-4-(diethylamino)benzoyl]-quinoxalinecarboxylic acid [58824-81-0] which was condensed with II in HOAc to give I(R = R1 = H, R2)= R3 = 2,4-Me(Et2N)C6H3) [58824-92-3], brilliant green in contact with an acidic substrate. The other I were similarly prepd.

ANSWER 71 OF 100 CAPLUS COPYRIGHT 2003 ACS L4

1975:589141 CAPLUS ΑN

DN 83:189141

ΤI Fungicidal composition

ΑU

CS Fisons Ltd., Ipswich/Suffolk, UK

Research Disclosure (1974), 127, 23 SO CODEN: RSDSBB; ISSN: 0374-4353

DT Journal LA English

IT 3495-42-9

RL: BIOL (Biological study) (cereal fungicide)

RN 3495-42-9 CAPLUS

CN Quinoxaline, 5,6,7,8-tetrachloro- (7CI, 8CI, 9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Cl} \\ \text{Cl} \\ \\ \text{Cl} \end{array}$$

GI For diagram(s), see printed CA Issue.

AB A compn. of manganese ethylenebis(dithiocarbamate) [12427-38-2] and/or zinc ethylenebis(dithiocarbamate) [12122-67-7] with 5,6,7,8-tetrachloroquinoxaline (I) [3495-42-9] is a fungicide suitable for cereals.

L4 ANSWER 72 OF 100 CAPLUS COPYRIGHT 2003 ACS

AN 1975:57737 CAPLUS

DN 82:57737

TI Pesticidal 2-[(trifluoromethyl)imino]-1,3-dithiolo[4,5-b]quinoxalines

IN Buettner, Gerhard; Sasse, Klaus; Hammann, Ingeborg; Kaspers, Helmut

PA Bayer, A.-G.

SO Ger. Offen., 27 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

FAN.	FAN. CNT I						
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
ΡI	DE 2322434	A1	19741121	DE 1973-2322434	19730504		
	US 3932406	Α	19760113	US 1974-463642	19740423		
				DE 1973-2322434	19730504		
	BE 814386	A1	19741030	BE 1974-143774	19740430		
				DE 1973-2322434	19730504		
	NL 7405846	Α	19741106	NL 1974-5846	19740501		
				DE 1973-2322434	19730504		
	BR 7403564	· A0	19741126	BR 1974-3564	19740502		
				DE 1973-2322434	19730504		
	JP 50013396	A2	19750212	JP 1974-48914	19740502		
				DE 1973-2322434	19730504		
	JP 50013532	A2	19750213	JP 1974-48915	19740502		
				DE 1973-2322434	19730504		
	DD 113546	С	19750612	DD 1974-178253	19740502		
				DE 1973-2322434	19730504		
	CH 562825	A	19750613	CH 1974-6009	19740502		
				DE 1973-2322434	19730504		
	FR 2228066	A1	19741129	FR 1974-15474	19740503		
		_		DE 1973-2322434	19730504		
	GB 1411213	Α	19751022	GB 1974-19533	19740503		

DE 1973-2322434 19730504

ΙT 55295-04-0

RL: PROC (Process)

(cycloaddn. of, with perfluoroazapropene)

RN 55295-04-0 CAPLUS

2,3-Quinoxalinedithione, 6,7-dichloro-1,4-dihydro- (9CI) (CA INDEX NAME) CN

GΙ For diagram(s), see printed CA Issue.

Thirteen imines I (Rn = e.g. H, 5- or 6-Me or -Cl, 6-F3C, 6-MeCO, 6-O2N, AB 6-MeO, 6,7- or 6,8-Cl2, or 6,8-Me2) were prepd. and(or) used as acaricides, fungicides, and insecticides. Thus, 6-chloro-2,3dimercaptoquinoxaline in DMF contg. Et3N reacted with F2C:NCF3 at room temp. to give 75% I (Rn = 6-C1).

L4ANSWER 73 OF 100 CAPLUS COPYRIGHT 2003 ACS

AN 1975:16786 CAPLUS

DN 82:16786

Reaction of benzofurazan oxides with benzofuran-3(2H)-ones, and a new ΤI synthesis of benzofuro[2,3-b]quinoxalines

Zamet, Jean J.; Haddadin, Makhluf J.; Issidorides, Costas H. ΑU

CS Dep. Chem., Am. Univ. Beirut, Beirut, Lebanon

Journal of the Chemical Society, Perkin Transactions 1: Organic and SO Bio-Organic Chemistry (1972-1999) (1974), (14), 1687-91 CODEN: JCPRB4; ISSN: 0300-922X

DT Journal

LΑ English

IT54450-25-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and cyclization of)

RN 54450-25-8 CAPLUS

Phenol, 2-(6,7-dichloro-4-oxido-2-quinoxalinyl)- (9CI) (CA INDEX NAME) CN

IT 54450-26-9P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

54450-26-9 CAPLUS RN

Phenol, 2-(6,7-dichloro-4-oxido-2-quinoxalinyl)-, acetate (ester) (9CI) CN(CA INDEX NAME)

GΙ For diagram(s), see printed CA Issue.

Benzofuran 1-oxide (I) with benzofuran-3(2H)-ones gave 55-80% quinoxaline AB oxides which cyclized to benzofuroquinoxalines. E.g., I with benzofuranone II gave 80% III which cyclized to give 70% IV. The benzofurazan oxides V and VI reacted similarly. The benzofuranones were substrates and reductants.

ANSWER 74 OF 100 CAPLUS COPYRIGHT 2003 ACS L4

1975:5346 CAPLUS AN

DN 82:5346

Ring closing in quinonylmethane dyes and merocyanine analogs. 1. ΤI Substituted dihydronaphtho[1,2-b]phenazinones as a new type of percyclic merocyanine

ΑU Schelz, Dieter

Inst. Farbenchem., Univ. Basel, Basel, Switz. CS

Helvetica Chimica Acta (1974), 57(4), 1075-85

CODEN: HCACAV; ISSN: 0018-019X

DTJournal

LA German

ΙT 52765-68-1P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

RN 52765-68-1 CAPLUS

Quinoxalinium, 6,7-dichloro-1,2,3-trimethyl-, perchlorate (9CI) (CA INDEX CN

CM 1

CRN 52765-67-0 CMF C11 H11 Cl2 N2

$$\begin{array}{c|c} & \text{Me} \\ & \text{N}^+ \\ \text{Cl} & \text{Me} \\ \end{array}$$

CM

CRN 14797-73-0 CMF Cl O4

IT 52736-71-7

RL: RCT (Reactant); RACT (Reactant or reagent)

(quaternization of)

RN 52736-71-7 CAPLUS

CN Quinoxaline, 6,7-chloro-2,3-dimethyl- (9CI) (CA INDEX NAME)

GI For diagram(s), see printed CA Issue.

Dihydronaphtho[1,2-b]phenazinone dyes [I R = H, Me, Cl; R1 = Me, Et; (RR) = benzo] were pred. by cyclization of the corresponding [(1-alkyl-3-methyl-2-quinoxalinylidene)methyl]naphthoquinones. The visible and the H NMR spectra were discussed. Thus, 1,2,3-trimethylquinoxalinium perchlorate was treated with 2,3-dichloro-1,4-naphthoquinone in the presence of 1,4-diazabicyclo[2.2.2]octane to give 2-chloro-3-[(1,3-dimethyl-1,2-dihydro-2-quinoxalinylidene)methyl]-1,4-naphthoquinone and cyclization in the presence of HOAc and pyridine gave naphthophenazinone dye I(R = H, R1 = Me) [52736-89-7].

L4 ANSWER 75 OF 100 CAPLUS COPYRIGHT 2003 ACS

AN 1974:491475 CAPLUS

DN 81:91475

TI 2-Methyl-3-phenylquinoxalines and their styryl derivatives

AU Mahajanshetti, C. S.; Bhat, G. A.

CS Dep. Chem., Karnatak Univ., Dharwar, India

SO Indian Journal of Chemistry (1974), 12(1), 54-6 CODEN: IJOCAP; ISSN: 0019-5103

DT Journal

LA English

IT 53399-28-3P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. and condensation with benzaldehydes)

RN 53399-28-3 CAPLUS

CN Quinoxaline, 2-(4-bromophenyl)-6,7-dichloro-3-methyl- (9CI) (CA INDEX NAME)

ΙT 53399-30-7P 53399-32-9P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

53399-30-7 CAPLUS RN

Quinoxaline, 2-(4-bromophenyl)-6,7-dichloro-3-(2-phenylethenyl)-, (E)-CN (CA INDEX NAME)

Double bond geometry as shown.

RN 53399-32-9 CAPLUS

Quinoxaline, 2-(4-bromophenyl)-6,7-dichloro-3-[2-(4-nitrophenyl)ethenyl]-(9CI) (CA INDEX NAME)

$$C1$$
 $N$ 
 $CH$ 
 $CH$ 
 $NO_2$ 

GΙ For diagram(s), see printed CA Issue.

2-Methyl-3-(4-bromophenyl)quinoxalines I (R = Me; R1 = H, Cl, Me; R2 = H, AΒ Cl, Me, NO2; R3 = Br) were prepd. by condensation of appropriate 1,2-diaminoben-zenes with 1-phenyl-1,2-propanediones. 1,2-Diamino-4-nitro-benzene gave a mixt. of I (R = Me, R1 = H, R2 = NO2, R3 = Br; R = Me, R1 = NO2, R2 = H, R3 = Br). 2-Styryl derivs. I (R = PhCH2:CH2, p-O2NC6H4CH:CH2) were prepd. by con-densation of I (R = Me) with PhCHO and p-O2NC6H4CHO, resp. The 2-styryl derivs. possess a trans configuration.

ANSWER 76 OF 100 CAPLUS COPYRIGHT 2003 ACS L4

AN 1974:400487 CAPLUS

DN 81:487

Use of fungicides to control powdery mildew on spring barley ΤI

AU Mundy, E. J.; Page, R. A.

Norfolk Agric. Stn., Motley St. Botolph/Wymondham/Norfolk, UK CS

SO Experimental Husbandry (1973), No. 24, 94-104 CODEN: EXHUAU; ISSN: 0071-3414

DT Journal T.A English

ΙT 3495-42-9

RL: BIOL (Biological study)

(powdery mildew control by, on barley)

RN 3495-42-9 CAPLUS

Quinoxaline, 5,6,7,8-tetrachloro- (7CI, 8CI, 9CI) (CA INDEX NAME) CN

The powdery mildew of barley, caused by Erisiphe graminis was controlled AΒ in field expts. by seed dressing with ethirimol [23947-60-6] or benomyl (I) [17804-35-2], or by foliar sprays of ethirimol, I, tridemorph [24602-86-6], chloraniformethan [20856-57-9] or tetrachloroquinoxaline [ 3495-42-9]. Ethirimol was more effective as a seed dressing than it was as a foliar spray. The fungicides improved the grain size of 1variety, but had no effect on the grain N content.

ANSWER 77 OF 100 CAPLUS COPYRIGHT 2003 ACS

AN 1974:104869 CAPLUS

DN 80:104869

Fungicidal tetrachloroquinoxaline preparations ΤI

Barker, Christopher Holroyd; Evans, Elfeld; Gillings, Christopher IN

PΑ Fisons Ltd.

Ger. Offen., 10 pp. SO

CODEN: GWXXBX

DT Patent

LΑ German

FAN CNT 1

PAIN.	CMI				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2324113	A1	19731213	DE 1973-2324113 GB 1972-23229	19730512 19720517
	FR 2184831	A1	19731228	GB 1972-23230 FR 1973-17456 GB 1972-23229	19720517 19730515
	BE 799625	A1	19731116	GB 1972-23230 BE 1973-131194	19720517 19720517 19730516
	NL 7306808	A	19731120	GB 1972-23229 GB 1972-23230 NL 1973-6808	19720517 19720517 19730516
ΙT	3405_42 0			GB 1972-23229 GB 1972-23230	19720517 19720517

IT

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study); USES (Uses)

(fungicide)

RN 3495-42-9 CAPLUS

Quinoxaline, 5,6,7,8-tetrachloro- (7CI, 8CI, 9CI) (CA INDEX NAME) CN

AB Fungicidal prepns. contg. 10-80% 5,6,7,8-tetrachloroquinoxaline [ 3495-42-9] as active component and 2.5-40% Pluronic L 61 (ethylene oxide-polypropylene glycol condensation product) [9003-11-6] as wetting agent and solid carrier (Ca silicate, kaolin, and Na cresolsulfonate-formaldehyde condensation product) were reported. Thus, spraying of barley, in the greenhouse, with a suspension made from a wettable powder contg. 5,6,7,8-tetrachloroquinoxaline 25, Pluronic L 61 12.5, Ca silicate 12.5, Na cresolsulfonate-H2CO condensation product 5, and kaolin 45%, at 560 g active ingredient/ha, 97% controlled Erysiphe graminis.

L4 ANSWER 78 OF 100 CAPLUS COPYRIGHT 2003 ACS

AN 1974:27291 CAPLUS

DN 80:27291

TI Antimicrobial 2-quinoxalinecarboxamide 1,4-dioxides

IN Abu El-Haj, Marwan J.

PA Pfizer Inc.

SO Ger. Offen., 28 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

FAN.C					
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2316765	A1	19731115	DE 1973-2316765 US 1972-249373	19730404 19720501
	SE 405853 SE 405853	C B	19790419 19790108	SE 1973-4084	19730322
,	GB 1432443	A	19760414	US 1972-249373 GB 1973-14518 US 1972-249373	19720501 19730326 19720501
	CA 1002047	A1	19761221	CA 1973-167369 US 1972-249373	19730328 19720501
	FI 55505 FI 55505	C B	19790810 19790430	FI 1973-1052	19730405
	ZA 7302418	A	19740227	US 1972-249373 ZA 1973-2418 US 1972-249373	19720501 19730409 19720501
	IN 139311	A	19760605	IN 1973-CA829 US 1972-249373	19730409 19720501
	BE 797983	A1	19731010	BE 1973-1004953 US 1972-249373	19730410 19720501
	NL 7305048	A	19731105	NL 1973-5048 US 1972-249373	19730411 19720501
	FR 2182957	A1	19731214	FR 1973-13108 US 1972-249373	
	ES 413579	A1	19760116	ES 1973-413579	19730411

Patel

511	68-80-0D E1160	00 0	D F1160 01	US	1972-249373	19720501
140	137113	В	19781009			
	139173	C	19790117	ИО	1973-1525	19730412
NO	139173	<i>C</i>	10700117		1972-249373	19720501
ĽΠ	3033T	P	19780131		1973-161873	19730412
DT.	96591	Б	1000000		1972-249373	19720501
CH	368988	A	19751114		1973-5263	19730412
СП	568988	_		US	1972-249373	19720501
CH	568307	A	19751031	CH	1975-7074	19730412
CII	EC0207	_			1972-249373	19720501
JР	57026275	B4	19820603			
	->021300	A2	19740305	JР	1973-40917	19730412
7.0	40004000			US	1972-249373	19720501
DK	143336	C	19811207			
	143336	В	19810810	DK	1973-1966	19730411
5				US	1972-249373	19720501
AT	333767	В	19761210			
	7303210	A	19760415	AT	1973-3210	19730411
				US	1972-249373	19720501

IT 51168-89-9P 51168-90-2P 51168-91-3P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

RN 51168-89-9 CAPLUS

CN 2-Quinoxalinecarboxamide, 6,7-dichloro-, 1,4-dioxide (9CI) (CA INDEX NAME)

RN 51168-90-2 CAPLUS

CN 2-Quinoxalinecarboxamide, 6,7-dichloro-N-methyl-, 1,4-dioxide (9CI) (CA INDEX NAME)

RN 51168-91-3 CAPLUS

CN 2-Quinoxalinecarboxamide, 6,7-dichloro-N-ethyl-, 1,4-dioxide (9CI) (CA INDEX NAME)

GΙ For diagram(s), see printed CA Issue.

Twenty quinoxaline derivs. [I; R = C1-4 alkyl, (CH2)2OH, (CH2)2NMe2; X = C1-4 alkyl, (CH2)2NMe2; AB H, Cl; Y = H, Cl, F, Br], useful as antimicrobial agents, were prepd. in 5-60% yield by reaction of the benzofuroxans II with MeCOCO2Me and RNH2.

ANSWER 79 OF 100 CAPLUS COPYRIGHT 2003 ACS L4

1973:432009 CAPLUS AN

DN 79:32009

Quinoxaline derivatives. XI. Reaction of quinoxaline 1,4-dioxide and TIsome of its derivatives with acetyl chloride ΑU

Ahmad, Yusuf; Habib, M. S.; Qureshi, M. Ikram; Faroogi, M. A. CS

Chem. Res. Div., Pakistan Counc. Sci. Ind. Res. Lab., Karachi, Pak. SO

Journal of Organic Chemistry (1973), 38(12), 2176-9 CODEN: JOCEAH; ISSN: 0022-3263

DT Journal

LΑ English

IT 19853-64-6P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

RN 19853-64-6 CAPLUS

Quinoxaline, 6,7-dichloro- (8CI, 9CI) (CA INDEX NAME) CN

Quinoxaline 1,4-dioxide with AcCl gives 6-chloroquinoxaline 1-oxide (I). AΒ On heating, and progressively increasing the time of reaction, the yield of I increases, and 3-chloroquinoxaline 1-oxide, and 6.7dichloroquinoxaline appear as addnl. products. 7-Ethoxy-, 7-methoxy-, 7-methylquinoxaline 1,4-dioxides show a similar behavior, giving corresponding 6-chloro, and 3-chloro derivs. as main products. Further increase in the reaction time results in the formation of 2,6-dichloro and 2,3-dichloro compds. as addnl. products. However, none of the 2-chloro 4-oxide derivs. were isolated. The mechanisms for these transformations were proposed and discussed.

ANSWER 80 OF 100 CAPLUS COPYRIGHT 2003 ACS L4

1973:159667 CAPLUS AN

DN 78:159667

Pesticidal 2-aminoquinoxaline derivatives TI

Sasse, Klaus; Hammann, Ingeborg; Unterstenhoefer, Guenter; Frohberger, IN

Paul Ernst

PA Bayer A.-G.

SO Ger. Offen., 25 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

FAN.	CNT 1				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	DE 2144879	A1	19730315	DE 1971-2144879	10710000
	US 3850925	A	19741126	US 1972-284378	19710908
			10,11120	DE 1971-2144879	19720828
	DD 101402	С	19731112	DD 1972-165391	19710908
				DE 1971-2144879	19720901
	NL 7212079	Α	19730312	NL 1972-12079	19710908
				DE 1971-2144879	19720905 19710908
	IL 40298	A1	19750625	IL 1972-40298	19710908
			<del>-</del>	DE 1971-2144879	19710908
	BE 788451	A1	19730306	BE 1972-121718	19720906
				DE 1971-2144879	19710908
	IT 967203	A	19740228	IT 1972-28881	19720906
				DE 1971-2144879	19710908
	AU 7246367	A1	19740314	AU 1972-46367	19720906
				DE 1971-2144879	19710908
	ZA 7206117	A	19730530	ZA 1972-6117	19720907
	1 cmo			DE 1971-2144879	19710908
	HU 165297	P	19740828	HU 1972-BA2801	19720907
	DV 121414	_		DE 1971-2144879	19710908
	DK 131414	В	19750714	DK 1972-4420	19720907
	FR 2152232			DE 1971-2144879	19710908
	FR 2152232	<b>A</b> 5	19730420	FR 1972-31960	19720908
	JP 48034185	7.0		DE 1971-2144879	19710908
	OL 40024102	A2	19730516	JP 1972-89636	19720908
	JP 48035040	7. 0	10520500	DE 1971-2144879	19710908
	01 40000040	A2	19730523	JP 1972-89637	19720908
	GB 1347613	Α	10740220	DE 1971-2144879	19710908
		А	19740220	GB 1972-41812	19720908
	AT 321642	В	19750410	DE 1971-2144879	19710908
	3 — <b>4 - 4</b>	ם	T7/304I0	AT 1972-7748	19720908
Т	41213-20-1P 4121	3-21-25	<b>,</b>	DE 1971-2144879	19710908

IT 41213-20-1P 41213-21-2P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

RN 41213-20-1 CAPLUS

CN· 2-Quinoxalinamine, 6,7-dichloro-N,N-dipropyl- (9CI) (CA INDEX NAME)

RN 41213-21-2 CAPLUS

CN 2-Quinoxalinamine, N,N-dibutyl-6,7-dichloro- (9CI) (CA INDEX NAME)

$$C1$$
 $N (Bu-n)_2$ 
 $N (Bu-n)_2$ 

GI For diagram(s), see printed CA Issue.

Twenty-two title compds. [I, Rn = H, 6-Cl, 6-CF3, or 6,7-Cl2; R1 = NHEt, NHCHMe2, NEt2, NBu2, NPr2, or N(CH2CH:CH2)2] their salts, used as fungicides, acaricides, and insecticides were prepd. by reaction of I (R1 = Cl) with the appropriate amines. Thus, heating I (Rn = H, R1 = Cl) and Pr2NH in dioxane 3 hr at 150.degree. gave 86% I (Rn = H, R1 = NPr2).

L4 ANSWER 81 OF 100 CAPLUS COPYRIGHT 2003 ACS

AN 1973:147994 CAPLUS

DN 78:147994

TI 1-Hydroxy-3-oxobenzimidazoles, quinoxaline di-N-oxides, and benzimidazole mono- and di-N-oxides

PA Research Corp.

SO Brit., 36 pp. Addn. to Brit. 1,215,815 (CA 74; 141873b). CODEN: BRXXAA

DT Patent

LA English

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	GB 1308370	7	1072000		
	GB 1308370	A	19730228	GB 1970-47202	19701005
	US 4343942	_		US 1969-883577 A	19691209
	05 4343942	A	19820810	US 1969-883577	19691209
				US 1966-592729 A2	219661108
				NL 1967-14882 A	19671102
PATEI	NT FAMILY INFORMA	TT ON		US 1967-691252 A2	

#### PATENT FAMILY INFORMATION:

FAN	1969:57899	ATION:			
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	GB 1134729	A	10601100		
	02 1134/25	A	19681127	QD 1707 20313	
	DK 137493	С	1070000	US 1966-592729 A	19661108
	211 23 / 193	C	19780828	DK 1967-5535	19671107
	SE 402289	С	10701005	US 1966-592729 A	19661108
	10 <b>23</b> 03		19781005	SE 1973-11829	19730830
	DK 7800142	А	19780112	US 1966-592729 A	
		Α.	19/80112	DK 1978-142	19780112
				US 1966-592729 A	
FAN	1983:4563			DK 1967-5535 A	19671107
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	US 4343942	7	10020010	•••	
	30 133 12	A	19820810		19691209
				US 1966-592729 A2	
					19671102
	CA 923131	A1	19730320	US 1967-691252 A2	19671218
		***	17/30320	CA 1967-4478	19671107
				US 1966-592729 A	19661108
				US 1969-883577 A	19691209
	GB 1308370	A	19730228	CA 1970-923131 A5	
	-		20,00220	GB 1970-47202	19701005

NL 157302	В	19780717	NL	1969-883577 1972-8887	19720628
				1966-592729	A 19661108
DK 7800142	-		иг	1967-14882	A319671102
DR 7800142	A	19780112		1978-142	19780112
				1966-592729	A 19661108
UC 4066155			DK	1967-5535	A 19671107
US 4866175	A	19890912		1979-29344	19790412
			US	1966-592729	A219661108
			US	1967-691252	A219671218
			US	1969-883577	A319691209
			US	1977-843510	Δ119771000

ΙT 31683-03-1P 31683-07-5P 31683-12-2P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of)

RN 31683-03-1 CAPLUS

2-Quinoxalinecarboxamide, 6,7-dichloro-3-methyl-, 1,4-dioxide (8CI, 9CI) CN (CA INDEX NAME)

$$\begin{array}{c|c} \text{Cl} & \overset{\circ}{\underset{N}{\bigvee}} & \overset{\circ}{\underset{N}{\bigvee}} & \overset{\circ}{\underset{N}{\bigvee}} \\ \text{C-NH}_2 \\ & \overset{\circ}{\underset{N}{\bigvee}} & \text{Me} \end{array}$$

RN31683-07-5 CAPLUS

2-Quinoxalinecarboxamide, 6,7-dichloro-N,3-dimethyl-, 1,4-dioxide (8CI, CN 9CI) (CA INDEX NAME)

RN 31683-12-2 CAPLUS

2-Quinoxalinecarboxamide, 6,7-dichloro-N-ethyl-3-methyl-, 1,4-dioxide CN (8CI, 9CI) (CA INDEX NAME)

GΙ For diagram(s), see printed CA Issue.

AB The title compds., useful in the control of pathogenic microorganisms, were prepd. from benzofuroxans and compds. contq. activated methylene groups. Specific bases used for certain reactants were described. E.g. stirring 6.8 g benzofuroxan, 5.0 g MeCOcH2C:OMe, and 2.96 g PrNH2 in THF overnight gave 0.33 g 2-methyl-3-acetylquinoxaline di-N-oxide. Forty-nine of the quinoxaline oxides (I, R, R1 = H, OMe, CF3, Me, halogen, SO2NH2 and derivs.; R2, R3 = H, alkyl) were similarly prepd. from equimolar amts. of benzofuroxan and MeCOCH2- CONR2R3 in THF contg. Et2NH.

L4ANSWER 82 OF 100 CAPLUS COPYRIGHT 2003 ACS

1973:68233 CAPLUS AN

DN 78:68233

ΤI 5,6,7,8-Tetrachloroguinoxaline-containing fungicides

PA Fisons Ltd.

Fr. Demande, 7 pp. SO

CODEN: FRXXBL

DTPatent

French T.A

LA FAN.	French CNT 2 PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	FR 2115204	A5	19720707		
	G3 7107510		1070000	GB 1970-54763	
	ZA 7107512	A	19720830	ZA 1971-7512	
	BE 775312	A1	19720512	GB 1970-54763 BE 1971-110483	
	DB 773312	Αı	19/20312	GB 1970-54763	
	NL 7115662	Α	19720523	NL 1971-15662	
	, 223002		17,20323	GB 1970-54763	
	IT 943656	Α	19730410	IT 1971-3	
				GB 1970-54763	19701118
	CH 546036	Α	19740228	CH 1971-16704	19711117
				GB 1970-54763	19701118
	DD 101275	C	19731112	DD 1971-159011	19711118
				GB 1970-54763	19701118
	HU 164603	P	19740328	HU 1971-FI499	
				GB 1970-54763	
	CS 161052	P	19750504	CS 1971-8076	
DAME	M	mr 0) r		GB 1970-54763	19701118
FAN	NT FAMILY INFORMA 1972:560986	TION:			
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	DE 2157050	Δ	19720803	DE 1971-2157050	19711117
	22 213,030	••	17/20003	GB 1970-54763	
	ZA 7107512	А	19720830		

			GB 1970-54763	19701118
BE 775312	A1	19720512	BE 1971-110483	19711112
			GB 1970-54763	19701118
NL 7115662	Α	19720523	NL 1971-15662	19711115
			GB 1970-54763	19701118
IT 943656	A	19730410	IT 1971-3	19711115
			GB 1970-54763	19701118
CH 546036	Α	19740228	CH 1971-16704	19711117
			GB 1970-54763	19701118
DD 101275	С	19731112	DD 1971-159011	19711118
			GB 1970-54763	19701118
HU 164603	P	19740328	HU 1971-FI499	19711118
			GB 1970-54763	19701118
CS 161052	P	19750504	CS 1971-8076	19711118
			GB 1970-54763	19701118

## IT 3495-42-9

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study); USES (Uses)

(as fungicide, polymer synergists and stabilizer for)

RN 3495-42-9 CAPLUS

CN Quinoxaline, 5,6,7,8-tetrachloro- (7CI, 8CI, 9CI) (CA INDEX NAME)

AB A condensation product between ethylene oxide and poly(oxypropylene), such as Pluronic L61 [9003-11-6], enhanced the fungicidal effect and lengthened the shelf life of 5,6,7,8-tetrachloroquinoxaline (I) [3495-42-9]. Thus Erysiphe graminis on barley plants was controlled by a formulation contg. 5,6,7,8-tetrachloroquinoxaline 25, Pluronic L61 2.5, Na salt of a sulfonated condensation product between H2CO and an alkylphenol 5, and Kaolin 67.5% applied at 1.12 kg/ha.

L4 ANSWER 83 OF 100 CAPLUS COPYRIGHT 2003 ACS

AN 1973:43525 CAPLUS

DN 78:43525

TI 2-(Dihalonitromethyl) quinoxalines

IN Gum, Wilson F., Jr.; Goralski, Christian T.

PA Dow Chemical Co.

SO U.S., 2 pp.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	US 3703515	Α	19721121	US 1970-94625	19701202
				US 1970-94625	19701202

IT 39481-60-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

RN 39481-60-2 CAPLUS

CN Quinoxaline, 6,7-dichloro-2-(dibromonitromethyl)- (9CI) (CA INDEX NAME)

IT 39250-46-9

RL: RCT (Reactant); RACT (Reactant or reagent)
 (reaction with hypobromite)

RN 39250-46-9 CAPLUS

CN Quinoxaline, 6,7-dichloro-2-(nitromethyl)- (9CI) (CA INDEX NAME)

GI For diagram(s), see printed CA Issue.

AB 2-(Nitromethyl)quinoxalines (I, X = Cl, Br; R = H, Et, OMe, CF3, Me, CO2Na, Cl, OEt, Br; R1 = H, OMe, CF3, Me, Et, Cl) were prepd. Thus, 2-(nitromethyl)quinoxaline in CH2ClCH2Cl was treated with 4% NaOCl to give I (X = Cl, R = R1 = H). I have antimicrobial activity and are useful as germicides.

- L4 ANSWER 84 OF 100 CAPLUS COPYRIGHT 2003 ACS
- AN 1972:560986 CAPLUS
- DN 77:160986
- TI Wettable fungicidal compositions
- IN Barker, Christopher Holroyd; Evans, Elfed; Gillings, Christopher
- PA Fisons Ltd.
- SO Ger. Offen., 10 pp.

CODEN: GWXXBX

- DT Patent
- LA German

FAN.CNT 2

PAN.	CN1 Z				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	DE 2157050	Α	19720803	DE 1971-2157050	19711117
				GB 1970-54763	19701118
	ZA 7107512	Α	19720830	ZA 1971-7512	19711109
				GB 1970-54763 <sup>.</sup>	19701118
	BE 775312	A1	19720512	BE 1971-110483	19711112
				GB 1970-54763	19701118
	NL 7115662	Α	19720523	NL 1971-15662	19711115
				GB 1970-54763	19701118
	IT 943656	Α	19730410	IT 1971-3	19711115
				GB 1970-54763	19701118
	CH 546036	Α	19740228	CH 1971-16704	19711117

Patel <4/4/2003>

	DD 101275	С	19731112	GB 1970-54763 DD 1971-159011	19701118 19711118
	HU 164603	P	19740328	GB 1970-54763 HU 1971-FI499	19701118 19711118
	CS 161052	P	19750504	GB 1970-54763 CS 1971-8076	19711118
PATE FAN	NT FAMILY INFORMA 1973:68233	TION:		GB 1970-54763	19701118
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	FR 2115204	A5	19720707		
	ZA 7107512	A	19720830	GB 1970-54763 ZA 1971-7512	19701118 19711109
	BE 775312	A1	19720512	GB 1970-54763 BE 1971-110483	19701118 19711112
	NL 7115662	A	19720523	GB 1970-54763 NL 1971-15662	19701118 19711115
	IT 943656	Α	19730410	GB 1970-54763 IT 1971-3	19701118 19711115
	CH 546036	A	19740228	GB 1970-54763 CH 1971-16704	19701118 19711117
	DD 101275	С	19731112	GB 1970-54763 DD 1971-159011	19701118 19711118
	HU 164603	P	19740328	GB 1970-54763 HU 1971-FI499	19701118 19711118
	CS 161052	P	19750504	GB 1970-54763 CS 1971-8076	19701118 19711118
ΙT	3495-42-9			GB 1970-54763	19701118

IT 3495-42-9

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study); USES (Uses)

(fungicides, wettable formulations of)

RN 3495-42-9 CAPLUS

CN Quinoxaline, 5,6,7,8-tetrachloro- (7CI, 8CI, 9CI) (CA INDEX NAME)

- The title compns. contg. 5,6,7,8-tetrachloroquinoxaline (I) [ 3495-42-9] were prepd. and used at 1.12 kg/224 l. H2O/ha as fungicides against mildew in barley fields. Thus, a mixt. contg. I 25.0, pluronic L 61 (ethylene oxide-polypropylene glycol copolymer of mol. wt. sim.1750 contg. sim.10% ethylene oxide) [9003-11-6] 2.5, Na salt of sulfonated alkylphenol-HCHO condensate 5.0, and kaolin 67.5% was ground, stored 3 months at 25.deg., and suspended in H2O to give a homogeneous dispersion.
- L4 ANSWER 85 OF 100 CAPLUS COPYRIGHT 2003 ACS

ΑN 1972:419675 CAPLUS

DN 77:19675

Fungicidal 2,3-bis(bromomethyl)quinoxalines and their 1,4-dioxides ΤI

ΙN Lamb, Glentworth

American Cyanamid Co. PA

SO Ger. Offen., 21 pp.

CODEN: GWXXBX

DT Patent

LΑ German

FAN CNT 1

FAN.	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2140743	Α	19720217	DE 1971-2140743	19710813
	ZA 7104758	A	19720426	US 1970-63594 ZA 1971-4758	19700813 19710719
	AU 7131478	A1	19730125	US 1970-6 <u>3594</u> AU 1971-31478	19700813 19710721
	GB 1307204	A	19730214	US 1970-63594 GB 1971-35801	19700813 19710729
	NL 7110997	A	19720215	US 1970-63594 NL 1971-10997	19700813 19710810
	FR 2104313	A5	19720414	US 1970-63594 FR 1971-29620	19700813 19710812
	BR 7105193	A0	19730410	US 1970-63594 BR 1971-5193	19700813 19710812
	BE 771315	A1	19720214	US 1970-63594 BE 1971-107052	19700813 19710813
ΙT	31030-64-5P			US 1970-63594	19700813

IΤ 31030-64-5P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

RN31030-64-5 CAPLUS

Quinoxaline, 2,3-bis(bromomethyl)-5,6,7,8-tetrachloro- (8CI, 9CI) (CA CNINDEX NAME)

$$C1$$
 $C1$ 
 $N$ 
 $CH_2Br$ 
 $CH_2Br$ 

GI For diagram(s), see printed CA Issue.

Five title compds. (I, Rn = H, 6-NO2, 6-MeO, 6-Cl, or 5,6,7,8-Cl4, Q = NAB or NO) were prepd. by reaction of RnC6H4-n(NH2)2-o with BrCH2COCOCH2Br (II) followed optionally by oxidn. and 8 I were used as fungicides in plants. Thus, II reacted with 3,4-(H2N)2C6H3NO2 in DMF at <37.degree. and then for 3 hr at 24 degree. to give I (Q = N, Rn = 6-NO2). I (Q = N, Rn = 6-NO2). 6-MeO) in AcOH was oxidized with 40% AcOOH for 70 hr at 55.degree. to give 67.5% I (Q = NO, Rn = 6-MeO). I (Q = N, Rn = H) (150 ppm) gave total protection of cucumber from Collectotrichum lagenarium, tomato from Phytophthora infestans, rice from Piricularia oryzae, and apple from Venturia inaequalis (apple scab).

09483504.7

## Page 150

- L4 ANSWER 86 OF 100 CAPLUS COPYRIGHT 2003 ACS
- AN 1972:140728 CAPLUS
- DN 76:140728
- TI Reactions of benzofurazan 1-oxides with enamines
- AU Mufarrij, N. A.; Haddadin, M. J.; Issidorides, C. H.; McFarland, J. W.; Johnston, J. D.
- CS Dep. Chem., Amer. Univ. Beirut, Beirut, Lebanon
- SO Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1972), (7), 965-7 CODEN: JCPRB4; ISSN: 0300-922X
- DT Journal
- LA English
- IT 35982-68-4P
- RN 35982-68-4 CAPLUS
- CN Quinoxaline, 6,7-dichloro-2-phenyl-, 1,4-dioxide (9CI) (CA INDEX NAME)

- GI For diagram(s), see printed CA Issue.
- AB Twenty-three quinoxaline 1,4-dioxides were prepd. from the reaction between benzofurazan 1-oxides and morpholinoenamines; e.g. 80% 6,7,8,9,10,11-hexahydrocycloocta[b]quinoxaline 5,12-dioxide (I) was obtained from benzofurazan 1-oxide and 1-morpholino-1-cyclooctene in MeOH. Four quinoxaline 1,4-dioxides were prepd. from benzofuran 1-oxides and (MeCO) 2CH2 in NaOH-EtOH.
- L4 ANSWER 87 OF 100 CAPLUS COPYRIGHT 2003 ACS
- AN 1972:21953 CAPLUS
- DN 76:21953
- TI 5,6,7,8-Tetrachloroquinoxaline-containing wettable fungicidal powders
- IN Barker, Christopher H.
- PA Fisons Ltd.
- SO Ger. Offen., 9 pp. CODEN: GWXXBX

CODEN: GWAA

- DT Patent
- LA German

FAN.CNT 1

I FILV.	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡĪ	DE 2107031	Α	19710902	DE 1971-2107031	19710215
				GB 1970-7248	19700216
	ZA 7100548	Α	19711124	ZA 1971-548	19710128
				GB 1970-7248	19700216
	AT 304160	В	19721227	AT 1971-851	19710202
				GB 1970-7248	19700216
	BE 762672	A1	19710809	BE 1971-99532	19710208
	BE 762672	A1	19710809	BE 1971-99532	19710208

09483504		7
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Page 151

3495-42-9			GB 1970-7248 19700216
CH 524309	A	19720630	CH 1971-524309 19710215
GU 504000			GB 1970-7248 19700216
FR 2080521	A5	19711119	FR 1971-4947 19710215
FR 2080521			GB 1970-7248 19700216
ND /101968	A	19710818	NL 1971-1968 19710215
NL 7101968			GB 1970-7248 19700216
DK 120343	В	19730730	DK 1971-626 19710211
DK 126545	Б	1000000	GB 1970-7248 19700216
10 3/310	P	19741211	RO 1971-65895 19710210
RO 57316	Б	1004404	GB 1970-7248 19700216

IT 3495-42-9

RL: BIOL (Biological study)

(stabilizers for, chloronaphthalenes as)

RN 3495-42-9 CAPLUS

CN Quinoxaline, 5,6,7,8-tetrachloro- (7CI, 8CI, 9CI) (CA INDEX NAME)

Chloronaphthalenes (1-2.5%), e.g. 1,4-dichloronaphthalene (I) [1825-31-6], AB were added to fungicidal powders contg. 5,6,7,8-tetrachloroquinoxaline (II) [3495-42-9], useful against e.g. mildew, to prevent nonhomogeneous distribution on plants and the blocking of spray nozzles due to the recrystn. of II. Thus, a milled mixt. contg. II 52.6, monoand dichloronaphthalenes mixt. 2.5, wetting and dispersing agent 9.0, and sepiolite [18307-23-8] 35.9% was dispersed easily in water after storage for 12 months whereas a chloronaphthalene-free powder could not be dispersed due to formation of long I crystals.

- ANSWER 88 OF 100 CAPLUS COPYRIGHT 2003 ACS L4
- 1971:435921 CAPLUS AN
- DN 75:35921
- Synthesis of esters of o-dicarboxylic acids of the quinoxaline series TΙ
- Gal'pern, M. G.; Luk'yanets, E. A. ΑU
- Nauchno-Issled. Inst. Org. Poluprod. Krasitelei, Moscow, USSR CS SO
- Khimiya Geterotsiklicheskikh Soedinenii (1971), 7(2), 280-1 CODEN: KGSSAQ; ISSN: 0132-6244
- DT Journal
- LΑ Russian
- IT 33158-53-1P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

RN 33158-53-1 CAPLUS

2,3-Quinoxalinedicarboxylic acid, 5,6,7,8-tetrachloro-, diethyl ester CN (8CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O \\ \hline \\ C1 & C-OEt \\ \hline \\ C1 & O \end{array}$$

By the condensation of aromatic o-diamines with Me or Et dioxosuccinates 9 AΒ title compds. were prepd.

ANSWER 89 OF 100 CAPLUS COPYRIGHT 2003 ACS L4

AN 1971:125729 CAPLUS

DN 74:125729

Antibacterial 2-(iminomethyl)quinoxaline N,1,4-trioxides TI

IN Kim, Hyun Koo

PΑ Richardson-Merrell Inc.

SO Ger. Offen., 27 pp.

CODEN: GWXXBX

DTPatent

LΑ German

FAN.CNT 1

T. PATA .	CNII		•		
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI .	DE 2043532	A	19710318	DE 1970-2043532	19700902
	US 3644363 CA 958414	A A1	19720222 19741126	US 1969-854796 US 1969-854796 CA 1970-90591	19690902 19690902 19700812
	ZA 7005735	A	19710428	US 1969-854796 ZA 1970-5735	19690902 19700820
	GB 1313689	A	19730418	US 1969-854796 GB 1970-40259	19690902 19700820
	IL 35159	A1	19740314	US 1969-854796 IL 1970-35159	19690902 19700824
	FR 2070664 FR 2070664	A1 A5	19710917 19710917	US 1969-854796 FR 1970-31941	19690902 19700902
ΙT	32020-58-00			US 1969-854796	19690902

ΙT 32020-58-9P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

RN 32020-58-9 CAPLUS

Methanamine, N-[(6,7-dichloro-3-methyl-1,4-dioxido-2-CN quinoxalinyl)methylene]-, N-oxide (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & & \\ & \\ N \\ C1 & \\ N \\ & \\ N \\ & \\ N \\ & \\ Me \\ & \\ O \end{array}$$

GI For diagram(s), see printed CA Issue.

The antibacterial title compds. (I) were prepd. by reaction of 2-formylquinoxaline 1,4-dioxides with R1NHOH. Thus, 0.01 mole 2-formyl-3-methylquinoxaline 1,4-dioxide and 0.012 mole NaHCO3 in warm 95% EtOH was stirred 1 hr with 0.005 mole powd. HONHCH2CH2OH oxalate to give 66% I (R = Me, R1 = HOCH2CH2, R2 = R3 = H). Among 26 other compds. prepd. were I (R2 = R3 = H) (R and R1 given): Me, Me; Me, CH2CHClMe; Me, CH2CHMeOH; Me, Ph; H, Me.

L4 ANSWER 90 OF 100 CAPLUS COPYRIGHT 2003 ACS

AN 1971:112057 CAPLUS

DN 74:112057

TI Antibacterial 3-methyl-2-quinoxalinecarboxamide di-N-oxides

IN Abuel-Haj, Marwan J.; Cronin, Timothy H.

PA Pfizer Inc.

SO Ger. Offen., 53 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

1111	PATENT NO.	KIND	DATE	AP	PLICATION NO.	DATE
PI	DE 2035480	Α	19710211		1970-2035480	19700717
					1969-843775 1969-843810	19690722
					1970-6550	19690722 19700128
	US 3635972	Α	19720118		1969-843810	19690722
	BR 6915087	A0	19730419		1969-215087	19691215
	DD 6015000			US		19690722
	BR 6915238	A0	19730213	BR	1969-215238	19691217
	GB 1325581	75	1072000		1969-843810	19690722
	GD 1323361	A	19730801		1970-33489	19700709
					1969-843775	19690722
					1969-843810 1970-6550	19690722
	FR 2059542	A5	19710604		1970-26396	19700128
	FR 2059542	B1	19751128	110	1770-20336	19700717
				US	1969-843775	19690722
	CA 978949	A1	19751202		1970-88694	19700721
	G7 000.55			US	1969-843775	19690722
	CA 979455	A1	19751209	CA	1970-88695	19700721
					1969-843810	19690722
ፐጥ	31674-02-0D 2160			US	1970-6550	19700128

IT 31674-02-9P 31683-03-1P 31683-07-5P 31683-12-2P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

RN 31674-02-9 CAPLUS

CN 2-Quinoxalinecarboxamide, 6,7-dichloro-N-(2-hydroxyethyl)-3-methyl-, 1,4-dioxide (8CI) (CA INDEX NAME)

RN 31683-03-1 CAPLUS

CN 2-Quinoxalinecarboxamide, 6,7-dichloro-3-methyl-, 1,4-dioxide (8CI, 9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Cl} & \overset{\circ}{\underset{\text{N}}{\bigvee}} & \overset{\circ}{\underset{\text{N}}{\bigvee}} & \overset{\circ}{\underset{\text{C-NH}_2}{\bigvee}} \\ \text{Cl} & \overset{\circ}{\underset{\text{N}}{\bigvee}} & \overset{\circ}{\underset{\text{Me}}{\bigvee}} \end{array}$$

RN 31683-07-5 CAPLUS

CN 2-Quinoxalinecarboxamide, 6,7-dichloro-N,3-dimethyl-, 1,4-dioxide (8CI, 9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & & \bigcirc & \bigcirc & \bigcirc \\ \parallel & & \square \\ N & & C-NHMe \\ \hline \\ C1 & & Me \\ \end{array}$$

RN 31683-12-2 CAPLUS

CN 2-Quinoxalinecarboxamide, 6,7-dichloro-N-ethyl-3-methyl-, 1,4-dioxide (8CI, 9CI) (CA INDEX NAME)

09483504.7

## Page 155

GI For diagram(s), see printed CA Issue.

Antibacterial and growth-promoting title compds. (I) were prepd. by AB reaction of benzofuroxans (II) with diketene and HNRR1. Thus, reaction of 4.2 g diketene in Et2O, DMF satd. with MeNH2, and 6.8 g II (R2 = R3 = H)12 hr at room temp. gave 4.5 g I (R = Me, R1 = R2 = R3 = H). Among .apprx.130 compds. similarly prepd. were I (R, R1, R2, and R3 given): H, Me, Cl, Cl; H, Et, H, OMe; Et, Et, H, Cl; (RR1N =) morpholino, H, H.

ANSWER 91 OF 100 CAPLUS COPYRIGHT 2003 ACS L4

1971:110756 CAPLUS ΑN

74:110756 DN

Fungicidal activity of halomethylquinoxalines ΤI

Huffman, Clarence W.; Krajewski, John J.; Kotz, Phillip J.; Traxler, James ΑU T.; Ristich, Samuel S. CS

Growth Sci. Cent., Int. Minerals and Chem. Corp., Libertyville, IL, USA SO

Journal of Agricultural and Food Chemistry (1971), 1(2), 298-301 CODEN: JAFCAU; ISSN: 0021-8561

DT Journal

LΑ English

RN

ΙT 3298-85-9 3298-96-2 31030-64-5 RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study); USES (Uses)

(fungicides) 3298-85-9 CAPLUS

Quinoxaline, 6,7-dichloro-2,3-bis(iodomethyl)- (7CI, 8CI) (CA INDEX NAME) CN

3298-96-2 CAPLUS RN

Quinoxaline, 2,3-bis(bromomethyl)-6,7-dichloro- (7CI, 8CI, 9CI) (CA INDEX CN

RN31030-64-5 CAPLUS

Quinoxaline, 2,3-bis(bromomethyl)-5,6,7,8-tetrachloro- (8CI, 9CI) (CA CN INDEX NAME)

$$\begin{array}{c|c} \text{Cl} & \text{Ch}_2\text{Br} \\ \text{Cl} & \text{Ch}_2\text{Br} \end{array}$$

GΙ For diagram(s), see printed CA Issue.

Quinoxalines with one or more haloalkyl groups, such as AΒ 2,3-bis(iodomethyl)quinoxaline (I) and 2,3-bis(bromomethyl)quinoxaline (II), were prepd. and evaluated as foliar fungicides. In greenhouse tests, some of these compds. were very active against early and late tomato blights, cucumber anthracnose, bean mildew, apple scab, and rice blast. The highest antifungal activity was contributed by I and II. This activity in some cases was eliminated by the presence of other groups on the carbocyclic portion of the quinoxaline mol., as in 5,6,7,8-tetrachloro-2,3-bis(bromomethyl)-quinoxaline. Some 2-bromomethyl and 2-iodomethylquinoxa-lines also showed high activity.

ANSWER 92 OF 100 CAPLUS COPYRIGHT 2003 ACS L4

AN1970:68222 CAPLUS

72:68222

Cyanine dyes having an imidazo[4,5-b]quinoxaline nucleus TI

Brooker, Leslie G. S.; Van Lare, Earl J. ΙN

PA Eastman Kodak Co.

SO U.S., 17 pp.

CODEN: USXXAM

DT Patent

LΑ English

FAN . CN	T 2 ATENT NO.	KIND	DATE	APPLICATION NO.	DATE
U	S 3431111 S 3492123	A A	19690304 19700127	US 1967-609791 US 1967-609740	19670117 19670117
	5 3501310 E 345170	A B	19700317 19720515	US 1967-609761 SE 1967-3251 US 1966-533455	19670117 19670309 19660311
BI	E 695368	A	19670911	US 1967-609761 BE 1967-695368 US 1966-533455	19670311 19670310 19660311
ВЕ	E 695364	A	19670911	US 1966-573184 US 1967-609791 BE 1967-695364 US 1966-533455	19660818 19670117 19670310 19660311
BE	695360	A	19670911	US 1967-609761 BE 1967-695360 US 1966-533455	19670117 19670310 19660311
BE	695367	A	19670911	US 1967-609792 BE 1967-695367 US 1966-533455	19670117 19670310 19660311
ES	337856	A1	19680816	US 1966-571695 US 1967-609740 ES 1967-337856 US 1966-533455 US 1967-609761	19660811 19670117 19670310 19660311 19670117

09483504.7		Page 157		
CH 474086	A	19690615	CH 1967-474086 US 1966-533455	19670310 19660311
GB 1186714	A	19700402	US 1967-609761 GB 1967-1186714 US 1966-533455	19670117 19670310 19660311
BR 6787702	AO	19730118	US 1967-609761 BR 1967-187702 US 1966-533455	19670117 19670310 19660311
NO 129424	В	19740408	US 1967-609761 NO 1967-167226 US 1966-533455 US 1967-609761	19670117 19670310 19660311
GB 1186720	A	19700402	GB 1967-1186720 US 1966-571695 US 1967-609740	19670117 19670505 19660811
GB 1190031	A	19700429	GB 1967-1190031 US 1967-609792	19670117 19670505
JP 52001300	B4	19770113	JP 1967-50902 US 1966-573183 US 1967-609791	19670117 19670809 19660818
GB 1199796	A	19700722	GB 1967-1199796 US 1967-609791	19670117 19670818
GB 1199797	Α	19700722	GB 1967-1199797 US 1967-609791	19670117 19670818
GB 1199795	Α	19700722	GB 1967-1199795	19670117 19670818
GB 1199794	A	19700722	US 1966-573183 GB 1967-1199794 US 1966-573183	19660818 19670818
			US 1966-574197	10660010
PATENT FAMILY INFORMA	TION:		05 1700 373183	19660818
PATENT FAMILY INFORMATE FAN 1974:38259 PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FAN 1974:38259		DATE  19730828	APPLICATION NO	DATE  19710226 19660818
FAN 1974:38259 PATENT NO PI US 3754964  SE 345170	KIND		APPLICATION NO.  US 1971-119044 US 1966-573184 US 1969-871561 SE 1967-3251 US 1966-533455	DATE  19710226 19660818 19691105 19670309 19660311
FAN 1974:38259 PATENT NO	KIND  A	19730828	APPLICATION NO.  US 1971-119044 US 1966-573184 US 1969-871561 SE 1967-3251 US 1966-533455 US 1967-609761 BE 1967-695364 US 1966-533455	DATE 19710226 19660818 19691105 19670309 19660311 19670117 19670310 19660311
FAN 1974:38259 PATENT NO PI US 3754964  SE 345170	KIND  A B	19730828 19720515	APPLICATION NO.  US 1971-119044 US 1966-573184 US 1969-871561 SE 1967-3251 US 1966-533455 US 1967-609761 BE 1967-695364 US 1966-533455 US 1967-609761 BE 1967-695360 US 1966-533455	DATE 19710226 19660818 19691105 19670309 19660311 19670310 19660311 19670117 19670310
FAN 1974:38259 PATENT NO PI US 3754964  SE 345170  BE 695364	KIND A B	19730828 19720515 19670911	APPLICATION NO.  US 1971-119044 US 1966-573184 US 1966-573184 US 1966-533455 US 1966-533455 US 1967-609761 BE 1967-695364 US 1966-533455 US 1966-533455 US 1967-609761 BE 1967-695360 US 1966-533455 US 1966-533455 US 1966-571695	DATE 19710226 19660818 19691105 19670309 19660311 19670310 19660311 19670310 19660311 19670310 19660311 19670310 19660311
FAN 1974:38259 PATENT NO	KIND A B A	19730828 19720515 19670911	APPLICATION NO	DATE 19710226 19660818 19691105 19670309 19660311 19670310 19660311 19670310 19660311 19670310 19660311 19670310 19660311 19670310 19660311 19660311
FAN 1974:38259 PATENT NO PI US 3754964  SE 345170  BE 695364  BE 695360  BE 695367	KIND A B A A	19730828 19720515 19670911 19670911	APPLICATION NO.  US 1971-119044 US 1966-573184 US 1969-871561 SE 1967-3251 US 1966-533455 US 1967-609761 BE 1967-695364 US 1966-533455 US 1967-609761 BE 1967-695360 US 1966-533455 US 1966-533455 US 1967-609792 BE 1967-695367 US 1966-533455 US 1966-533455 US 1966-533455 US 1966-533455 US 1966-533455 US 1967-609740 ES 1967-609740 ES 1967-609761 CH 1967-474086 US 1966-533455	DATE 19710226 19660818 19660818 19670309 19660311 19670310 19660311 19670310 19660311 19670310 19660311 19670310 19660311 19670310 19660311 19670310 19660311
FAN 1974:38259 PATENT NO	KIND A B A A A	19730828 19720515 19670911 19670911 19670911	APPLICATION NO.  US 1971-119044 US 1966-573184 US 1969-871561 SE 1967-3251 US 1966-533455 US 1967-609761 BE 1967-695364 US 1966-533455 US 1967-609761 BE 1967-695360 US 1966-533455 US 1967-609792 BE 1967-695367 US 1966-533455 US 1966-533455 US 1966-533455 US 1967-609740 ES 1967-337856 US 1966-533455 US 1967-609761 CH 1967-474086 US 1966-533455 US 1966-533455 US 1967-609761 CH 1967-474086 US 1966-533455 US 1967-609761 CH 1967-474086 US 1966-533455	DATE 19710226 19660818 19660818 19670309 19660311 19670310 19660311 19670310 19660311 19670310 19660311 19670310 19660311 19670310 19660311 19670310 19660311

				US	1966-533455	19660311
				US	1967-609761	19670117
NO	129424	В	19740408	NO	1967-167226	19670310
				US	1966-533455	19660311
				US	1967-609761	19670117
GB	1186720	A	19700402	GB	1967-1186720	19670505
				US	1966-571695	19660811
				US	1967-609740	19670117
GB	1190031	A	19700429	GB	1967-1190031	19670505
				US	1967-609792	19670117
GB	1199795	Α	19700722	GB	1967-1199795	19670818
				US	1966-573183	19660818
GB	1199794	A	19700722	GB	1967-1199794	19670818
				US	1966-573183	19660818

IT 25983-15-7P

RN 25983-15-7 CAPLUS

CN Quinoxaline, 2,3-dianilino-6,7-dichloro- (8CI) (CA INDEX NAME)

GI For diagram(s), see printed CA Issue.

AB Dyes I-IV, useful as photographic desensitizers which can be bleached by developing agents, were prepd. Thus, a mixt. of 71.5 g 3,4-(H2N)2C6H3Cl and 675 ml (CO2Et)2 was refluxed for 1 hr to give 88% V (R4 = OH, R1 = C1, R2 = R3 = H) (VI), m. >300.degree.. Similarly prepd. were other V (R4 = OH), m. >320.degree. (R1, R2, R3 given): Cl, Cl, H; H, (R2R3 =) CH:CHCH:CH; NO2, H, H. A suspension of 98 g VI in 200 ml POCl3 was treated with 208 g PCl5 to give 92% V (R4 = R1 = C1, R2 = R3 = H), m. 143-4.degree.. Similarly prepd. were other V (R4 = C1) (R1-R3 and m.p. given): Cl, Cl, H, 170-1.degree.; H, (R2R3 =) CH:CHCH:CH, -; NO2, H, H, 252-3.degree. (decompn.). V (R4 = C1, R1-R3 = H) (25 g) was added to 31 g HOCH2CH2NH2 and heated for 4 hr on a steam bath to give V (R4 = NHCH2CH2OH, R1-R3 = H), m. 180-2.degree.. Similarly prepd. were other V (R1-R4 and m.p. given): H, H, H, PhNH, 73-80.degree.; C1, C1, H, PhNH, 195-200.degree.; H, H, H, CH2:CHCH2NH, 86-8.degree.. A soln. of 32.4 g V (R4 = NHEt, R1-R3 = H) in 125 ml AcNMe2 was treated with 24 g AcCl to give 71% VII (R = Et, R1-R3 = H, X = C1) (VIII), m. 198-200.degree. (decompn.). VII were also prepd. from V (R4 = Cl) without isolating V (R4 = N hR). Similarly prepd. were VII [X = p-MeC6H4SO3 (Ts)] [R-R3 and m.p. (decompn.) given]: CH2CH2OH, H, H, H, -; CH2CH:CH2, H, H, H, 157-9.degree.; Ph, H, H, H, 275-85.degree.; Ph, Cl, H, H, 278-80.degree.; CH2CH:CH2, Cl, H, H, 173-5.degree.; Ph, Cl, Cl, H, 210-45.degree.; Ph, H, (R2R3 =) CH:CHCH:CH, -; Ph, NO2, H, H, 284-5.degree.. A mixt. of 2.8 g VIII and 1.5 g AcOCH(OEt)2 in 10 ml pyridine was refluxed for 10 min to give 34% I (R = Et, R1-R3 = H, n = 1, X = C1), m. 250-2.degree..Similarly prepd. were other I [R-R3, n, X, and m.p. (decompn.) given]: Et, H, H, H, 2, Cl, 231-2.degree.; CH2CH2OH, H, H, H, 1, iodide, 254-5.degree.; CH2:CHCH2, H, H, H, 1, Ts, 245-6.degree.; Ph, H, H, H, 1, Ts, 286-8.degree.; Ph, H, Cl, H, 1, Ts, 293-4.degree.; CH2CH:CH2, H, Cl, H, 1, Ts, 251-2.degree.; Ph, Cl, Cl, H, 1, Ts, 312-13.degree.; Ph, H,

(R2R3 =) CH:CHCH:CH, 1, Br, 305-7.degree.; Ph, NO2, H, H, 1, Ts, 206-7.degree.. An unsym. I, 1,3-diallyl-6' - nitro-1',3' diphenylimidazo[4,5-b]qu-inoxazolinocarbocyanine p-toluenesulfonate, m. 180-3.degree. (decompn.), was also prepd. A mixt. of 1.4 g VIII and 1.2 g 2-(2-acetanilidovinyl) - 3-ethylbenzoxazolium iodide in 10 ml EtOH and 0.5 g Et3N was refluxed for 15 min to give 33% II [R = Et, R1-R3 = H, R4 =  $\frac{1}{2}$ 3-ethyl-2-benzoxazolinylidene (Q), X = iodine], m. 282-3.degree.(decompn.). Similarly prepd. were other II [R-R4, X, and m.p. (decompn.) given]: Et, H, H, H, 3-ethyl-2-benzothiazolinylidene (Q1), iodide, 284-5.degree.; et, H, H, H, 1,3,3-trimethyl - 2-indolinylidene (Q2), iodide, 273-4.degree.; Et, H, H, H, 3-methyl-2-thiazolidinylidene, iodide, 281-2.degree.; Et, H, H, H, 1-ethyl-2(1H)-quinolylidene (Q3), iodine, 291-2.degree.; CH2CH2OH, H, H, Q2, iodide, 273-4.degree.; CH2CH:CH2, H, H, H, Q, iodide, 253-4.degree.; CH2CH:CH2, H, H, H, Q1, iodide, 250-1.degree.; CH2CH:CH2, H, H, Q2, iodide, 246-7.degree.; CH2CH:CH2, H, H, H, Q4, Ts, 243-4.degree; CH2CH:CH2, H, H, H, Q3, iodide, 261-2.degree.; Ph, H, H, H, Q, iodide, 289-90.degree.; Ph, H, H, H, Q1, iodide, 288-9.degree.; Ph, H, H, H, Q2, iodide, 299-300.degree.; Ph, H, H, H, Q3, iodide, 284-5.degree.; Ph, H, Cl, H, Q2, iodide, 283-4.degree.; Ph, Cl, Cl, H, Q2, iodide, 310-11.degree.; Ph, Cl, Cl, H, Q3, Ts, 185-7.degree.; Ph, H, (R2R3 =) CH:CHCH:CH, Q2, iodide, 320-1.degree.; Ph, NO2, H. H, 6-nitro-3-ethyl - 2-benzothiazolinylidene, Ts, 250-2 degree; Ph, NO2, H, H, Q2, iodide, 285-6 degree. A mixt. of 1.4 g VIII and 1 g p-Me2NC6H4CHO in 10 ml EtOH and 3 drops piperidine was refluxed for 1 hr to give 20% III [R = Et, R1-R3 = H, R4 = p-Me2NC6H4 (Q5), X = iodide], m. 262-3.degree.. Similarly prepd. were other III (X = Ts) [R-R4 and m.p. (decompn.) given]: CH2CH2OH, H, H, H, Q5, 280-1.degree.; CH2CH:CH2, H, H, H, Q5, 238-9.degree.; Ph, H, H, H, Q5, 250-1.degree.; Ph, H, Cl, H, 2-phenyl-1-methyl - indol-3-yl (Q6), 288-9.degree.; Ph, H, Cl, H, 9-methylcarbazol-3-yl (Q7), 287-8.degree.; Ph, H, Cl, H, Q5, 280-1.degree.; CH2CH:CH2, H, Cl, H, Q6, 240-1.degree.; Ph, Cl, Cl, H, Q7, 312-13.degree.; Ph, Cl, Cl, H, Q6, 300-1.degree.; Ph, Cl, Cl, H, Q5, 293-4.degree.; Ph, Cl, Cl, H, 2-methyl-3-phenyl-5-oxo - 4-isoxazolyl, 274-5 degree.; Ph, Cl, Cl, H, 2-(3-sulfopropyl)-3-phenyl -5-oxo-4-isoxazolyl (anhydro salt), 247-50.degree ; Ph, H, (R2R3 =) CH: CHCH: CH, Q7, 215-18.degree.; Ph, H, (R2R3 =) CH: CHCH: CH, Q6 (X = Br), >310.degree.; Ph, H, (R2R3 =) CH:CHCH:CH, Q5 (X = Br), 262-3.degree.; Ph,NO2, H, H, Q7, 291-2.degree.; Ph, NO2, H, H, Q6, 303-4.degree.; Ph, NO2, H, H, Q5, 257-8.degree. A mixt. of 2.8 g VIII and 3 g 5-acetanilidomethylene - 3-ethylrhodanine in 15 ml pyridine and 1 g Et3N was refluxed for  $\frac{1}{45}$  min to give 29% IV [R = Et, R1 = R2 = H, R3 = 3-ethyl-5-rhodaninylidene (Q8)], m. 285-6.degree.. Similarly prepd. were other IV (R-R3 and m.p. given): Et, H, H, 1,3-diethylhexahydro -4,6-dioxo-2-thioxo-5-pyrimidylidene, >320.degree.; CH2CH:CH2, H, H, Q8, 227-8.degree.; Ph, H, H, Q8, >320.degree.; Ph, Cl, Cl, 3-phenyl-5-oxo-2-isoxazolin - 4-ylidene, >320.degree.. A mixt. of 2.6 g VII (R = Ph, R1-R3 = H, X = Ts), 2 g 3-ethyl-2-(phenylthio)benzothiazoliumiodide, 15 ml EtOH, and 0.5 g Et3N was refluxed for 15 min to give 23% IX, m. 288-9.degree. (decompn.).

- L4 ANSWER 93 OF 100 CAPLUS COPYRIGHT 2003 ACS
- AN 1969:421425 CAPLUS
- DN 71:21425
- TI Quinoxalines. VI. Kinetics of the condensation of 2,3-dimethylquinoxaline with benzaldehyde
- AU Kavalek, Jaromir
- CS Vys. Skola Chem. Technol., Pardubice, Czech.
- SO Collection of Czechoslovak Chemical Communications (1969), 34(6), 1819-23

CODEN: CCCCAK; ISSN: 0010-0765

DT Journal

LA English

IT 25606-79-5P 25606-80-8P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of)

RN 25606-79-5 CAPLUS

CN Quinoxaline, 6,7-dichloro-2-methyl-3-styryl- (8CI) (CA INDEX NAME)

RN 25606-80-8 CAPLUS

CN Quinoxaline, 6,7-dichloro-2,3-distyryl- (8CI) (CA INDEX NAME)

AB In connection with studies of the properties of 2,3-dimethylquinoxaline (I) and its 6-substituted derivs. (P. Vetesnik, J. Kavalek, V. Beranek, and O. Exner, 1968) the reaction of I with BzH was studied and kinetics of formation of 2-methyl-3-styryl-quinoxaline was investigated chromatographically. The reaction is first order with respect to both reaction components. Thus, I condensed with BzH with intermediate formation of alc. substance. This is present in the reaction mixt. due to kinetic relations only in very low concns. High reactivity of the hydrogen in the methylene group vicinal to the heterogeneous nucleus is in agreement with the fact that N.M.R. spectra display larger chem. shifts of protons of these groups in I than in 2-picoline.

L4 ANSWER 94 OF 100 CAPLUS COPYRIGHT 2003 ACS

AN 1968:402932 CAPLUS

DN 69:2932

TI Halo o-phenylenediamines and derived heterocyles. Hydrodechlorination of chloroquinoxalines

AU Burton, D. E.; Hughes, D.; Newbold, G. T.; Elvidge, J. A.

CS Chesterford Park Res. Sta., Saffron Walden, UK

SO Journal of the Chemical Society [Section] C: Organic (1968), (10), 1274-80

CODEN: JSOOAX; ISSN: 0022-4952

DT Journal

LA English

IT 3495-42-9P 19853-64-6P 19853-65-7P

RN 3495-42-9 CAPLUS

CN Quinoxaline, 5,6,7,8-tetrachloro- (7CI, 8CI, 9CI) (CA INDEX NAME)

Patel <4/4/2003>

$$\begin{array}{c|c} C1 & \\ C1 & \\ C1 & \\ C1 & \\ \end{array}$$

19853-64-6 CAPLUS RN

CN Quinoxaline, 6,7-dichloro- (8CI, 9CI) (CA INDEX NAME)

RN 19853-65-7 CAPLUS

Quinoxaline, 5,6,7-trichloro- (7CI, 8CI) (CA INDEX NAME) CN

$$\begin{array}{c|c} C1 & \\ C1 & \\ \\ C1 & \\ \end{array}$$

GΙ For diagram(s), see printed CA Issue.

Treatment of 5,6,8-trichloro-7-methylquinoxaline (I) with alkali in aq. AΒ EtOH gives 5,8-dichloro-6-methylquinoxaline (II) cleanly in good yield. 5,6,7,8-Tetrachloroquinoxaline similarly, though less satisfactorily, yields 5,6,8-trichloroquinoxaline and 5,8-dichloroquinoxaline. Further expts. with a bearing on the course of these reactions are described and a possible mechanism is discussed. The prepn. of 5,8-dichloro-6-ethoxy-7methylquinoxaline, a possible product from the reaction I .fwdarw. II, and unambiguous syntheses of III and 5,7-dichloro-6-methylquinoxaline are described. Ir and 1H N.M.R. data for several quinoxalines and their intermediates are also given.

ANSWER 95 OF 100 CAPLUS COPYRIGHT 2003 ACS L4

1968:402607 CAPLUS AN

DN 69:2607

Halo-o-phenylenediamines and derived heterocycles. I. Reductive fission ΤI of benzotriazoles to o-phenylenediamines

Burton, D. E.; Lambie, A. J.; Lane, D. W. J.; Newbold, G. T.; Percival, A. ΑU

CS Chesterford Park Res. Sta., Saffron Walden, UK

Journal of the Chemical Society [Section] C: Organic (1968), (10), SO 1268-73

CODEN: JSOOAX; ISSN: 0022-4952

DT Journal

LΑ English

RN 18225-81-5 CAPLUS
CN Quinoxaline, 5,6,7,8-tetrachloro-2,3-dimethyl- (7CI, 8CI, 9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & Me \\ \hline \\ C1 & Me \\ \hline \\ C1 & Me \\ \end{array}$$

RN 18225-82-6 CAPLUS
CN Quinoxaline, 5,6,7,8-tetrachloro-2-(dichloromethyl)-3-methyl- (8CI) (CA INDEX NAME)

RN 18225-83-7 CAPLUS CN Quinoxaline, 5,6,7,8-tetrachloro-2,3-dipropyl- (8CI) (CA INDEX NAME)

$$\begin{array}{c|c} Cl & Pr-n \\ \hline \\ Cl & Pr-n \end{array}$$

RN 18225-84-8 CAPLUS

CN Quinoxaline, 5,6,7,8-tetrachloro-2,3-diphenyl- (8CI) (CA INDEX NAME)

RN 18238-04-5 CAPLUS

CN Quinoxaline, 5,6,7,8-tetrachloro-2-methyl- (7CI, 8CI) (CA INDEX NAME)

$$\begin{array}{c} C1 \\ C1 \\ C1 \\ \end{array}$$

RN 18238-05-6 CAPLUS

CN Quinoxaline, 5,6,7,8-tetrachloro-2-(trichloromethyl)- (8CI) (CA INDEX NAME)

RN 18238-06-7 CAPLUS

CN Quinoxaline, 5,6,7,8-tetrachloro-2-propyl- (8CI) (CA INDEX NAME)

$$\begin{array}{c|c} Cl & Pr-n \\ \hline \\ Cl & N \end{array}$$

RN 18238-07-8 CAPLUS

CN Quinoxaline, 5,6,7,8-tetrachloro-2-phenyl- (7CI, 8CI) (CA INDEX NAME)

$$C1$$
 $C1$ 
 $N$ 
 $Ph$ 

RN 18392-43-3 CAPLUS

CN Phenol, p-(5,6,7,8-tetrachloro-2-quinoxalinyl)- (7CI, 8CI) (CA INDEX NAME)

$$C1$$
 $C1$ 
 $N$ 
 $OH$ 

RN 18392-45-5 CAPLUS

CN Quinoxaline, 5,6,7,8-tetrachloro-2,3-bis(dichloromethyl)- (8CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Cl} & \text{ChCl}_2 \\ \text{Cl} & \text{N} & \text{CHCl}_2 \\ \end{array}$$

GI For diagram(s), see printed CA Issue.

AB 4,5,6,7-Tetrachlorobenzotriazole and its 1-hydroxy deriv. were reduced with Zn and HCl to give 3,4,5,6-tetrachloro-o-phenylenediamine (I, R = Cl) in good yield. The corresponding diamines (I, R = Me or F) were obtained

similarly from 4,5,7-trichloro-6-methyl-(or fluoro)benzotriazole. Alternative syntheses of the tetrachloro- and methyltrichlorophenylenediamines are described. Benzimidazoles, quinoxalines, and other heterocycles derived from the diamines, esp. from tetrachloro-o-phenylenediamine, are reported. 26 references.

L4 ANSWER 96 OF 100 CAPLUS COPYRIGHT 2003 ACS

AN 1965:416869 CAPLUS

DN 63:16869

OREF 63:2973d-g

TI The dimethyl sulfoxide oxidation of 2,3-bis(bromomethyl)quinoxaline

AU Moriconi, Emil J.; Fritsch, Albert J.

CS Fordham Univ., New York, NY

SO J. Org. Chem. (1965), 30(5), 1542-7

CODEN: JOCEAH; ISSN: 0022-3263

DT Journal

LA English

IT 3298-85-9, Quinoxaline, 6,7-dichloro-2,3-bis(iodomethyl)-

3298-89-3, 2,3-Quinoxalinedicarboxaldehyde, 6,7-dichloro-

3298-91-7, Quinoxaline, 6,7-dichloro-2,3-bis(dibromomethyl)-

3298-96-2, Quinoxaline, 2,3-bis(bromomethyl)-6,7-dichloro-

3299-00-1, 2-Quinoxalinecarboxaldehyde, 6,7-dichloro-3-

(dibromomethyl) (prepn. of)

RN 3298-85-9 CAPLUS

CN Quinoxaline, 6,7-dichloro-2,3-bis(iodomethyl)- (7CI, 8CI) (CA INDEX NAME)

RN 3298-89-3 CAPLUS

CN 2,3-Quinoxalinedicarboxaldehyde, 6,7-dichloro- (7CI, 8CI) (CA INDEX NAME)

RN 3298-91-7 CAPLUS

CN Quinoxaline, 6,7-dichloro-2,3-bis(dibromomethyl) - (7CI, 8CI) (CA INDEX NAME)

RN 3298-96-2 CAPLUS

CN Quinoxaline, 2,3-bis(bromomethyl)-6,7-dichloro- (7CI, 8CI, 9CI) (CA INDEX NAME)

RN 3299-00-1 CAPLUS

CN 2-Quinoxalinecarboxaldehyde, 6,7-dichloro-3-(dibromomethyl)- (7CI, 8CI) (CA INDEX NAME)

GI For diagram(s), see printed CA Issue.

The reaction of 2,3-bis(bromomethyl)quinoxaline (I) with dimethyl sulfoxide produced in varying amounts 3-methyl-(II), 3-bromomethyl-(III), and 3-dibromomethyl-2-quinoxalinecarboxaldehyde (IV), in addition to 2,3-bis(dibromomethyl)quinoxaline (V), and 2,3-(quinoxalinedicarboxaldehyde) (VI) isolated as the intramolecular hemihydrate (VII). A similar oxidation of 2,3-bis(iodomethyl)quinoxaline (VIII) led to II and 3-iodomethyl-2-quinoxalinecarboxaldehyde (IX). The Hunsberger and Tien general mechanism of dimethyl sulfoxide oxidation can account for the formation of all these products, whose structures and mode of formation were independently verified by the chemical interconversion of I, III-IV, VI-IX, and 2,3-dimethylquinoxaline (X). In the presence of the nonalkaline, hydrogen bromide scavenger, 1,2-epoxy-3-phenoxypropane, dimethyl sulfoxide oxidation of I and VIII led to compounds tentatively identified as dl-1,2-dibromo- (XI) and dl-1,2-diiodo-1,2-bis(3-methyl-2quinoxalyl)ethane (XII). Both XI and XII were dehalogenated to trans-1,2-bis(3-methyl-2-quinoxalyl)ethylene (XIII) whose structure was determined by ozonolysis to II and by synthesis from X and II. Bromination of XIII led to meso-1,2-dibromo-1,2-bis(3-methyl-2quinoxalyl)ethane (XIV). Dimethyl sulfoxide oxidation of XI, XII, and XIV led to the same product, bis(3-methyl-2-quinoxalyl)glyoxal. A number of 6-chloro-, 6-methyl-, 6,7-dichloro-, and 6,7-dimethyl derivatives of I, IV-VI, VIII, and XV are reported.

L4 ANSWER 97 OF 100 CAPLUS COPYRIGHT 2003 ACS

AN 1965:406452 CAPLUS

DN 63:6452

OREF 63:1175b-c

TI Gel fungicides, herbicides, and insecticides

PA Fisons Pest Control Ltd.

SO 13 pp.

DT Patent

LA Unavailable

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATIO	NO.	DATE
					- <b></b> -	
ΡI	BE 641214		19640612	BE		
				GB		19621213
	FR 1426882			FR		17021213
	NL 301648			NI.		
IΤ	3495-42-9, Quino (pesticidal c	xaline	, 5,6,7,8-tet			
RN	3495-42-9 CAPLU		contg.,			
CN	Quinoxaline, 5,6	,7,8-te	etrachloro- (	7CI, 8CI, 9CI)	(CA	INDEX NAME)

$$\begin{array}{c} C1 \\ C1 \\ C1 \\ \end{array}$$

AB Finely divided basic copper chloride 350 was added to a mixt. of stearic acid (I) 60, NaOH 1.4, and H2O 800 parts which was stirred and heated to 65-70.degree. The mixt. was stirred, cooled to 30.degree., 10 parts Me3N (II) and 100 parts H2O were added, and then allowed to stand until gelled. The gel was dispersed in H2O 1:2 and sprayed on banana plants where it adhered under 10 cm. of artificial rain. Cu chloride, I, and II, were replaced by atrazine, Ca silicate, Calflo E, dieldrin, DDT, N-(p-chlorophenyl)-N'N'-dimethylurea, 4,5,6,7-tetrachloro-quinoxaline, palmitic or arachidic acid, and Bu2NMe, Pr3N, Bu2NH, resp., either sep. or in mixts.

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ANSWER 98 OF 100 CAPLUS COPYRIGHT 2003 ACS
1.4
     1964:90921 CAPLUS
AN
DN
     60:90921
OREF 60:15891e-h,15892a
TI
     Quinoxaline fungicides
PA
     Fisons Pest Control Ltd.
SO
     26 pp.
DT
     Patent
     Unavailable
     PATENT NO.
                    KIND DATE
                                        APPLICATION NO. DATE
     -----
                                         -----
ΡI
     BE 631044
                          19631104
                                         BE
                                         GB
                                                         19620412
     FR 1410969
                                         FR
    GB 1041011
    3495-42-9, Quinoxaline, 5,6,7,8-tetrachloro- 18225-81-5,
ΙT
    Quinoxaline, 5,6,7,8-tetrachloro-2,3-dimethyl- 18238-04-5,
    Quinoxaline, 5,6,7,8-tetrachloro-2-methyl- 18238-07-8,
    Quinoxaline, 5,6,7,8-tetrachloro-2-phenyl- 18392-43-3, Phenol,
    p-(5,6,7,8-tetrachloro-2-quinoxalinyl) - 19853-65-7, Quinoxaline,
    5,6,7-trichloro- 89939-10-6, Quinoxaline, 5-bromo-6,7,8-
    trichloro-
       (prepn. of)
    3495-42-9 CAPLUS
RN
    Quinoxaline, 5,6,7,8-tetrachloro- (7CI, 8CI, 9CI) (CA INDEX NAME)
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$$\begin{array}{c} C1 \\ C1 \\ C1 \\ \end{array}$$

RN 18225-81-5 CAPLUS

CN Quinoxaline, 5,6,7,8-tetrachloro-2,3-dimethyl- (7CI, 8CI, 9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Cl & Me \\ \hline \\ Cl & N \end{array}$$

RN 18238-04-5 CAPLUS

CN Quinoxaline, 5,6,7,8-tetrachloro-2-methyl- (7CI, 8CI) (CA INDEX NAME)

RN 18238-07-8 CAPLUS

CN Quinoxaline, 5,6,7,8-tetrachloro-2-phenyl- (7CI, 8CI) (CA INDEX NAME)

$$\begin{array}{c} C1 \\ C1 \\ C1 \\ \end{array}$$

RN 18392-43-3 CAPLUS

CN Phenol, p-(5,6,7,8-tetrachloro-2-quinoxalinyl)- (7CI, 8CI) (CA INDEX NAME)

RN 19853-65-7 CAPLUS CN Quinoxaline, 5,6,7-trichloro- (7CI, 8CI) (CA INDEX NAME)

$$C1$$
 $C1$ 
 $N$ 
 $C1$ 

RN 89939-10-6 CAPLUS CN Quinoxaline, 5-bromo-6,7,8-trichloro- (7CI) (CA INDEX NAME)

$$\begin{array}{c} C1 \\ C1 \\ C1 \\ \end{array}$$

Quinoxalines (I) were obtained by the reaction between substituted diamines and substituted diketones or their oximes. Thus, 24.6 g. tetrachloro-o-phenylenediamine was dissolved in 250 cc. EtOH by refluxing, 50 cc. 30% glyoxal added, the mixt. refluxed and dild. with a large amt. of H2O, and the ppt. filtered off and recrystd. from EtOH to obtain 5,6,7,8-tetrachloroquinoxaline, m. 189.5-90.5.degree.. Addnl. I prepd. are tabulated. R, R1, R2, R3, R4, R5, m.p.; Me, H, Cl, Cl, Cl, Cl, Cl, 174-5.degree.; Me, Me, Cl, Cl, Cl, Cl, Cl, 197-8.degree.; H, H, Br, Cl, Cl, Cl, 199-201.degree.; H, H, Cl, F, Cl, Cl, Cl, Cl, 155-7.degree.; H, H, Cl, Br, Cl, Cl, 306-8.degree.; H, H, Cl, OMe, Cl, Cl, 153-4.degree.; H, H, F, H, H, 35-6.degree.; H, H, H, AcNH, H, H, 196-7.degree.; H, H, H, Me, H, H, Kp, Cl, Cl, 135.degree.); OH, H, Cl, Cl, Cl, Cl, 319.degree.; Cl, H, Cl, Cl, Cl, Cl, 170-2.degree.; OMe, H, Cl, Cl, Cl, Cl, 180-2.degree.; OEt, H, Cl, Cl, Cl, Cl, 171-3.degree.; Ph, H, Cl, Cl, Cl, Cl, H, H, H, NO2, NO2, H, 193-4.degree.; Ph, H, Cl, Cl, Cl, Cl, H, H, H, NO2, NO2, H, 193-4.degree. A mixt. of I (R-R5 given: Me, H, Cl, H, C

introducing in H2O a mixt. of I 50, kaolin 200, and Na dodecyl sulfate 20 parts were tested against Erysiphe cichoracearum, Botrytis fabae, and Uromyces phaseoli.

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ANSWER 99 OF 100 CAPLUS COPYRIGHT 2003 ACS
L4
AN
     1963:456940 CAPLUS
     59:56940
DN
OREF 59:10497f-h,10498a-b
ΤI
     Quinacillin, a new penicillin with unusual properties
     Richards, H. C.; Housley, J. R.; Spooner, D. F.
ΑU
     Boots Pure Drug Co., Nottingham, UK
CS
SO
     Nature (1963), 199(4891), 354-6
DT
     Journal
LA
     Unavailable
     102032-47-3, 4-Thia-1-azabicyclo[3.2.0] heptane-2-carboxylic acid,
IT
     6,6'-[(6,7-dichloro-2,3-quinoxalinediyl)bis(carbonylimino)]bis[3,3-
     dimethyl-7-oxo-
        (as antibiotic substance)
RN
     102032-47-3 CAPLUS
CN
     4-Thia-1-azabicyclo[3.2.0] heptane-2-carboxylic acid, 6,6'-[(6,7-dichloro-
     2,3-quinoxalinediyl)bis(carbonylimino)]bis[3,3-dimethyl-7-oxo- (7CI)
     INDEX NAME)
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AB cf. CA 53, 13264c. In search of penicillins resistant to staphylococcal penicillinase hydrolysis, (carboxymethyl)phenylbenzylpenicillin was prepd. with min. inhibitory concn. (.gamma./ml.) against Staphylococcus aureus designated as highly penicillin-resistant >500, mod. penicillin-resistant 33.3, and penicillin-sensitive 0.01. Other semisynthetic penicillins were tested (side chain acid, min. inhibitory concns. as above given, resp.): 2-pyridine carboxylic 500, 11.1, 0.4; 3-pyridinecarboxylic >500, 100, 1.2; 4-pyridinecarboxylic 500, 100, 0.4; 3-methyl-2-pyridinecarboxylic 500, 33.3, 0.4; 6-methyl-2-pyridinecarboxylic 500, 3.7, 0.4; 2-quinolinecarboxylic 500, 1.2, 0.04; 2,3-pyridinedicarboxylic 11.1, 11.1, 3.7; 2,3-pyrazinedicarboxylic 33.3, 11.1, 1.2; 5,6-dimethyl-2,3pyrazinedicarboxylic 33.3, 11.1, 3.7; 2,3quinolinedicarboxylic 0.4, 0.4, 0.4; 2,3-quinoxalinedicarboxylic 0.4, 0.4, 0.4; 6,7-dimethyl-2,3quinoxalinedicarboxylic 11.1, 3.7, 3.7; 6,7-dichloro-2,3quinoxalinedicarboxylic 33.3, 11.1, 3.7. The di-Na salt of 3-carboxy-2-quinoxalinecarbonylpenicillin (quinacillin) (IV) is prepd. by condensation of 2,3-quinoxalinedicarboxylic anhydride with

Patel <4/4/2003>

6-aminopenicillanic acid in HCONMe2 and Et3N and sepd. from Me2CO as the bis(triethylammonlum) salt monohydrate, m.p. 135-7.degree. (decomp.), [.alpha.]20D + 142 (c 0.376, H2O). An aq. soln. of the salt heated with satd. NaOAc gives IV as cream colored needles dried in vacuo at 40.degree., m. 260.degree. (decomp.) contg. 9% H2O. Anhyd. IV prepd. by drying at 100.degree. at 2 mm. m. 261-2.degree. (decomp.) and [.alpha.]23D + 183.5 (H2O) very hygroscopic and acquiring bright yellow color in sunlight, stable for 2 months at 0.degree., half life 12 days at 37, half life in 50% EtOH 0.1N HCl, 290 min. and deep violet chelate forms with Fe(II) and a red color with Cu(I). Bacteriostatic activity of several dilns. in agar, peptone yeast ext., glucose contg. 10% ox serum at pH 7.0 inoculated with 0.01 ml. culture and incubated for 24 hrs. at 37 gave min. inhibitory concns. in .gamma./ml. as follows: Staphylococcus aureus 0.15-0.62, Streptococcus pyogenes 3.7, Streptococcus (groups, B, C, D, 5 species) 3.7- >100, Diplococcus pneumoniae 3.7, Corynebacterium (4 species) 3.7-11.1, Sarcina lutea 11.1, Bacillus (6 species) 33.3, Lactobacillus (3 species) >100, Bordetella parapertussis >100, Neisseria catarrhalis >100, Escherichia coli >100, Proteus (4 species) >100, Salmonella (6 species) >100, Shigella (3 species) >100, Pseudomonas (2 species) >100. Bacteriostatic activity compared with benzylpenicillin against 50 strains of S. aureus from clin. sources at concns. 1.2 .gamma./ml. or greater at pH 7.0 showed no growth while benzylpenicillin showed growth at 1.2, 50, and 100 .gamma./ml. Min. inhibitory concn. in .gamma./ml. of some ester and amide derivs. against S. aureus were given.

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L4
     ANSWER 100 OF 100 CAPLUS COPYRIGHT 2003 ACS
AN
     1957:25568 CAPLUS
DN
     51:25568
OREF 51:5089a-i,5090a-d
     Quinoxalines of biological interest
TI
ΑU
     Acheson, R. M.
     Oxford Univ., UK
CS
SO
     J. Chem. Soc. (1956) 4731-5
DT
     Journal
     Unavailable
LΑ
IT
     106739-62-2, Quinoxaline, 6,7-dichloro-2-[(3-
     diethylaminopropyl)amino]-
        (and derivs.)
RN
     106739-62-2 CAPLUS
CN
     1,3-Propanediamine, N'-(6,7-dichloro-2-quinoxalinyl)-N,N-diethyl- (9CI)
     (CA INDEX NAME)
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RN 110441-42-4 CAPLUS
CN Quinoxaline, 6,7-dichloro-2-[(2-diethylaminoethyl)amino]-, methiodide
(6CI) (CA INDEX NAME)

CM 1

CRN 100721-83-3 CMF C14 H18 C12 N4

CM 2

CRN 74-88-4 CMF C H3 I

H3C-I

cf. C.A. 42, 1404h). Some quinoxaline analogs of pteroic and AΒ pteroylglutamic acid were synthesized. 2-Chloroquinoxaline (I) (0.82 g.) and 0.69 g. p-H2NC6H4CO2H refluxed 2 hrs. in 6 ml. PrOH gave 1.12 g. yellow powder (needles from PhNO2), which was dissolved in aq. Na2CO3 and pptd. by dil. HCl to give p-2-quinoxalinylaminobenzoic acid, m. 344-5.degree. (decompn.). The latter did not appreciably affect the growth of Streptococcus lactis R. I (0.6 g.) and 1.18 g. Et p-aminobenzoyl-(-)-glutamate refluxed 4 hrs. in 5 ml. EtOH and the ppt. (1.3 g.) crystd. from EtOH in the presence of C gave Et p-2-quinoxalinylaminobenzoyl-(-)-glutamate (II), m. 168.degree.. II (0.72 g.) in 12 ml. EtOH was kept 90 min. at 20.degree. with 0.24 g. NaOH in 2 ml. H2O, the ppt. taken up in H2O and acidified, the pptd. acid taken up in aq. NaHCO3, treated with C and filtered, and the boiling soln. acidified with dil. HCl to yield 86% p-2-quinoxalinylaminobenzoyl-(-)glutamic acid, m. 252.degree. (decompn.), with small growth-inhibitory effect on Lactobacillus casei, prevented by pteroylglutamic acid. For the prepn. of p-2-quinoxalinylmethylaminobenzoic acid (III), the bromination of 2-methylquinoxaline to 2-bromomethylquinoxaline was unsuccessful, p-MeC6H4SO2Cl (59 g.), 15 g. o-phenylenediamine, and 75 ml. pyridine heated 1 hr. at 100 degree, poured into 1 1. H2O, and the ppt. crystd. from EtOH gave 46.2 g. N, N'-di-p-toluenesulfonyl-o-phenylenediamine (IV), m. 204.degree.. IV (88.2 g.) and 46.25 g. BrCH2CHBrCH2OH in 200 ml. EtOH were successively added to alc. NaOEt (9.75 g. Na in 1 l. EtOH), the soln.

refluxed 6 hrs. and evapd., the residue washed with H2O, dried, refluxed with 100 ml. C5H6, and cooled, and the residue taken up in 1 l. boiling EtOH and cooled to give 44.5 g. 1,2,3,4-tetrahydro-2-hydroxymethyl-1,4-dip-toluenesulfonylquinoxaline (V), m. 193.degree. (prisms). V (5.08 g.) in 50 ml. concd. H2SO4 contg. 0.5 ml. H2O was kept warm 2 days, poured onto ice, the mixt. made alk., repeatedly extd. with CHCl3, and the ext. evapd. to give 84% tetrahydroquinoxaline, m. 140-1.degree.; picrate, m. 178-80.degree.. The high yield was not reproducible and this approach was abandoned. Oxidation of V with K3Fe(CN)6 gave only quinoxaline. 2-Tribromomethylquinoxaline (5.6 g.) refluxed 4.5 hrs. with 1.2 g. Na in 30 ml. MeOH, the soln. evapd., the residue solidified by addn. of H2O, and recrystd. from MeOH gave fine needles of Me quinoxaline-2orthocarboxylate, m. 63-5.degree.. AcC(:NOH)CO2Et (3.2 g.), 2.16 g. o-ophenylenediamine, and 1.14 ml. AcOH were refluxed 5 hrs. in 10 ml. EtOH, cooled, and filtered off to give 0.25 g. pale yellow 2-hydroxy-3-methylquinoxaline, m. 245.degree. (from EtOH); the filtrate was made alk., the ppt. taken up in Et20, the ext. evapd. and the residue converted to 1.8 g. 2-methylbenzlmidazole picrate, m. 211-12.degree.. Quinoxaline-2-aldehyde (0.46 g.) and 0.4 g. p-H2NC5H4CO2H heated 1 hr. at 100.degree. in 5 ml. dioxane gave 89% anil (VI), m. 286-7.degree. (reduced over PtO2, cf. Leese and Rydon, C.A. 49, 13242b); Et ester (VIa), m. 139.degree.. VIa (0.527 g.) in 15 ml. dioxane was hydrogenated (equiv. to 1 double bond) at room temp. and 1 atm. in the presence of PtO2, the mixt. filtered, and the filtrate evapd. in vacuo, the residue washed with EtOH, and the crude product crystd. from pyridine to give III Et ester, m. 229-32.degree.. Reduction of VI over Raney Ni with 36% H equiv. to 1 double bond gave 33% III. OHCCBr: CBrCO2H (5.9 g.) and 7.5 g. p-H2NC6H4CO2Et boiled 20 min. in 40 ml. EtOH, kept overnight, and the 7.9 g. orange-red ppt. crystd. from dil. alc. gave p-EtO2CC6H4NHCH:CBrCH:NC6H4CO2Et-p.HBr.2H2O (VII)., m. 249-50.degree. (decompn.). VII (9.7 g.) refluxed 45 min. with 1.5 l. H2O, the ppt. (5.1 g.) filtered off next day, and crystd. from EtOH gave p-EtO2CC6H4NHCH:CBrCHO, m. 159-60.degree., giving intractable black tars with o-phenylenediamine in boiling EtOH alone, in the presence of 1 or 2 moles HCl, or in HOCH2CH2OH at 140.degree.. Na(O2N)C(CHO)2 (1.39 g.) in 5 ml. H2O was added to 1.65 g. p-H2NC6H4CO2Et in 10 ml. H2O and 1 ml. concd. HCl, heated a few min. on a steam bath and the yellow product crystd. from EtOH to yield 95% .beta.-(p-carbethoxyanilino)-.alpha.-nitroacrylaldehyde (VIII), m. 158-9.degree.. VIII (0.88 g.) and 0.36 g. o-phenylenediamine were refluxed in 5 ml. EtOH causing pptn. of red solid, the mixt. refluxed 1 hr. with 15 ml. addnl. EtOH to give 81% 3-nitro-6,7-benzo-1,5-diazepine, m. above 360.degree. (from quinoline). The filtrate contained 67% p-H2NC6H4CO2Et. Reducing 4.74 g. 1,2,4,5-Cl2(O2N)2C6H2 in 30 ml. EtOH over Raney Ni, pouring the O-sensitive mixt. into 20 ml. boiling EtOH contg. 3.8 g. (HO)2C(CO2Et)2, refluxing the mixt. 45 min., treating with C, and filtering, cooling and crystg. the product (3.7 g.) from EtOAc gave Et 6,7-dichloro-2-hydroxyquinoxaline-3-carboxylate, m. 230.degree.; acid, m. 340.degree. (decompn.), converted by refluxing in PhNO2 to 6,7-dichloro-2-hydroxyquinozaline (IX), m. 343.degree. (decompn.) (from PrOH). IX (1.0 g.) refluxed 45 min. with 10 ml. POCl3, the red soin. evapd. in vacuo, the residue dild. with H2O and extd. with Et2O, the washed and dried exts. evapd. and the product crystd. from EtOH gave 1.0 g. 2,6,7-trichloroquinoxaline (X), m. 147.degree.. X (0.35 g.) was heated 3 hrs. at 110-40.degree. with 1 ml. H2NCH2CH2NEt2, the mixt. distd. at 100.degree./14 mm., the residual oil taken up in dil. acid, the soln. extd. with Et2O, the aq. layer made alk., and extd. with Et2O to give 6,7-dichloro-2,2'-diethylaminoethylaminoquinoxaline (XI), b0.03 168-73.degree.; MeI deriv., m. 196-7.degree. (from EtOH). Similarly 1.2 .

g. X and 3.2 ml. H2N(CH2)3NEt2 gave 6,7-dichloro-2,3'-diethylaminopropylaminoquinoxaline (XIa), m. 84-6.degree. (from Et2O), b0.05 183-8.degree.; picrate, m. 182.degree. (from Et0H); MeI deriv., m. 212.degree. (from Et0H). The corresponding nonchlorinated compds., 2,2'-diethylaminoethylaminoquinoxaline, b0.02 140.degree. (dipicrate, m. 185.degree.), and 2,3'-diethylaminopropylaminoquinoxaline, b0.1 200-5.degree. [dipicrate, m. 164.degree. (from Et0H)], were similarly prepd. XI, XIa, and the nonchlorinated compds. (cf. Crowther et al., C.A. 44, 3497i) are inactive against Plasmodium gallinaceum in chicks.